

10/022,874

=> file caplus

FILE 'CAPLUS' ENTERED AT 10:11:06 ON 02 MAR 2004

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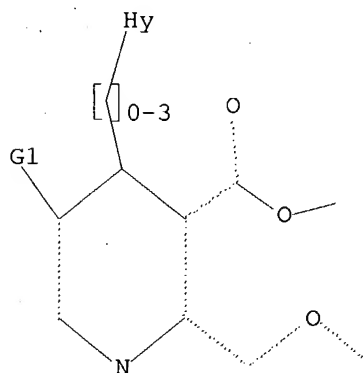
FILE COVERS 1907 - 2 Mar 2004 VOL 140 ISS 10

FILE LAST UPDATED: 1 Mar 2004 (20040301/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que

L8 STR



G1 CN,NO2,C

Structure attributes must be viewed using STN Express query preparation.

L10 129 SEA FILE=REGISTRY SSS FUL L8

L11 47 SEA FILE=CAPLUS L10

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L11 ANSWER 1 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:502305 CAPLUS

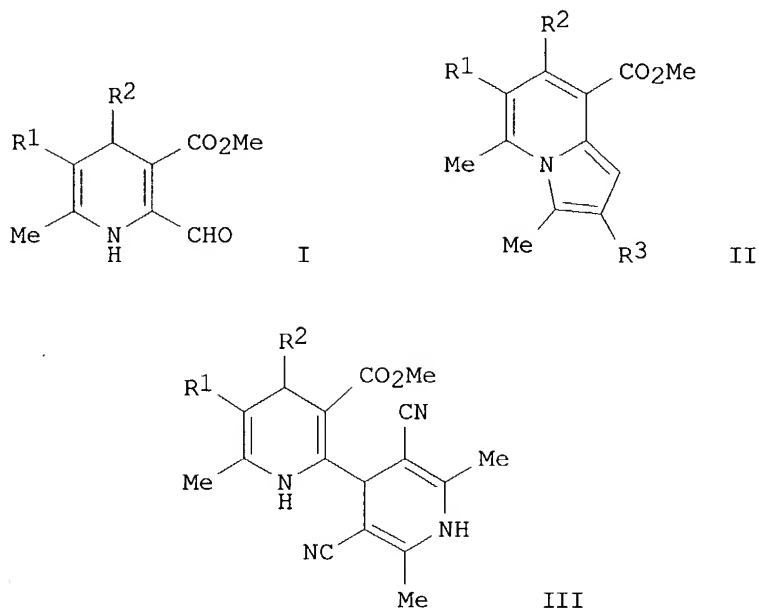
DOCUMENT NUMBER: 138:24620

TITLE: Conformationally constrained 1,4-DHPs. A convenient route to bis-1,4-DHPs as a novel class of nitrogen compounds

AUTHOR(S): Marchalin, Stefan; Chudik, Miloslav; Cvopova, Katarina; Kozisek, Jozef; Lesko, Jan; Daich, Adam

CORPORATE SOURCE: Faculty of Chemical Technology, Department of Organic Chemistry, Slovak University of Technology,

SOURCE: Bratislava, SK-812 37, Slovakia  
 Tetrahedron (2002), 58(28), 5747-5754  
 CODEN: TETRAB; ISSN: 0040-4020  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 138:24620  
 GI



AB On heating in glacial AcOH, 2-formyl-1,4-dihydropyridines I ( $R_1$  = MeCO, Me<sub>2</sub>CHO<sub>2</sub>C;  $R_2$  = 3-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, 5-nitro-2-furyl) underwent the tandem Knoevenagel condensation/aminonitrile cyclization with activated methylene reagents, such as Me acetoacetate or benzoylacetonitrile, to afford highly functionalized indolizines II ( $R_3$  = CN, MeO<sub>2</sub>C) in 65-88% yields. However, treatment of I ( $R_1$  = CN, MeCO, MeO<sub>2</sub>C, Me<sub>2</sub>CHO<sub>2</sub>C;  $R_2$  = 3-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, 5-cyano-2-furyl, 2-thienyl, etc.) with 3-aminocrotonitrile gave the Knoevenagel condensation products, bis-1,4-dihydropyridines III, as the major products in 50-82% yields.

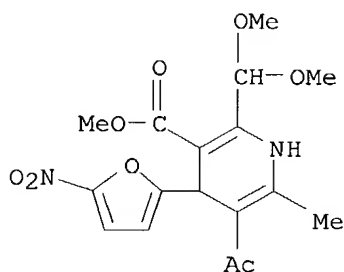
IT **212771-68-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of bis(dihydropyridine)s and indolizines via Knoevenagel condensation of dihydropyridines with active methylene compds.)

RN 212771-68-1 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-acetyl-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-4-(5-nitro-2-furanyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:88191 CAPLUS

DOCUMENT NUMBER: 134:280748

TITLE: Facile access to 6-substituted 1,4,5,7-tetrahydropyrrolo[3,4-b]-pyridines via Hantzsch type dimethyl 4-aryl-2-formyl-6-methyl-1,4-dihydropyridine-3,5-dicarboxylates

AUTHOR(S): Chudik, Milostav; Marchalin, Stefan; Knesl, Peter; Daich, Adam; Decroix, Bernard

CORPORATE SOURCE: Department of Organic Chemistry, Slovak University of Technology, Bratislava, 812 37, Slovakia

SOURCE: Journal of Heterocyclic Chemistry (2000), 37(6), 1549-1554

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:280748

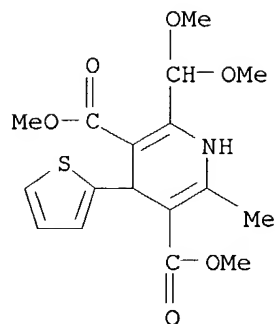
AB Efficient assembly of 6 title Me 6-R-2-methyl-5-oxo-4-(2-thienyl)-1,4,5,7-tetrahydropyrrolo[3,4-b]pyridine-3-carboxylates (R = allyl, cyclopropyl, cyclohexyl, cycloheptyl, 2-HOCH<sub>2</sub>CH<sub>2</sub>, 2-ClCH<sub>2</sub>CH<sub>2</sub>) 7a-f, resp., is described according to a Hantzsch type reaction from formyl-ester di-Me 2-formyl-6-methyl-4-(2-thienyl)-1,4-dihydropyridine-3,5-dicarboxylate 4 by imination, borohydride redn. and intramol. thermal amino-ester cyclization. The starting compd. 4 was prepd. in three steps from the readily available formyl deriv. 2-formylthiophene 1, Me 4,4-dimethoxy-3-oxobutanoate and Me 3-aminocrotonate.

IT 333352-81-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and acid hydrolysis of)

RN 333352-81-1 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-(dimethoxymethyl)-1,4-dihydro-6-methyl-4-(2-thienyl)-, dimethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:626416 CAPLUS

DOCUMENT NUMBER: 133:317226

TITLE: Deriving a Quantitative Chirality Measure from Molecular Similarity Indices

AUTHOR(S): Benigni, Romualdo; Cotta-Ramusino, Marina; Gallo, Grazia; Giorgi, Fabrizio; Giuliani, Alessandro; Vari, Maria Rosaria

CORPORATE SOURCE: Laboratorio di Tossicologia Comparata ed Ecotossicologia and Laboratorio di Chimica del Farmaco, Istituto Superiore di Sanita, Rome, 00161, Italy

SOURCE: Journal of Medicinal Chemistry (2000), 43(20), 3699-3703

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A versatile new method has been developed as a continuous symmetry measure for chiral compds. The application of principal component anal. (PCA) to the complete N .times. N pairwise similarity matrixes (electrostatic potential and shape indexes) of a series of dihydropyridine calcium channel antagonists allowed to single out a chirality component and to compute a chirality score in terms of the between-enantiomers difference on the component value. The possibility to have chirality defined continuously at the series level could be of importance in eudismic analyses where the relative potency of two enantiomers is studied as well as in QSAR studies dealing with chiral mols. to improve the power of the generated models.

IT 103069-24-5

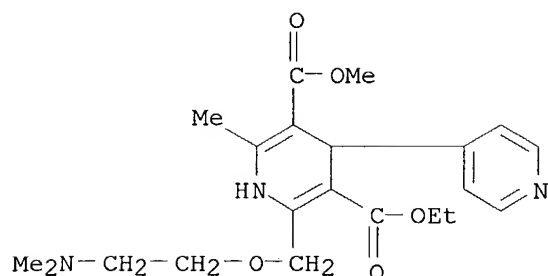
RL: PRP (Properties)

(deriving a quant. chirality measure from mol. similarity indexes using principal component anal. applied to dihydropyridine calcium channel antagonists in relation to QSAR)

RN 103069-24-5 CAPLUS

CN [4,4'-Bipyridine]-3,5-dicarboxylic acid, 2-[[2-(dimethylamino)ethoxy]methyl]-1,4-dihydro-6-methyl-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)

10/022,874



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:745320 CAPLUS

DOCUMENT NUMBER: 132:122473

TITLE: A simple and expeditious synthesis of substituted 3-aminoindolizines

AUTHOR(S): Chudik, Miloslav; Marchalin, Stefan; Pham-Huu, Duy-Phong; Humpa, Otakar; Friedl, Zdenek

CORPORATE SOURCE: Department of Organic Chemistry, Slovak Technical University, Bratislava, SK-81237, Slovakia

SOURCE: Monatshefte fuer Chemie (1999), 130(10), 1241-1252  
CODEN: MOCMB7; ISSN: 0026-9247

PUBLISHER: Springer-Verlag Wien

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 132:122473

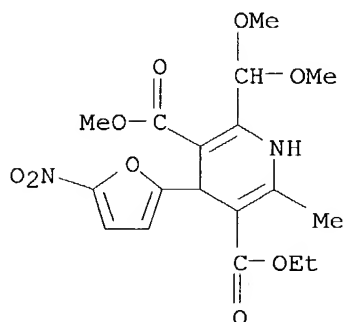
AB Treatment of easily available 2-formyl-1,4-dihydropyridines with 3-oxo-3-phenylpropanenitrile offers a simple and efficient one-pot method for the prepn. of substituted 3-aminoindolizines.

IT 256386-33-1P 256386-34-2P 256386-35-3P  
256386-36-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of substituted 3-aminoindolizines)

RN 256386-33-1 CAPLUS

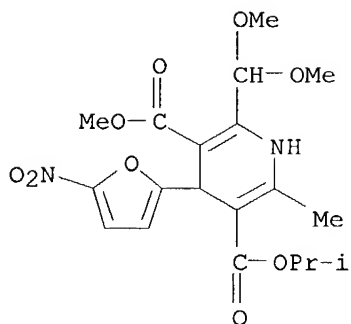
CN 3,5-Pyridinedicarboxylic acid, 2-(dimethoxymethyl)-1,4-dihydro-6-methyl-4-(5-nitro-2-furanyl)-, 5-ethyl 3-methyl ester (9CI) (CA INDEX NAME)



RN 256386-34-2 CAPLUS

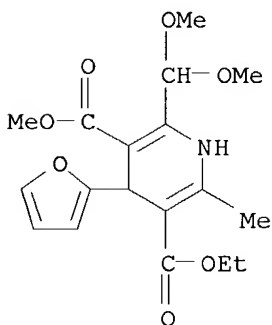
CN 3,5-Pyridinedicarboxylic acid, 2-(dimethoxymethyl)-1,4-dihydro-6-methyl-4-(5-nitro-2-furanyl)-, 3-methyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)

10/022,874



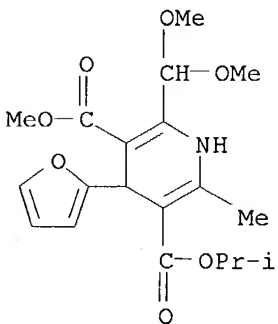
RN 256386-35-3 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-(dimethoxymethyl)-4-(2-furanyl)-1,4-dihydro-6-methyl-, 5-ethyl 3-methyl ester (9CI) (CA INDEX NAME)



RN 256386-36-4 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-(dimethoxymethyl)-4-(2-furanyl)-1,4-dihydro-6-methyl-, 3-methyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:739561 CAPLUS

DOCUMENT NUMBER: 132:180209

TITLE: Force field and semiempirical MO conformational analysis of dihydropyridine calcium-channel antagonists

AUTHOR(S): Cotta Ramusino, M.; Vari, M. R.

CORPORATE SOURCE: Laboratorio di Chimica del Farmaco, Istituto Superiore  
di Sanita, Rome, 00161, Italy  
SOURCE: THEOCHEM (1999), 492, 257-268  
CODEN: THEODJ; ISSN: 0166-1280  
PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Force field and semiempirical MO calcns. were used to investigate the conformational features (dihydropyridine ring puckering, inter-ring and side-chain dihedral angles) of a group of 4-aryl substituted dihydropyridine calcium-channel antagonists. The considered compds. were studied both in vacuo and in water (simulated with the Cosmo approach). For derivs. bearing a basic side chain the corresponding protonated structures were also submitted to MO calcns. The investigation highlighted the conformational flexibility of the dihydropyridine derivs., the .DELTA.Hf of the most stable uncharged conformers of each compd. lying in a range of 2-7 kcal.cntdot.mol-1.

IT 259182-36-0 259182-52-0

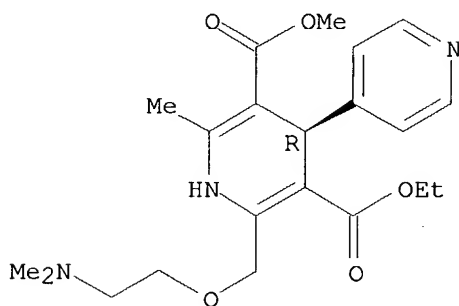
RL: PRP (Properties)

(force field and semiempirical MO conformational anal. of dihydropyridine calcium-channel antagonists in neutral and protonated forms in vacuo and water)

RN 259182-36-0 CAPLUS

CN [4,4'-Bipyridine]-3,5-dicarboxylic acid, 2-[[2-(dimethylamino)ethoxy)methyl]-1,4-dihydro-6-methyl-, 3-ethyl 5-methyl ester, (4R)- (9CI) (CA INDEX NAME)

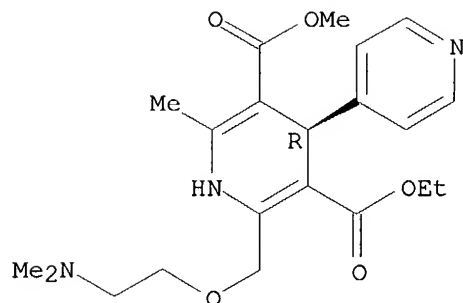
Absolute stereochemistry.



RN 259182-52-0 CAPLUS

CN [4,4'-Bipyridine]-3,5-dicarboxylic acid, 2-[[2-(dimethylamino)ethoxy)methyl]-1,4-dihydro-6-methyl-, 3-ethyl 5-methyl ester, conjugate monoacid, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● H<sup>+</sup>

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:542395 CAPLUS

DOCUMENT NUMBER: 129:230605

TITLE: Synthesis and spectral properties of methyl 6-acetyl- or 6-cyano-3-amino-2-benzoyl-7-furyl-5-methylindolizine-8-carboxylates

AUTHOR(S): Chudik, Miloslav; Marchalin, Stefan; Havrilova, Katarina

CORPORATE SOURCE: Department of Organic Chemistry, Slovak Technical University, Bratislava, 812 37, Slovakia

SOURCE: Collection of Czechoslovak Chemical Communications (1998), 63(6), 826-834

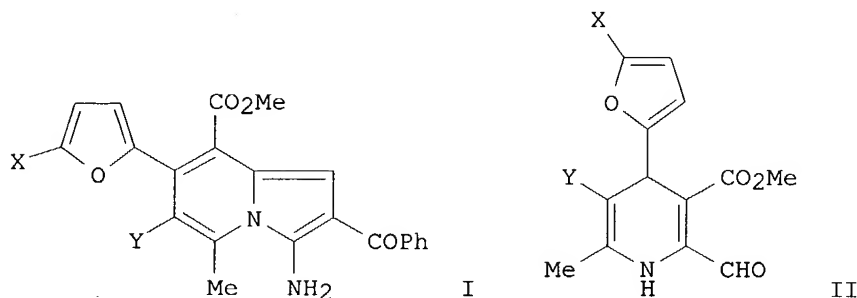
CODEN: CCCCCA; ISSN: 0010-0765

PUBLISHER: Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Good yields of the title compds. (I; X = COOMe, cyano, NO<sub>2</sub>; Y = MeCO, cyano) were obtained in the reaction of II (same X, Y) with 3-phenyl-3-oxopropanenitrile. Spectral properties of I were discussed.

IT 212771-64-7P 212771-65-8P 212771-66-9P

212771-67-0P 212771-68-1P 212771-70-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT



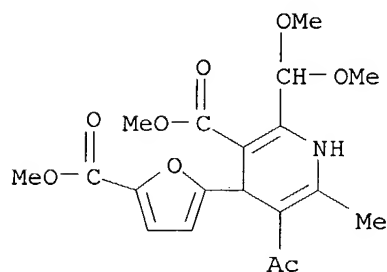
10/022,874

(Reactant or reagent)

(prepn. and conversion to aldehyde)

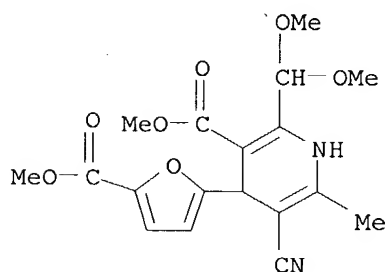
RN 212771-64-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-acetyl-2-(dimethoxymethyl)-1,4-dihydro-4-[5-(methoxycarbonyl)-2-furanyl]-6-methyl-, methyl ester (9CI) (CA INDEX NAME)



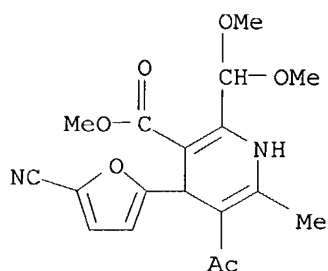
RN 212771-65-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-cyano-2-(dimethoxymethyl)-1,4-dihydro-4-[5-(methoxycarbonyl)-2-furanyl]-6-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 212771-66-9 CAPLUS

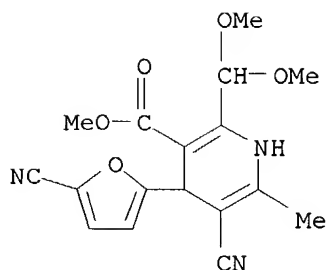
CN 3-Pyridinecarboxylic acid, 5-acetyl-4-(5-cyano-2-furanyl)-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 212771-67-0 CAPLUS

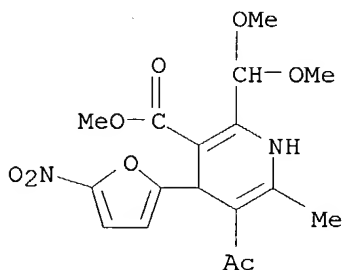
CN 3-Pyridinecarboxylic acid, 5-cyano-4-(5-cyano-2-furanyl)-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

10/022,874



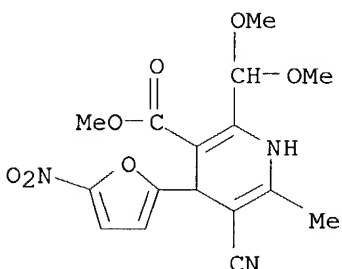
RN 212771-68-1 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-acetyl-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-4-(5-nitro-2-furanyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 212771-70-5 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-cyano-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-4-(5-nitro-2-furanyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:414735 CAPLUS

DOCUMENT NUMBER: 129:67709

TITLE: Dihydropyridine derivatives for treatment of benign prostatic hyperplasia

INVENTOR(S): Gluchowski, Charles; Wetzel, John M.; Chiu, George; Marzabadi, Mohammed R.; Wong, Wai C.; Nagarathnam, Dhanapalan

PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA

SOURCE: U.S., 160 pp., Cont.-in-part of U.S. Ser. No. 166,367, abandoned.

10/022,874

CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

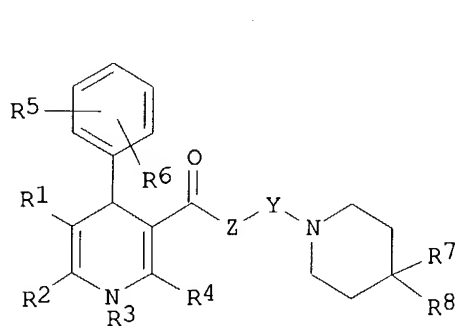
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5767131	A	19980616	US 1996-211764	19960223
WO 9422829	A2	19941013	WO 1994-US3852	19940405
WO 9422829	A3	19950105		
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9402360	A	19950522	ZA 1994-2360	19940405
US 6211198	B1	20010403	US 1998-98699	19980615
US 6310076	B1	20011030	US 2000-588973	20000607
US 2002193599	A1	20021219	US 2001-972801	20011005
US 6608086	B2	20030819		

PRIORITY APPLN. INFO.:

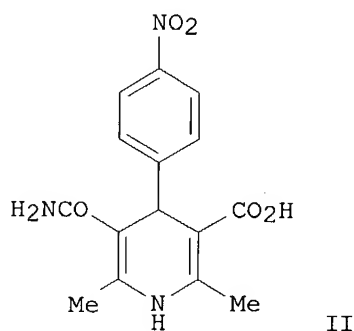
US 1993-43212	B2	19930405
US 1993-120169	B2	19930910
US 1993-166367	B2	19931210
WO 1994-US3852	W	19940405
US 1993-166308	A	19931210
US 1996-211764	A3	19960223
US 1998-98699	A3	19980615
US 2000-588973	A3	20000607

OTHER SOURCE(S):  
GI

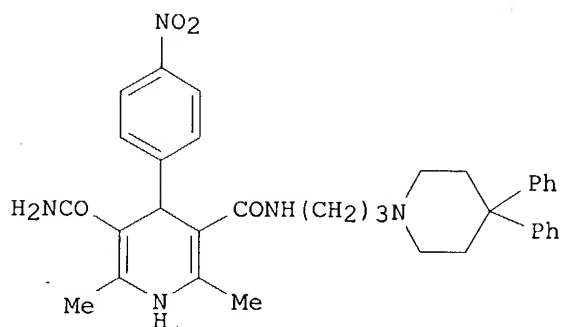
MARPAT 129:67709



I

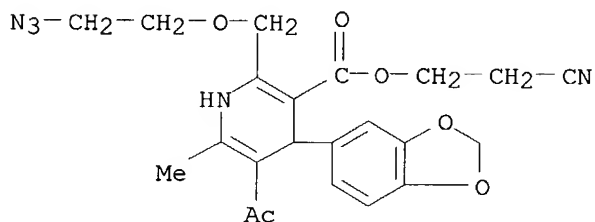


II



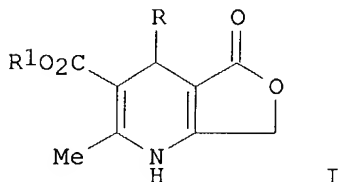
III

- AB The dihydropyridine derivs. [I; R1 = linear or branched alkyl, alkoxyalkyl, aralkyl; R2, R4 = H, linear or branched alkyl; R3 = H, linear or branched alkyl, alkoxyalkyl, acyl; R5, R6 = H, OH, Cl, Br, F, NO2, CF3, cyano, NH2, etc.; R7, R8 = H, cyano, CF3, OH, alkoxy, etc.; Y = C1-5 alkylene, C4-8 alkylene interrupted by O, alkenylene, alkynylene, etc.; Z = O, NH, CH2], useful in treating benign prostatic hyperplasia, inhibition of cholesterol synthesis, and redn. in intraocular pressure, are prepd. and formulated. Amidation of carboxylic acid II (prepn. given) with 3-(4,4-diphenylpiperidino)propylamine in refluxing CH2Cl2 gave 58.8% title compd. (.+-.)-III, which showed Ki of 1.9 nmol/kg in reducing urethral pressure in vivo in dogs.
- IT **166810-89-5P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of dihydropyridine derivs. as drugs)
- RN 166810-89-5 CAPLUS
- CN 3-Pyridinecarboxylic acid, 5-acetyl-2-[(2-azidoethoxy)methyl]-4-(1,3-benzodioxol-5-yl)-1,4-dihydro-6-methyl-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1996:28492 CAPLUS  
 DOCUMENT NUMBER: 124:202067  
 TITLE: Methods of synthesis of 4-(pyrazolyl)- and 4-(pyridyl)-5-oxo-1,4,5,7-tetrahydrofuro[3,4-b]pyridines  
 AUTHOR(S): Sausins, A.; Chekavichus, B.; Duburs, G.  
 CORPORATE SOURCE: Latv. Inst. Org. Sint., Riga, Latvia  
 SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1995), (7), 966-72  
 CODEN: KGSSAQ; ISSN: 0132-6244  
 PUBLISHER: Latviiskii Institut Organicheskogo Sintez  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 GI



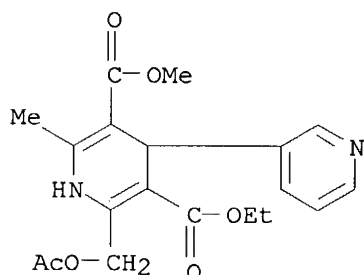
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AB Title compds. I (R = 3-phenyl-1H-pyrazol-4-yl, 1,3-diphenyl-1H-pyrazol-4-yl, 3-pyridyl, 4-pyridyl; R1 = Me, Et, Pr, Bu, allyl, n-tetradecyl) were best prepd. from 4-chloro- and 4-acetoxyacetoacetate esters in variations of the Hantzsch synthesis with closure of the lactone ring in the process.

IT **174314-92-2P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(4-(pyrazolyl)- and 4-(pyridyl)-5-oxo-1,4,5,7-tetrahydrofuro[3,4-b]pyridine prepn. methods)

RN 174314-92-2 CAPLUS

CN [3,4'-Bipyridine]-3',5'-dicarboxylic acid, 2'-[(acetyloxy)methyl]-1',4'-dihydro-6'-methyl-, 3'-ethyl 5'-methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:888885 CAPLUS

DOCUMENT NUMBER: 124:227

TITLE: Structure-activity relationship studies in the field of calcium antagonists. Xanthone 1,4-dihydropyridines bearing a 2,3-lactone ring

AUTHOR(S): Rampa, A.; Budriesi, R.; Bisi, A.; Fabbri, G.; Barili, P. L.; Chiarilni, A.; Valenti, P.

CORPORATE SOURCE: Department Pharmaceutical Sciences, University Bologna, Italy

SOURCE: Arzneimittel-Forschung (1995), 45(9), 957-62  
CODEN: ARZNAD; ISSN: 0004-4172

PUBLISHER: Cantor

DOCUMENT TYPE: Journal

LANGUAGE: English

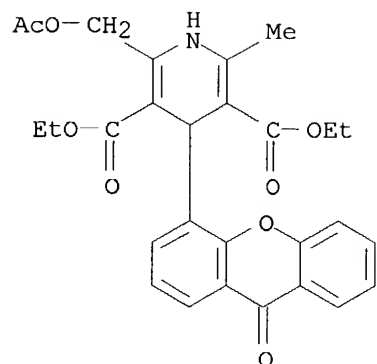
AB A series of xanthone 1,4-dihydropyridine derivs. bearing a 2,3-lactone ring and a 2-acetoxymethyl group were prepd. The compds. were evaluated for inotropic, chronotropic and calcium antagonist properties. The introduction of a 2,3-lactone ring improved the neg. inotropic activity and selectivity.

IT **171260-04-1P 171260-10-9P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. and structure activity of calcium antagonist xanthone dihydropyridines and cardiovascular effects)

RN 171260-04-1 CAPLUS

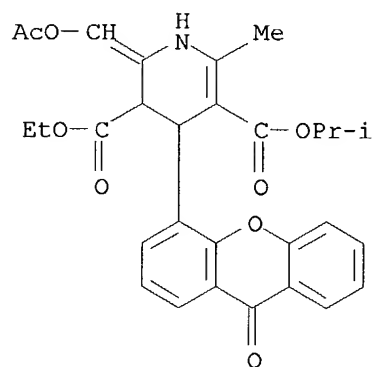
CN 3,5-Pyridinedicarboxylic acid, 2'-[(acetyloxy)methyl]-1,4-dihydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, diethyl ester (9CI) (CA INDEX NAME)

10/022,874



RN 171260-10-9 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methylene]-1,2,3,4-tetrahydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, 3-ethyl 5-(1-methylethyl) ester (9CI)  
(CA INDEX NAME)



IT 171260-03-0P 171260-05-2P 171260-06-3P

171260-07-4P 171260-08-5P 171260-09-6P

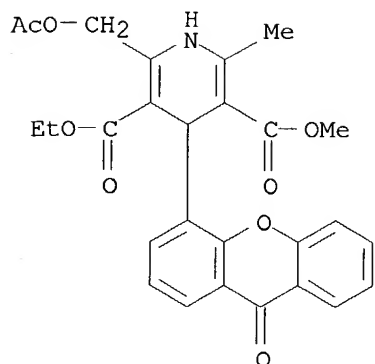
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and structure activity of calcium antagonist xanthone dihydropyridines and cardiovascular effects)

RN 171260-03-0 CAPLUS

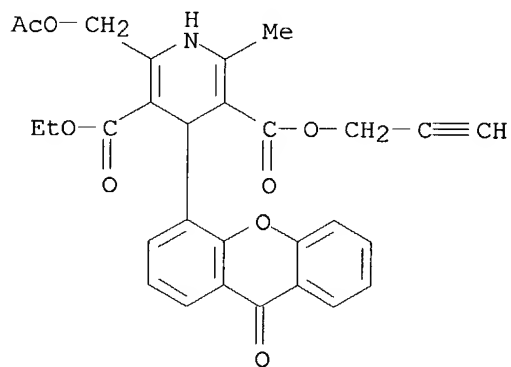
CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methyl]-1,4-dihydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)

10/022,874



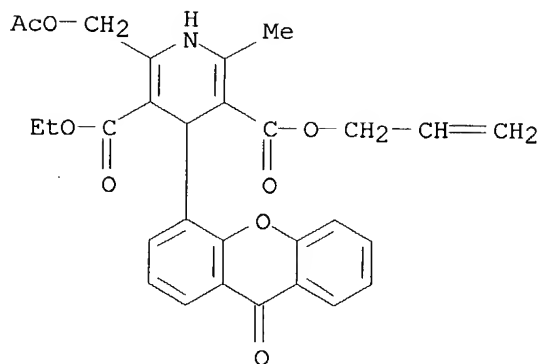
RN 171260-05-2 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methyl]-1,4-dihydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, 3-ethyl 5-(2-propynyl) ester (9CI) (CA INDEX NAME)



RN 171260-06-3 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methyl]-1,4-dihydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, 3-ethyl 5-(2-propenyl) ester (9CI) (CA INDEX NAME)

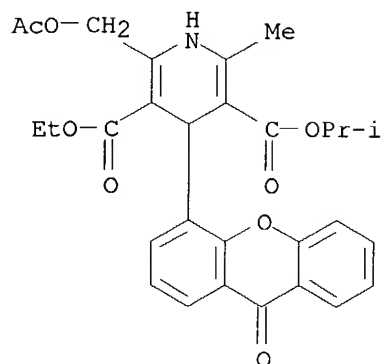


RN 171260-07-4 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methyl]-1,4-dihydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, 3-ethyl 5-(1-methylethyl) ester (9CI) (CA

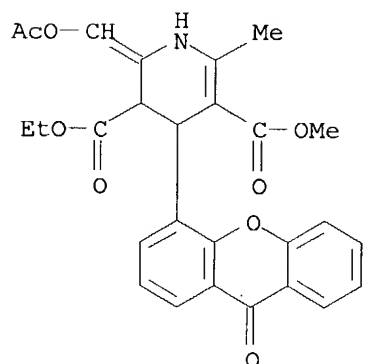
10/022,874

INDEX NAME)



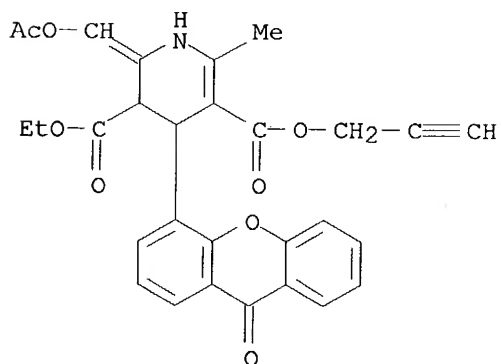
RN 171260-08-5 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methylene]-1,2,3,4-tetrahydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



RN 171260-09-6 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methylene]-1,2,3,4-tetrahydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, 3-ethyl 5-(2-propynyl) ester (9CI) (CA INDEX NAME)

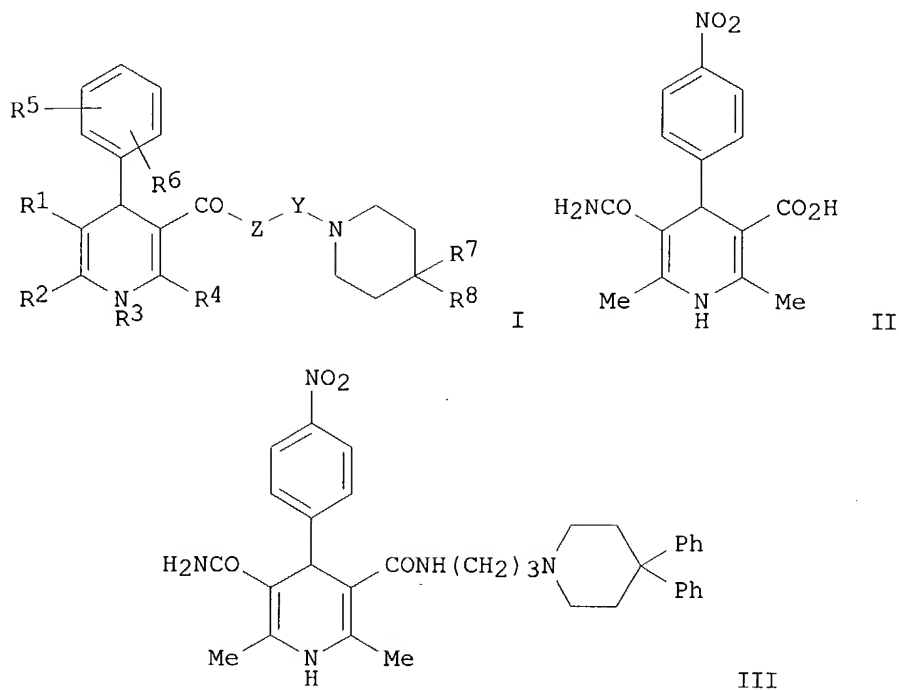




10/022,874

L11 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1995:750506 CAPLUS  
DOCUMENT NUMBER: 123:143638  
TITLE: preparation of dihydropyridine derivatives as drugs  
INVENTOR(S): Gluchowski, Charles; Wetzel, John M.; Chiu, George;  
Marzabadi, Mohammad R.; Wong, Wai C.; Nagarathnam,  
Dhanapalan  
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corp., USA  
SOURCE: PCT Int. Appl., 760 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422829	A2	19941013	WO 1994-US3852	19940405
WO 9422829	A3	19950105		
W:	AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US, US, UZ, VN			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9464986	A1	19941024	AU 1994-64986	19940405
ZA 9402360	A	19950522	ZA 1994-2360	19940405
US 5767131	A	19980616	US 1996-211764	19960223
US 6211198	B1	20010403	US 1998-98699	19980615
US 6310076	B1	20011030	US 2000-588973	20000607
US 2002193599	A1	20021219	US 2001-972801	20011005
US 6608086	B2	20030819		
PRIORITY APPLN. INFO.:			US 1993-43212	A 19930405
			US 1993-120169	A 19930910
			US 1993-166308	A 19931210
			US 1993-166367	B2 19931210
			WO 1994-US3852	W 19940405
			US 1996-211764	A3 19960223
			US 1998-98699	A3 19980615
			US 2000-588973	A3 20000607
OTHER SOURCE(S):	MARPAT 123:143638			
GI				



AB Dihydropyridine derivs. [I; R1 = linear or branched alkyl, alkoxyalkyl, aralkyl; R2, R4 = H, linear or branched alkyl; R3 = H, linear or branched alkyl, alkoxyalkyl, acyl; R5, R6 = H, OH, Cl Br, F, NO<sub>2</sub> CF<sub>3</sub>, cyano, NH<sub>2</sub>, etc.; R7, R8 = H, cyano, CF<sub>3</sub>, OH, alkoxy, etc.; Y = C1-5 alkylene, C4-8 alkylene interrupted by O, alkenylene, alkynylene, etc.; Z = O, NH, CH<sub>2</sub>], useful in treating benign prostatic hyperplasia, inhibition of cholesterol synthesis, and redn. in intraocular pressure, are prepd. and formulated. Amidation of carboxylic acid II (prepn. given) with 3-(4,4-diphenylpiperidino)propylamine in refluxing CH<sub>2</sub>Cl<sub>2</sub> gave 58.8% title compd. (.+-.)-III, which showed K<sub>i</sub> of 1.9 nmol/kg in reducing urethral pressure in vivo in dogs.

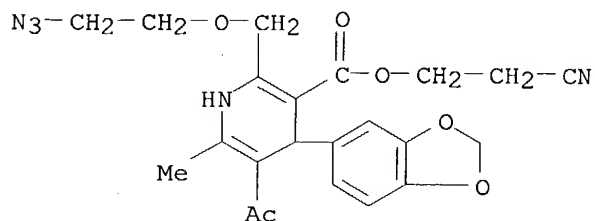
IT **166810-89-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of dihydropyridine derivs. as drugs)

RN 166810-89-5 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-acetyl-2-[(2-azidoethoxy)methyl]-4-(1,3-benzodioxol-5-yl)-1,4-dihydro-6-methyl-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)



10/022,874

ACCESSION NUMBER: 1995:480292 CAPLUS  
DOCUMENT NUMBER: 122:239545  
TITLE: Preparation of 4-bicyclyldihydropyridines as  
cardiovascular agents.  
INVENTOR(S): Straub, Alexander; Goldmann, Siegfried; Stoltefuss,  
Juergen; Bechem, Martin; Dembrowsky, Klaus; Gross,  
Rainer; Hebisch, Siegbert; Huetter, Joachim; Rounding,  
Howard-Paul  
PATENT ASSIGNEE(S): Bayer A.-G., Germany  
SOURCE: Eur. Pat. Appl., 95 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 630895	A1	19941228	EP 1994-109019	19940613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4321030	A1	19950105	DE 1993-4321030	19930624
US 5545646	A	19960813	US 1994-261585	19940617
CA 2126397	AA	19941225	CA 1994-2126397	19940621
JP 07033774	A2	19950203	JP 1994-160800	19940621
US 5721248	A	19980224	US 1996-644880	19960510
PRIORITY APPLN. INFO.:			DE 1993-4321030	19930624
			US 1994-261585	19940617
OTHER SOURCE(S):	MARPAT 122:239545			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

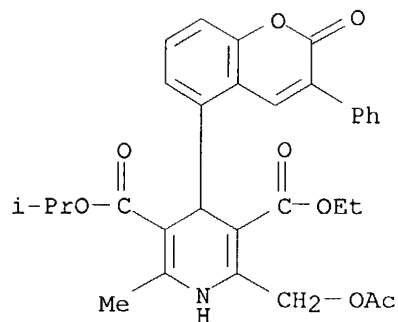
AB Title compds. [I; R1, R4 = H, amino, cyano, formyl, CF3, (substituted) alkyl; R2 = cyano, carbamoyl, alkoxycarbonyl, etc.; R3 = cyano, NO2, formyl, (substituted) alkoxycarbonyl, carbamoyl; R3R4 = COECH2; E = O, S, (CH2)n; n = 1,2; R5 = Q1-Q4, etc.; R24 = H, halo, alkyl, alkoxy; R25 = (cyclic) (unsatd.) (O- or S-interrupted) (substituted) hydrocarbyl; L = O, S, NH; V = O, S; X = N, NO], were prep'd. having Ca agonist/antagonist activity (no data). Thus, Et 5-cyano-1,4-dihydro-2,6-dimethyl-4-(4-oxo-2-phenyl-4H-1-benzothiopyran-8-yl)-3-pyridinecarboxylate was heated with NaBH4 in Me3COH/MeOH to give title comp'd. II.

IT **162135-33-3P 162135-36-6P 162135-37-7P 162135-44-6P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 4-bicyclyldihydropyridines as cardiovascular agents)

RN 162135-33-3 CAPLUS

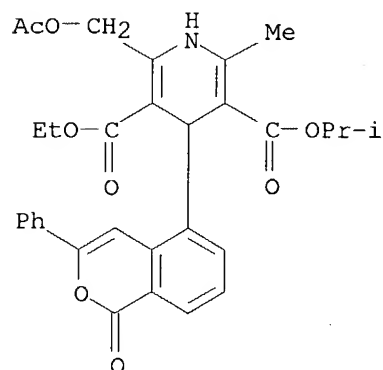
CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methyl]-1,4-dihydro-6-methyl-4-(2-oxo-3-phenyl-2H-1-benzopyran-5-yl)-, 3-ethyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)

10/022,874



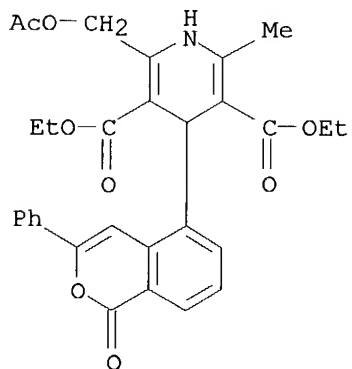
RN 162135-36-6 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methyl]-1,4-dihydro-6-methyl-4-(1-oxo-3-phenyl-1H-2-benzopyran-5-yl)-, 3-ethyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)



RN 162135-37-7 CAPLUS

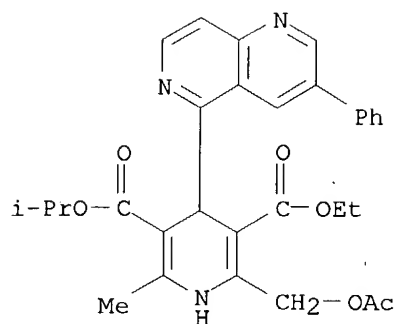
CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methyl]-1,4-dihydro-6-methyl-4-(1-oxo-3-phenyl-1H-2-benzopyran-5-yl)-, diethyl ester (9CI) (CA INDEX NAME)



RN 162135-44-6 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methyl]-1,4-dihydro-6-methyl-4-(3-phenyl-1,6-naphthyridin-5-yl)-, 3-ethyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)

10/022,874



L11 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:294115 CAPLUS

DOCUMENT NUMBER: 122:81143

TITLE: Preparation of 2,6-disubstituted-4-quinolyl dihydropyridines for the treatment of heart and circulatory diseases.

INVENTOR(S): Stoltefuss, Juergen; Goldmann, Siegfried; Straub, Alexander; Bechem, Martin; Gross, Rainer; Heibisch, Siegbert; Huetter, Joachim; Rounding, Howard-Paul

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 29 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

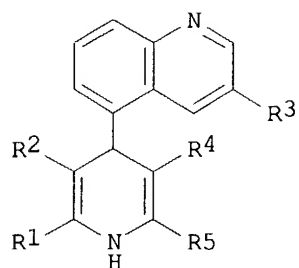
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 622364	A2	19941102	EP 1994-105774	19940414
EP 622364	A3	19941130		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4313691	A1	19941103	DE 1993-4313691	19930427
AU 9459228	A1	19941103	AU 1994-59228	19940331
US 5514803	A	19960507	US 1994-230178	19940420
CA 2122001	AA	19941028	CA 1994-2122001	19940422
FI 9401909	A	19941028	FI 1994-1909	19940425
NO 9401515	A	19941028	NO 1994-1515	19940426
JP 06340657	A2	19941213	JP 1994-110487	19940426
ZA 9402880	A	19950104	ZA 1994-2880	19940426
HU 70487	A2	19951030	HU 1994-1190	19940426
CN 1100420	A	19950322	CN 1994-104698	19940427

PRIORITY APPLN. INFO.: DE 1993-4313691 19930427

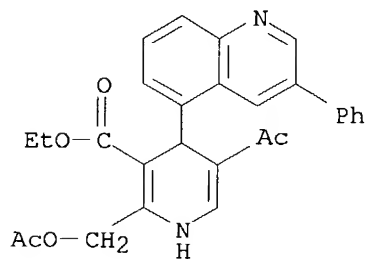
OTHER SOURCE(S): MARPAT 122:81143

GI



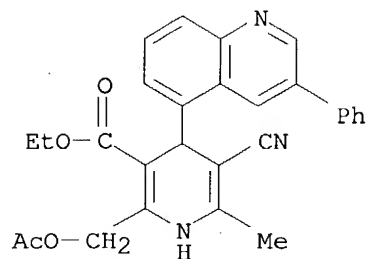
I

- AB The title compds. [I; R1, R5 = H, CN, CHO, CF3, (un)branched alkyl, etc.; R2 = CN, NO2, CHO; R3 = (un)substituted C6-10 aryl, (un)substituted thienyl, (un)substituted pyridyl; R4 = (un)substituted aminocarbonyl, etc.; R1R2 = CO2CH2] (e.g., R1 = H, R2 = CN, R3 = Ph, R4 = CO2Pr, R5 = Me; m.p. 217-218.degree.), useful in the treatment of heart and circulatory diseases (no data), are prepd.
- IT **160200-17-9P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of 2,6-disubstituted-4-quinolyldihydropyridines for the treatment of heart and circulatory diseases)
- RN 160200-17-9 CAPLUS
- CN 3-Pyridinecarboxylic acid, 5-acetyl-2-[(acetyloxy)methyl]-1,4-dihydro-4-(3-phenyl-5-quinolinyl)-, ethyl ester (9CI) (CA INDEX NAME)



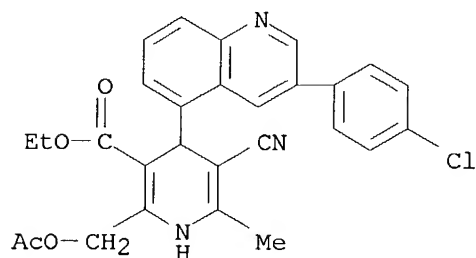
- IT **160200-20-4P 160200-21-5P 160200-29-3P 160200-30-6P**  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of 2,6-disubstituted-4-quinolyldihydropyridines for the treatment of heart and circulatory diseases)
- RN 160200-20-4 CAPLUS
- CN 3-Pyridinecarboxylic acid, 2-[(acetyloxy)methyl]-5-cyano-1,4-dihydro-6-methyl-4-(3-phenyl-5-quinolinyl)-, ethyl ester (9CI) (CA INDEX NAME)

10/022,874



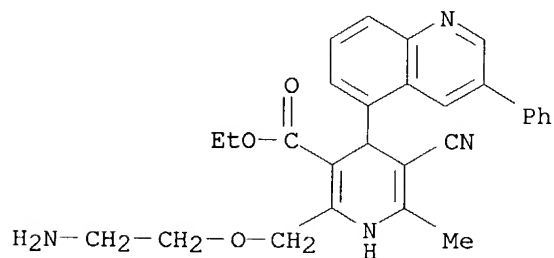
RN 160200-21-5 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-[(acetyloxy)methyl]-4-[3-(4-chlorophenyl)-5-quinolinyl]-5-cyano-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)



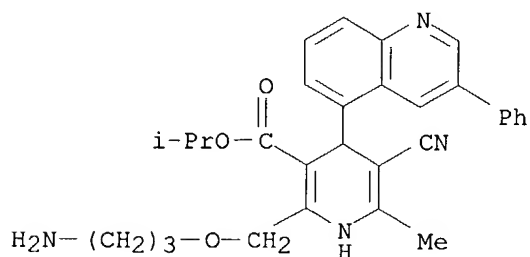
RN 160200-29-3 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-[(2-aminoethoxy)methyl]-5-cyano-1,4-dihydro-6-methyl-4-(3-phenyl-5-quinolinyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 160200-30-6 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-[(3-aminopropoxy)methyl]-5-cyano-1,4-dihydro-6-methyl-4-(3-phenyl-5-quinolinyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)

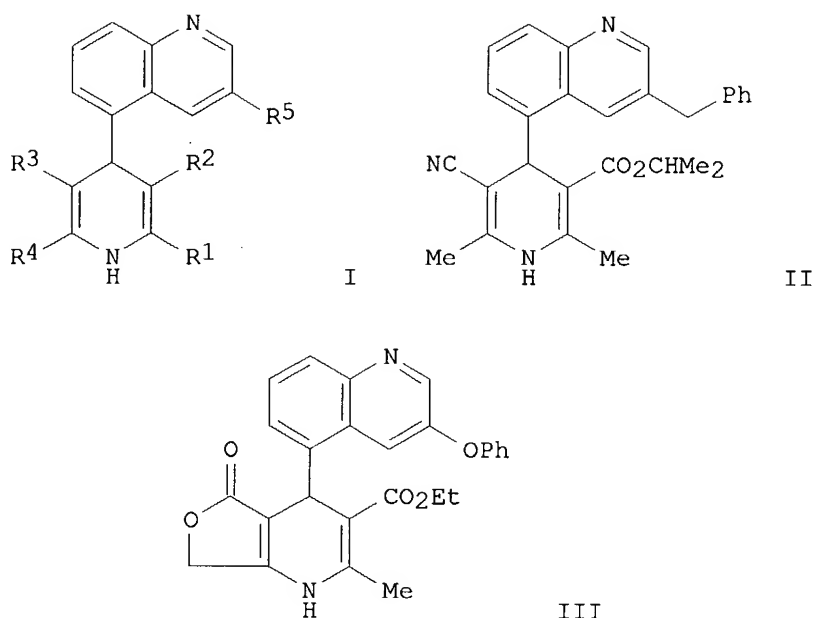


10/022,874

L11 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1995:257890 CAPLUS  
DOCUMENT NUMBER: 122:31346  
TITLE: Preparation of (5-quinolinyl)dihydropyridines and  
(5-quinolinyl)furopyridines as cardiovascular agents  
INVENTOR(S): Stoltefus, Juergen; Goldmann, Siegfried; Straub,  
Alexander; Bechem, Martin; Gros, Rainer; Hebisch,  
Siegbert; Huetter, Joachim; Rounding, Howard-Paul  
PATENT ASSIGNEE(S): Bayer A.-G., Germany  
SOURCE: Ger. Offen., 35 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4313692	A1	19941103	DE 1993-4313692	19930427
AU 9459220	A1	19941103	AU 1994-59220	19940331
AU 675693	B2	19970213		
EP 627427	A1	19941207	EP 1994-105773	19940414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5504210	A	19960402	US 1994-230286	19940420
CA 2121971	AA	19941028	CA 1994-2121971	19940422
FI 9401912	A	19941028	FI 1994-1912	19940425
NO 9401516	A	19941028	NO 1994-1516	19940426
JP 06329667	A2	19941129	JP 1994-110546	19940426
HU 70486	A2	19951030	HU 1994-1188	19940426
CN 1100419	A	19950322	CN 1994-104689	19940427
US 5550245	A	19960827	US 1995-450461	19950525
US 5629320	A	19970513	US 1995-448930	19950525
PRIORITY APPLN. INFO.:			DE 1993-4313692	19930427
			US 1994-230286	19940420
OTHER SOURCE(S):	MARPAT 122:31346			
GI				





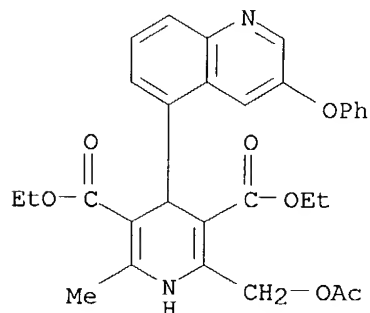
AB Substituted (5-quinolinyl)dihydropyridines I (R1, R4 = H, alkyl, amino, etc.; R2 = aminocarbonyl group, aryl; R3 = cyano, nitro, etc.; R5 = alkyl, substituent, etc.) were disclosed. I are potential cardiovascular agents (no data). Example compds. are isopropyl 5-cyano-1,4-dihydro-2,6-dimethyl-4-[3-(phenylmethyl)-5-quinolinyl]-3-pyridinecarboxylate (II) and the Et 4-(3-phenoxy-5-quinolinyl)benzofuro[3,4-b]pyridine-3-carboxylate III.

IT **159795-79-6P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of (quinolinyl)dihydropyridines cardiovascular agents)

RN 159795-79-6 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methyl]-1,4-dihydro-6-methyl-4-(3-phenoxy-5-quinolinyl)-, diethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:214081 CAPLUS

DOCUMENT NUMBER: 122:10047

TITLE: Preparation of circulation-active  
(dioxyalkylenearyl)dihydropyridines

INVENTOR(S): Franckowiak, Gerhard; Marhold, Albrecht; Bechem,

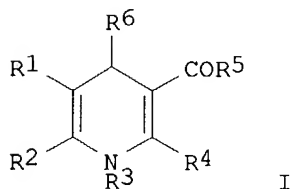
10/022,874

PATENT ASSIGNEE(S): Martin; Gross, Rainer; Kayser, Michael; Schramm, Matthias; Thomas, Guenther  
SOURCE: Bayer A.-G., Germany  
U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 814,213, abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5344944	A	19940906	US 1993-116414	19930903
DE 3716652	A1	19881208	DE 1987-3716652	19870519
US 4886816	A	19891212	US 1988-190748	19880505

PRIORITY APPLN. INFO.:  
DE 1987-3716652 19870519  
US 1988-190748 19880505  
US 1989-431942 19891106  
US 1991-644857 19910122  
US 1991-814213 19911219

OTHER SOURCE(S): CASREACT 122:10047; MARPAT 122:10047  
GI



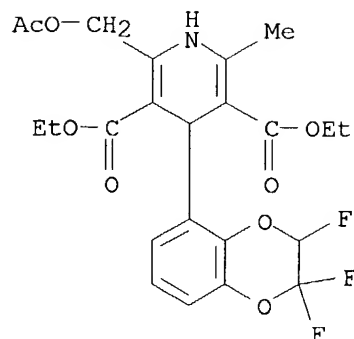
AB Title compds. I (R1 = H, NC, O2N, R7O2C wherein R7 = H, (substituted) C1-16 alkyl or cycloalkyl or alkenyl and optionally interrupted be O, S, bond; R2, R4 = C1-8 cycloalkyl, Ph, PhCH2, substituted C1-6 alkyl, etc.; R3 = H, (substituted) C1-4 alkyl optionally interrupted by O; R5 = C1-8 alkyl or cycloalkyl, R8O wherein R8 = H, C1-16 alkyl or alkenyl or cycloalkyl optionally interrupted by O and optionally substituted, etc.; R6 = substituted heterocyclyl) are prepd. Also prepd. was the intermediate 2,2-difluoro-4-formyl-1,3-benzodioxole. The activity of I was demonstrated by the influence of contraction force of the heart and tone of smooth muscle. Me .beta.-aminocrotonate and 2,2,3-trifluoro-1,4-benzodioxan-6-ylcarbaldehyde (prepn. given) were refluxed for 12 h to give I (R1 = MeO2C, R2 = R4 = Me, R3 = H, R5 = MeO, R6 = 2,2,3-trifluoro-1,4-benzodioxan-6-yl).

IT **119895-50-0P**

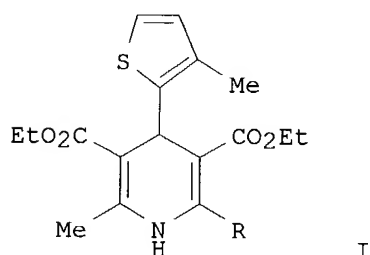
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of circulation-active (dioxyalkylenearyl) dihydropyridines)

RN 119895-50-0 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methyl]-1,4-dihydro-6-methyl-4-(2,2,3-trifluoro-2,3-dihydro-1,4-benzodioxin-5-yl)-, diethyl ester (9CI)  
(CA INDEX NAME)



L11 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1994:680509 CAPLUS  
 DOCUMENT NUMBER: 121:280509  
 TITLE: Synthesis of thiophenyl isosteres of  
 1,4-dihydropyridines with calcium antagonist activity  
 AUTHOR(S): Falsone, G.; DeNardo, M. M.; Cateni, F.; Bet, N.;  
 Kukovec, W. R.; Holzmann, S.; Stadtthaller, A.  
 CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of  
 Trieste, Trieste, I-34127, Italy  
 SOURCE: Pharmaceutical and Pharmacological Letters (1994),  
 3(6), 233-6  
 CODEN: PPLEE3; ISSN: 0939-9488  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



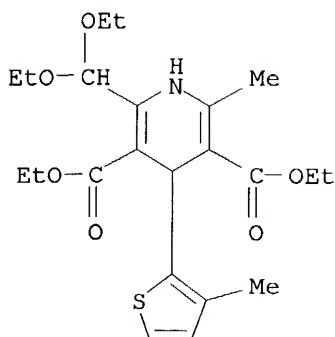
AB The synthesis of the thiophenyl isosteres of 1,4-dihydropyridines from 3-methyl-2-thiophenecarboxaldehyde and Me acetoacetate in presence of ammonia is described. The derivs., e.g. I (R = CH<sub>2</sub>OH), contg. various substituents at the 2-position of the pyridine ring, are obtained via the key intermediate I (R = CHO). The compds. tested showed less calcium antagonist activity than nifedipine.

IT **158778-14-4P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of thienyldihydropyridines with calcium antagonist activity)

RN 158778-14-4 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-(diethoxymethyl)-1,4-dihydro-6-methyl-4-(3-methyl-2-thienyl)-, diethyl ester (9CI) (CA INDEX NAME)

10/022,874



L11 ANSWER 16 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:605366 CAPLUS

DOCUMENT NUMBER: 121:205366

TITLE: [[[sulfonamino]carboazolyl]alkoxy]ethoxymethyl]pyridinedicarboxylates as antihypertensives

INVENTOR(S): Niewoehner, Ulrich; Knorr, Andreas; Perzborn, Elisabeth; Schramm, Matthias; Schlemmer, Karl-Heinz

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 17 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

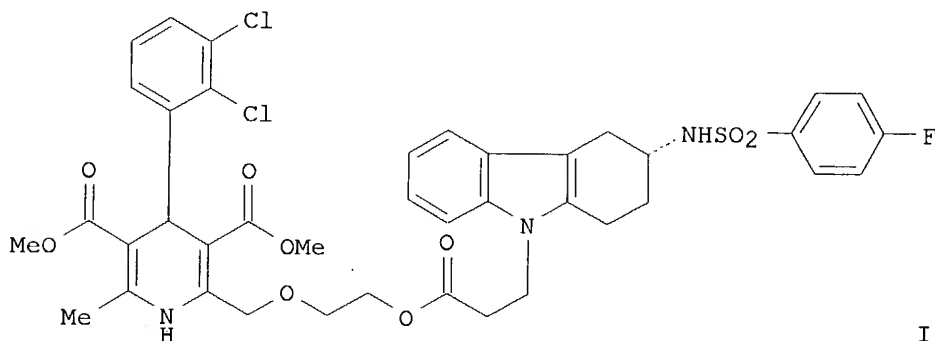
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4305456	A1	19940825	DE 1993-4305456	19930223
PRIORITY APPLN. INFO.:			DE 1993-4305456	19930223
OTHER SOURCE(S):	MARPAT 121:205366			

GI



I

AB The title compds. were disclosed antihypertensives, for treatment of coronary insufficiency, ischemia, prevention of stenosis and treatment of arteriosclerosis, asthma and allergies. An example compd., the [[[[[(phenylsulfonyl)amino]carboazolyl]propyl]amino]ethoxy]dihydropyridine dicarboxylate I was prepd. In rats I (3 mg/kg) had an antihypertensive effect.

IT 158152-18-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

10/022,874

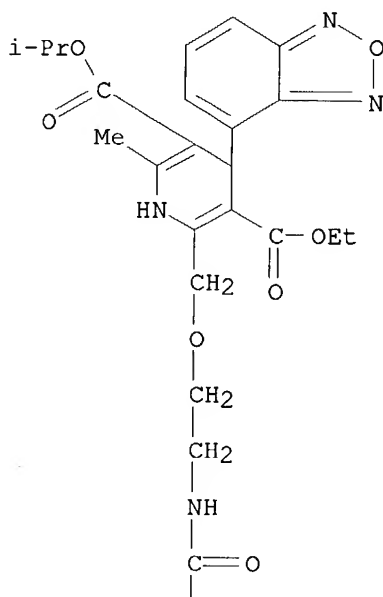
study); PREP (Preparation); USES (Uses)

(prepn. of [[[sulfonylamino]carboazolyl]alkoxy]ethoxymethyl]pyridinedi  
carboxylates antihypertensives)

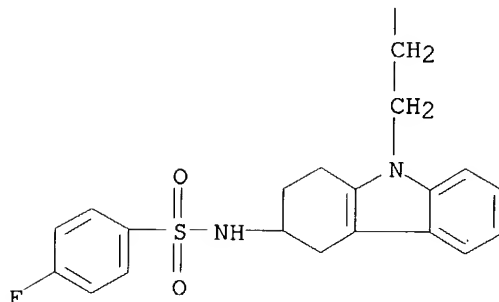
RN 158152-18-2 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-[[2-[[3-[3-  
[[4-fluorophenyl)sulfonyl]amino]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1-  
oxopropyl]amino]ethoxy]methyl]-1,4-dihydro-6-methyl-, 3-ethyl  
5-(1-methylethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L11 ANSWER 17 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:435279 CAPLUS

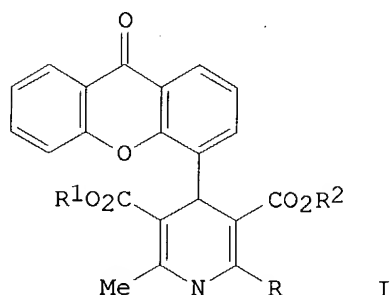
DOCUMENT NUMBER: 121:35279

TITLE: SAR studies in the field of Ca-antagonists:  
2-substituted 1,4-dihydropyridines with a xanthone  
backbone

AUTHOR(S): Bisi, Alessandra; Budriesi, Roberta; Chiarini,

10/022,874

CORPORATE SOURCE: Alberto; Rampa, Angela; Valenti, Piero  
SOURCE: Dip. Sci., Univ. Stud. Bologna, Bologna, 40126, Italy  
Farmaco (1993), 48(11), 1491-502  
CODEN: FRMCE8; ISSN: 0014-827X  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

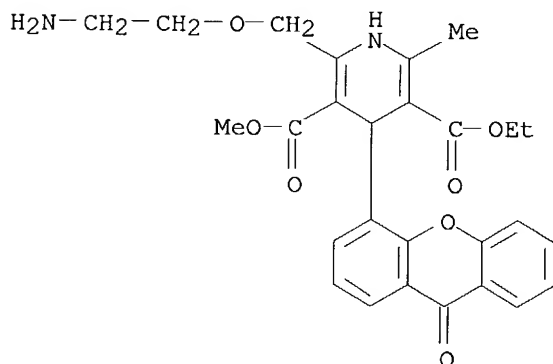


AB A series of 2-substituted 1,4-dihydropyridines I [R = CH<sub>2</sub>F, NH<sub>2</sub>, CH<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>NH<sub>2</sub>; R<sub>1</sub> = Me, Et; R<sub>2</sub> = Me, Et, CHMe<sub>2</sub>, allyl] with a xanthone backbone was prepd. The compds. were evaluated for inotropic, chronotropic and calcium antagonist properties.

IT 155602-20-3P 155602-21-4P 155602-22-5P  
155602-23-6P 155602-24-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and inotropic, chronotropic and calcium antagonist properties of)

RN 155602-20-3 CAPLUS

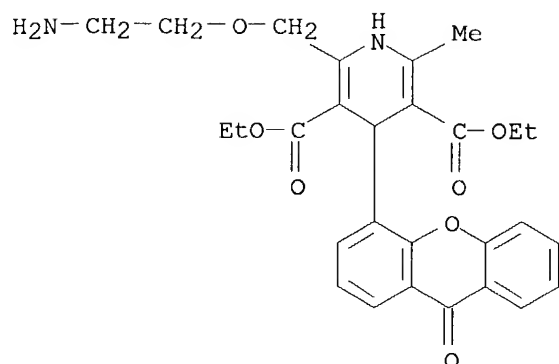
CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-1,4-dihydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, 5-ethyl 3-methyl ester (9CI) (CA INDEX NAME)



RN 155602-21-4 CAPLUS

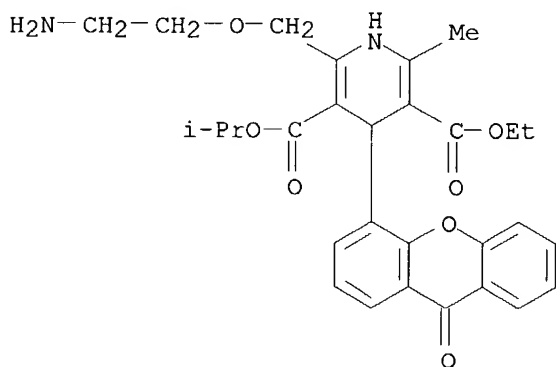
CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-1,4-dihydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, diethyl ester (9CI) (CA INDEX NAME)

10/022,874



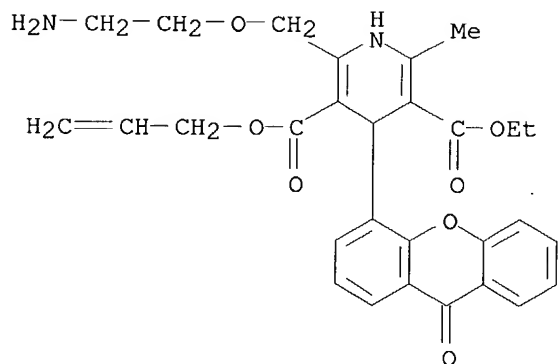
RN 155602-22-5 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-1,4-dihydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, 5-ethyl 3-(1-methylethyl) ester (9CI) (CA INDEX NAME)



RN 155602-23-6 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-1,4-dihydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, 5-ethyl 3-(2-propenyl) ester (9CI) (CA INDEX NAME)

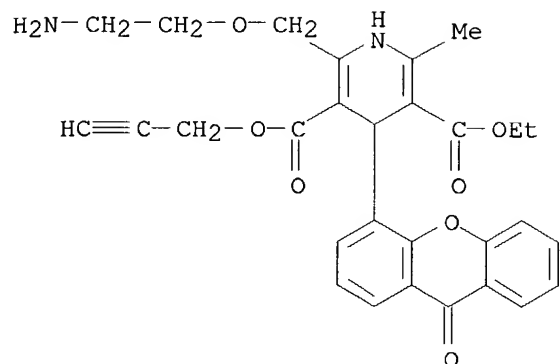


RN 155602-24-7 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-1,4-dihydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, 5-ethyl 3-(2-propynyl) ester (9CI) (CA

10/022,874

INDEX NAME)

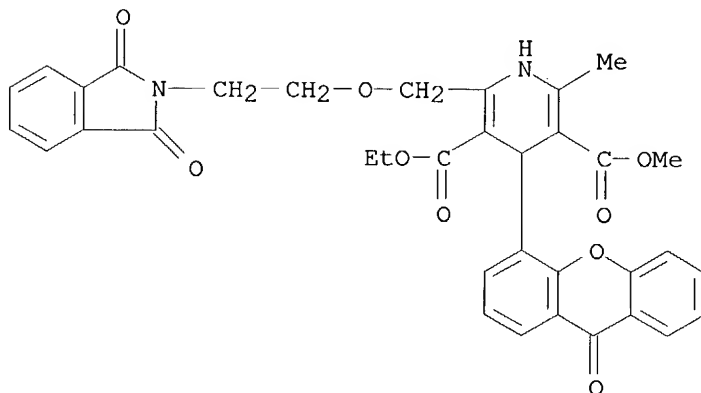


IT 155602-25-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and ring cleavage of)

RN 155602-25-8 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy)methyl]-1,4-dihydro-6-methyl-4-(9-oxo-9H-xanthen-4-yl)-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:35873 CAPLUS

DOCUMENT NUMBER: 112:35873

TITLE: Preparation of 4-(2,1,3-benzoxadiazol-4-yl)-2-carbamoyloxymethyl-1,4-dihydropyridine-3,5-dicarboxylates as cardiovascular agents

INVENTOR(S): Iwazawa, Zenichi; Fukami, Takehiro; Nagura, Jun; Fukuroda, Naohiro

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

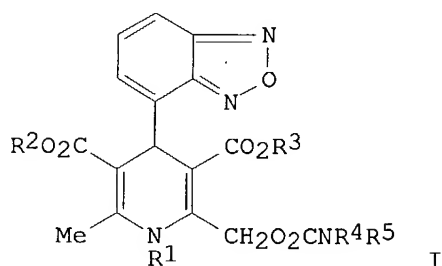
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:



10/022,874

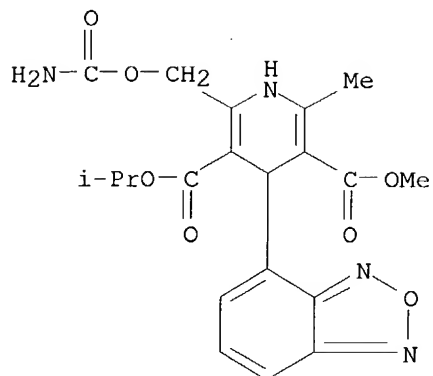
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01168684	A2	19890704	JP 1987-327698	19871224
PRIORITY APPLN. INFO.:			JP 1987-327698	19871224
OTHER SOURCE(S):		MARPAT 112:35873		
GI				



AB The title derivs. [I; R1 = H, lower alkyl; R2, R3 = lower alkyl, lower alkoxyalkyl, (CH2)nNR6R7; n = 2-4; R4, R5 = H, lower alkyl; R6,R7 = lower alkyl, aralkyl, aryl] and their pharmaceutically acceptable acid addn. salts are prepd. I have strong vasodilating and antihypertensive activity with reduced side effects such as increase in heart beats, and thus are useful for treatment of cardiovascular diseases such as hypertension, heart failure, angina pectoris, and cardiac infarction. Thus, a soln. of 4-formyl-2,1,3-benzoxadiazole, AcOCH2CH2COCH2CO2CHMe2, and MeC(NH2):CHCO2Me in 2-propanol was refluxed 12 h to give, after deacetylation with MeONa in MeOH, iso-Pr H-(2,1,3-benzoxadiazol-4-yl)-1,4-dihydro-2-hydroxymethyl-5-methoxycarbonyl-6-methyl-3-pyridinecarboxylate which was stirred with ClSO2NCO in benzene to give I (R1 = R4 = R5 = H, R2 = Me, R3 = iso-Pr)(II). II showed smooth muscle relaxant activity in house rabbit superior mesenteric artery with ED50 of (4.0 +/- 1.5) .times. 10-10 M and at 7.5 mg/kg p.o. lowered 20% the blood pressure of spontaneously hypertensive rats.

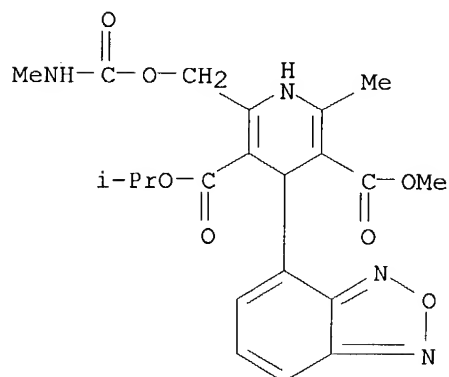
IT 124465-32-3P 124465-33-4P 124465-34-5P  
 124465-35-6P 124465-36-7P 124465-37-8P  
 124465-38-9P 124465-39-0P 124484-11-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of, as cardiovascular agent)  
 RN 124465-32-3 CAPLUS  
 CN 3,5-Pyridinedicarboxylic acid, 2-[[ (aminocarbonyl)oxy]methyl]-4-(2,1,3-benzoxadiazol-4-yl)-1,4-dihydro-6-methyl-, 5-methyl 3-(1-methylethyl) ester (9CI) (CA INDEX NAME)

10/022,874



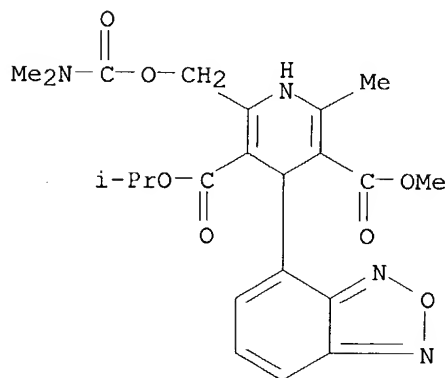
RN 124465-33-4 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-1,4-dihydro-2-methyl-6-[[[(methylamino)carbonyl]oxy]methyl]-, 3-methyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)



RN 124465-34-5 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-[[[(dimethylamino)carbonyl]oxy]methyl]-1,4-dihydro-6-methyl-, 5-methyl 3-(1-methylethyl) ester (9CI) (CA INDEX NAME)

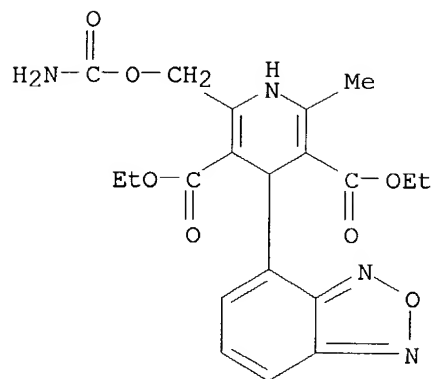


RN 124465-35-6 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[[(aminocarbonyl)oxy]methyl]-4-(2,1,3-benzoxadiazol-4-yl)-1,4-dihydro-6-methyl-, diethyl ester (9CI) (CA INDEX NAME)

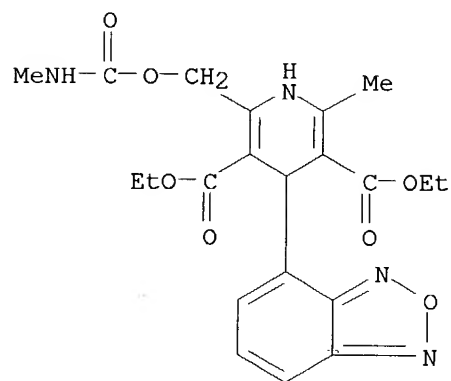
10/022,874

NAME)



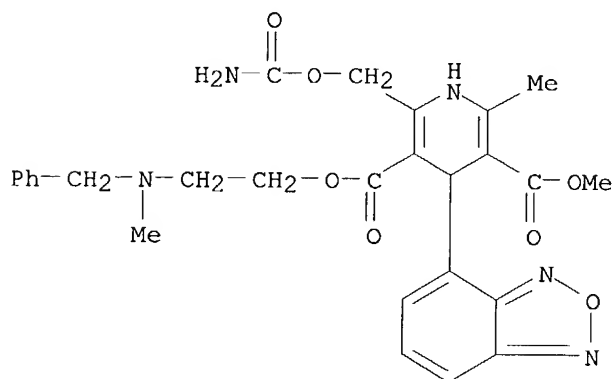
RN 124465-36-7 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-1,4-dihydro-2-methyl-6-[[[(methylamino)carbonyl]oxy]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 124465-37-8 CAPLUS

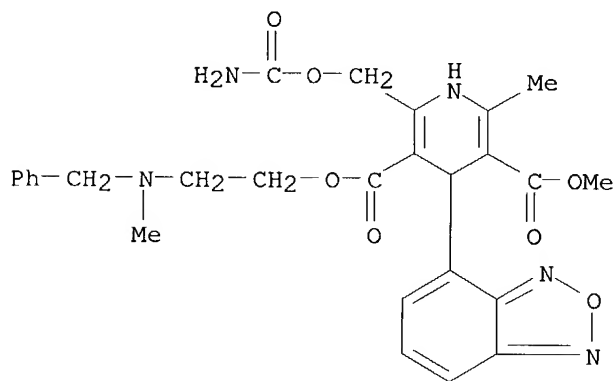
CN 3,5-Pyridinedicarboxylic acid, 2-[[[(aminocarbonyl)oxy]methyl]-4-(2,1,3-benzoxadiazol-4-yl)-1,4-dihydro-6-methyl-, 5-methyl 3-[2-[methyl(phenylmethyl)amino]ethyl] ester (9CI) (CA INDEX NAME)



RN 124465-38-9 CAPLUS

10/022,874

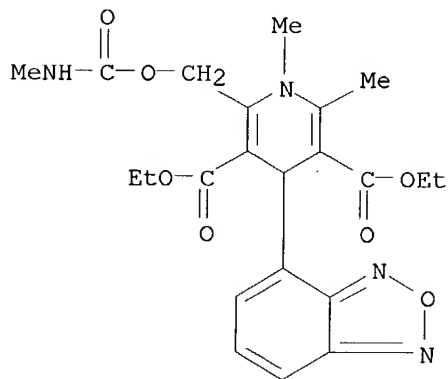
CN 3,5-Pyridinedicarboxylic acid, 2-[[ (aminocarbonyl)oxy]methyl]-4-(2,1,3-benzoxadiazol-4-yl)-1,4-dihydro-6-methyl-, 5-methyl 3-[2-[methyl(phenylmethyl)amino]ethyl] ester, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 124465-39-0 CAPLUS

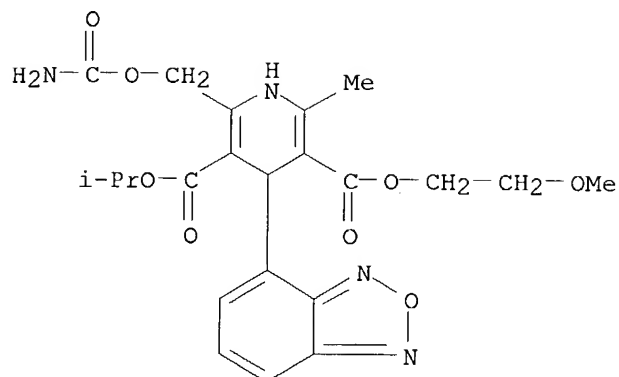
CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-1,4-dihydro-1,2-dimethyl-6-[[[(methylamino)carbonyl]oxy]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 124484-11-3 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[ (aminocarbonyl)oxy]methyl]-4-(2,1,3-benzoxadiazol-4-yl)-1,4-dihydro-6-methyl-, 5-(2-methoxyethyl) 3-(1-methylethyl) ester (9CI) (CA INDEX NAME)

10/022,874

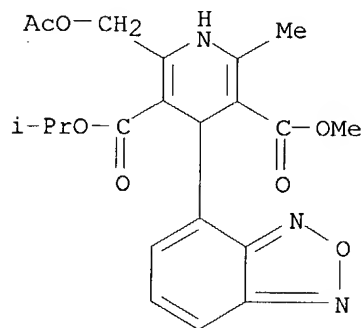


IT 124465-40-3P 124465-43-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as intermediate for cardiovascular agent)

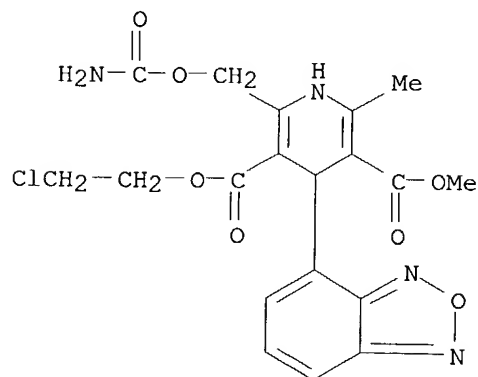
RN 124465-40-3 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methyl]-4-(2,1,3-benzoxadiazol-4-yl)-1,4-dihydro-6-methyl-, 5-methyl 3-(1-methylethyl) ester (9CI) (CA INDEX NAME)



RN 124465-43-6 CAPLUS

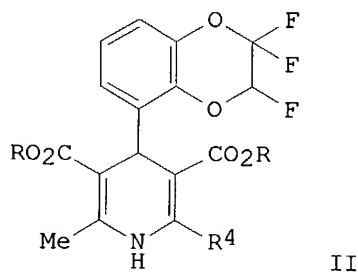
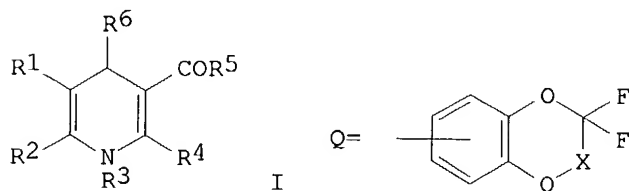
CN 3,5-Pyridinedicarboxylic acid, 2-[[[aminocarbonyl]oxy]methyl]-4-(2,1,3-benzoxadiazol-4-yl)-1,4-dihydro-6-methyl-, 3-(2-chloroethyl) 5-methyl ester (9CI) (CA INDEX NAME)



10/022,874

L11 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1989:154161 CAPLUS  
DOCUMENT NUMBER: 110:154161  
TITLE: Preparation of [(alkylenedioxy)aryl]dihydropyridines  
as cardiovascular agents  
INVENTOR(S): Franckowiak, Gerhard; Marhold, Albrecht; Bechem,  
Martin; Gross, Rainer; Kayser, Michael; Schramm,  
Matthias; Thomas, Guenther  
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.  
SOURCE: Eur. Pat. Appl., 32 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 291799	A2	19881123	EP 1988-107382	19880507
EP 291799	A3	19891220		
EP 291799	B1	19930616		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
DE 3716652	A1	19881208	DE 1987-3716652	19870519
AT 90676	E	19930715	AT 1988-107382	19880507
ES 2058173	T3	19941101	ES 1988-107382	19880507
JP 63303980	A2	19881212	JP 1988-119453	19880518
JP 2558326	B2	19961127		
JP 08245613	A2	19960924	JP 1995-289429	19951011
JP 2721822	B2	19980304		
PRIORITY APPLN. INFO.:			DE 1987-3716652	19870519
			EP 1988-107382	19880507
OTHER SOURCE(S):		MARPAT 110:154161		
GI				



AB The title compds. [I; R<sup>1</sup> = H, cyano, NO<sub>2</sub>, (un)substituted CO<sub>2</sub>H; R<sup>2</sup>, R<sup>4</sup> = HCO, cyano, Ph, PhCH<sub>2</sub>, (un)substituted alkyl, cycloalkyl; R<sup>3</sup> = H, (un)substituted alkyl, alkoxyalkyl; R<sup>5</sup> = alkyl, OR<sup>8</sup>, R<sup>8</sup> = H, (un)substituted alkyl; R<sup>6</sup> = alkylenedioxyphenyl group Q; X = bond, CHF,

10/022,874

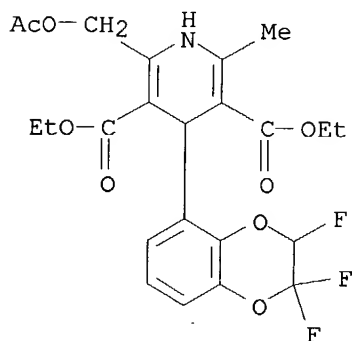
CF2] were prepd. R6CH:NBu (R6 = 2,2,3-trifluoro-1,4-benzodioxan-5-yl) was stirred 24 h with AcOCH2COCH2CO2Et in Ac2O to give R6CH:C(CO2Et)COCH2OAc which was refluxed 6 h with H2NCMe:CHCO2Et in EtOH to give title compd. II (R = Et, R4 = CH2OAc). II (R = R4 = Me) gave a 100% redn. in ventricular contractile amplitude of isolated perfused guinea pig heart at 10<sup>-3</sup> g/L.

IT 119895-50-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as cardiovascular agent)

RN 119895-50-0 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(acetyloxy)methyl]-1,4-dihydro-6-methyl-4-(2,2,3-trifluoro-2,3-dihydro-1,4-benzodioxin-5-yl)-, diethyl ester (9CI)  
(CA INDEX NAME)



L11 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:114650 CAPLUS

DOCUMENT NUMBER: 110:114650

TITLE: Long acting dihydropyridine calcium antagonists. 2.

2-[2-aminoheterocycloethoxy)methyl derivatives  
AUTHOR(S): Arrowsmith, John E.; Campbell, Simon F.; Cross, Peter E.; Burges, Roger A.; Gardiner, Donald G.

CORPORATE SOURCE: Dep. Discovery Chem., Pfizer Cent. Res.,  
Sandwich/Kent, CT13 9NJ, UK

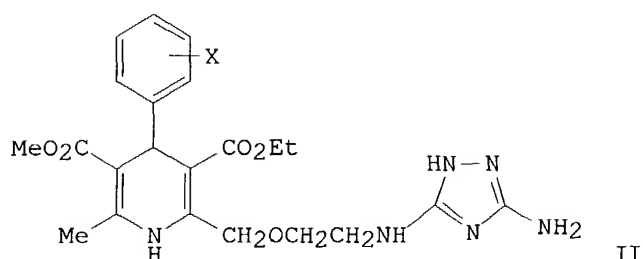
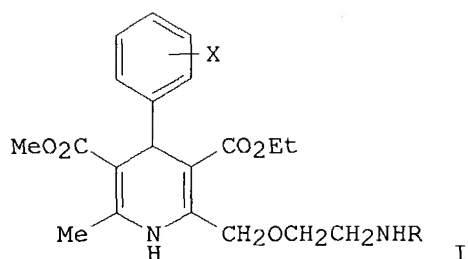
SOURCE: Journal of Medicinal Chemistry (1989), 32(3), 562-8  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:114650

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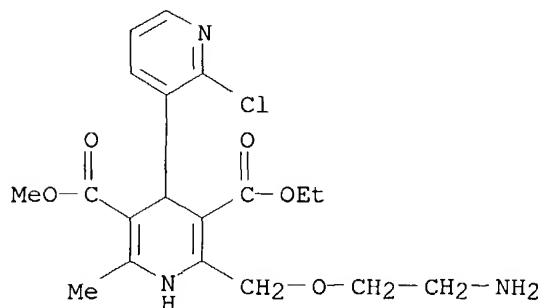
AB A series of title compds. were prepd. as selective coronary vasodilators. Thus, condensation of I [R = H; X = 2-, 3-Cl, 2,3-Cl<sub>2</sub>, 2,3-Cl(F<sub>3</sub>C)] with (MeS)<sub>2</sub>C:NCN gave I [R = C(:NCN)SMe], which cyclized with compds. such as N<sub>2</sub>H<sub>4</sub>, to give compds. such as II. Approx. 25 compds. were prepd. A wide variety of five- and six-membered heterocycles were acceptable at the 2-position of the dihydropyridine ring and in vitro potency and tissue selectivity was independent of the basicity of these heterocycles. The SAR indicated that activity was optimum when the largest ester group was placed at the 3 rather than 5 position. II (X = 2,3-Cl<sub>2</sub>) emerged as a potent (IC<sub>50</sub> = 6.3 .times. 10<sup>-9</sup> M) and tissue-selective calcium channel blocker with a duration of action >7 h in the anesthetized dog.

IT **103198-59-0**

RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation of, with imidodithiocarbonates)

RN 103198-59-0 CAPLUS

CN [3,4'-Bipyridine]-3',5'-dicarboxylic acid, 2'-[(2-aminoethoxy)methyl]-2-chloro-1',4'-dihydro-6'-methyl-, 3'-ethyl 5'-methyl ester (9CI) (CA INDEX NAME)



IT **118070-93-2P**

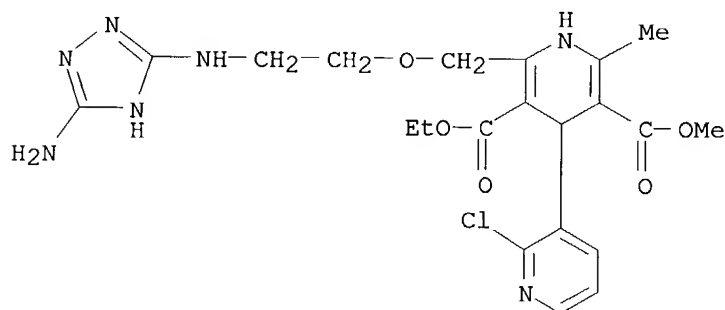
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and coronary vasodilating activity of)

RN 118070-93-2 CAPLUS



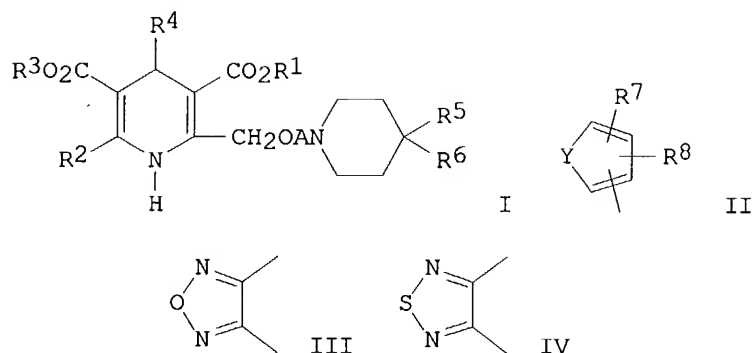
10/022,874

CN [3,4'-Bipyridine]-3',5'-dicarboxylic acid, 2'-[[2-[(5-amino-1H-1,2,4-triazol-3-yl)amino]ethoxy)methyl]-2-chloro-1',4'-dihydro-6'-methyl-, 3'-ethyl 5'-methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1989:108190 CAPLUS  
DOCUMENT NUMBER: 110:108190  
TITLE: New piperidines, their preparation, and drugs containing them for treatment of heart and circulation disorders  
INVENTOR(S): Flockerzi, Dieter; Amschler, Hermann; Eistetter, Klaus; Eltze, Manfred; Klemm, Kurt; Kolassa, Norbert; Sanders, Karl; Schudt, Christian; Ulrich, Wolf Ruediger  
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Fed. Rep. Ger.  
SOURCE: PCT Int. Appl., 35 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8801266	A1	19880225	WO 1987-EP437	19870810
W: AU, DK, FI, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8778100	A1	19880308	AU 1987-78100	19870810
PRIORITY APPLN. INFO.:			CH 1986-3265	19860814
			WO 1987-EP437	19870810
OTHER SOURCE(S):		MARPAT 110:108190		
GI				



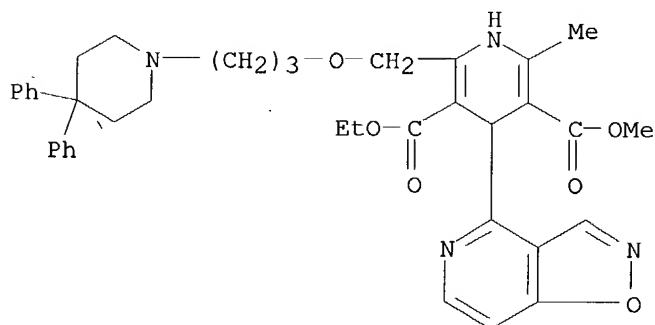
AB Piperidines I [R1, R3 = C1-6 alkyl, C3-7 alkoxyalkyl; R2 = H, R1; R4 = II; R5, R6 = aryl; R7, R8 = H, OH, NO2, halo, cyano, F3C, C1-4 alkyl, C1-4 (fluorinated) alkoxy, C1-4 alkoxy carbonyl, C2-5 acyl, (mono- or dialkyl)amino; Y = O, S, CH:CH, CH:N, III, IV; A = C2-6 alkylene] are prepd. for use as vasodilators, antihypertensives, smooth muscle relaxants, saluretics, antithrombotics, and hemorheol. agents. I [R1 = Et; R2 = R3 = Me; R4 = 3-O2NC6H4; R5 = R6 = Ph; A = (CH2)3] (V), administered to spontaneously hypertensive rats at 10 .mu.mol/kg/day for 4 days, diminished the blood pressure by 46% after 2 h and 23% after 24 h. To prep. V-HCl, 3-(4,4-diphenyl-1-piperidyl)propanol was O-alkylated with Et 4-chloroacetoacetate, the product was treated with NH3 to produce Et 3-amino-3-[3-(4,4-diphenyl-1-piperidinyl)propoxymethyl]crotonate, and this compd. was refluxed in Me3COH with Me 2-acetyl-3-(3-nitrophenyl)acrylate.

IT **119371-79-8p**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as antihypertensive)

RN 119371-79-8 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[3-(4,4-diphenyl-1-piperidinyl)propoxy]methyl]-1,4-dihydro-4-isoxazolo[4,5-c]pyridin-4-yl-6-methyl-, 3-ethyl 5-methyl ester, hydrochloride (9CI) (CA INDEX NAME)

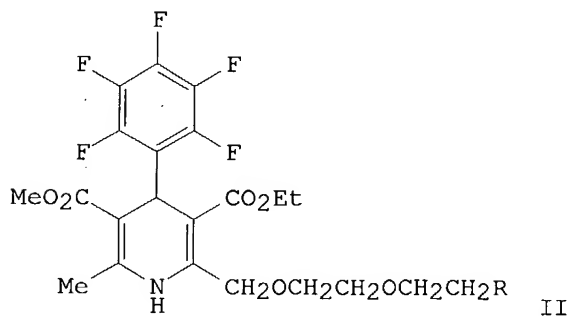
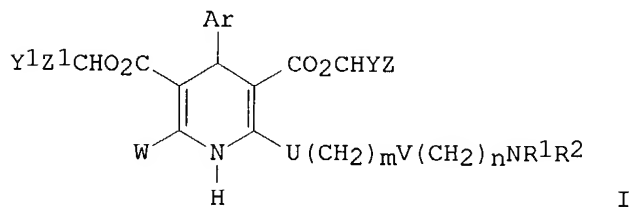


● x HCl

10/022,874

DOCUMENT NUMBER: 109:92816  
 TITLE: Preparation of 4-phenyldihydropyridine-3,5-dicarboxylates as calcium antagonists  
 INVENTOR(S): Peglione, Jean Louis; Gargouil, Yves Michel; Vilaine, Jean Paul  
 PATENT ASSIGNEE(S): Adir et Compagnie, Fr.  
 SOURCE: Fr. Demande, 49 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2602231	A1	19880205	FR 1986-11260	19860804
FR 2602231	B1	19881028		
CA 1338637	A1	19961008	CA 1987-542335	19870716
AU 8776505	A1	19880211	AU 1987-76505	19870803
AU 595353	B2	19900329		
JP 63041460	A2	19880222	JP 1987-194274	19870803
ZA 8705727	A	19880427	ZA 1987-5727	19870803
US 4870091	A	19890926	US 1987-81303	19870803
DK 8704065	A	19880205	DK 1987-4065	19870804
EP 259206	A1	19880309	EP 1987-401808	19870804
EP 259206	B1	19911009		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ES 2004467	A6	19890101	ES 1987-2288	19870804
AT 68178	E	19911015	AT 1987-401808	19870804
US 4983740	A	19910108	US 1989-386430	19890727
US 5026863	A	19910625	US 1990-518019	19900502
PRIORITY APPLN. INFO.:			FR 1986-11260	19860804
			US 1987-81303	19870803
			EP 1987-401808	19870804
			FR 1989-8920	19890704
			US 1989-386430	19890727
OTHER SOURCE(S):		CASREACT 109:92816; MARPAT 109:92816		
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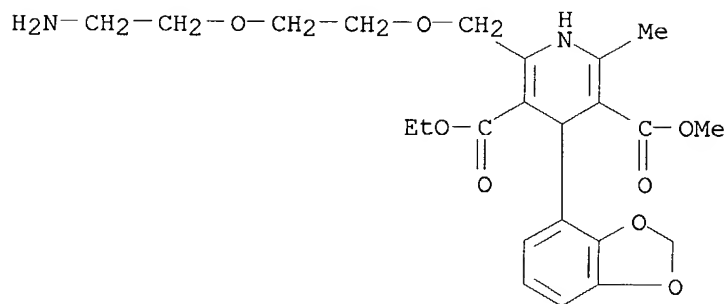
AB The title compds. [I, Ar = (un)substituted Ph; R1, R2 = H, alkyl, alkylene, phenylalkyl, etc.; U = CH2O, CH2CH2O, CH2; V = O, CH2; W = alkyl, alkoxyethyl; Y, Y1, Z, Z1 = H, alkyl, cyclopropyl, dicyclopropylmethyl, 2,2-dicyclopropylethyl, etc.; m, n = 1-4] were prepd. RCH2CH2OCH2CH2OH (R = N-phthalimido) was added to THF contg. NaH followed by ClCH2COCH2CO2Et and the mixt. left overnight to give RCH2CH2OCH2CH2OCH2COCH2CO2Et (R as above) which was refluxed overnight with C6F5CHO and MeC(NH2):CHCO2Me in Me2CHOH to give phenyldihydropyridinedicarboxylate II (R as above). The latter was stirred 3 h with H2NNH2 in EtOH to give II (R = NH2) (III) which gave a 71 mm lowering of systolic arterial pressure in spontaneously hypertensive rats 24 h after an oral dose of 3 mg III/kg. Gelatin-coated tablets were prepd. each contg. III hemifumarate 2, starch 15, lactose 25, and talc 5 mg.

IT 115972-85-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn., as calcium antagonist)

RN 115972-85-5 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[2-(2-aminoethoxy)ethoxy)methyl]-4-(1,3-benzodioxol-4-yl)-1,4-dihydro-6-methyl-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 23 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:131592 CAPLUS

DOCUMENT NUMBER: 108:131592

TITLE: Preparation of 1,4-dihydropyridine derivatives as antihypertensives

INVENTOR(S): Archibald, John Leheup; Ward, Terence James; Opalko, Albert

PATENT ASSIGNEE(S): John Wyeth and Brother Ltd., UK

SOURCE: Brit. UK Pat. Appl., 13 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

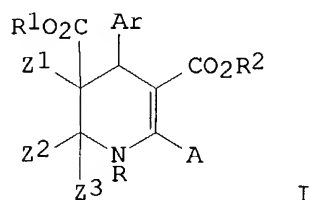
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2185982	A1	19870805	GB 1987-2016	19870129
GB 2185982	B2	19900516		
AT 129242	E	19951115	AT 1987-300785	19870129
ES 2078215	T3	19951216	ES 1987-300785	19870129
HU 45988	A2	19880928	HU 1987-311	19870130
HU 199139	B	19900129		

10/022,874

CA 1329600	A1	19940517	CA 1987-528568	19870130
JP 62201868	A2	19870905	JP 1987-21651	19870131
JP 07023370	B4	19950315		
US 5064842	A	19911112	US 1990-544097	19900625

PRIORITY APPLN. INFO.: GB 1986-2518 19860201  
US 1987-7684 19870128  
US 1989-309018 19890207

OTHER SOURCE(S): CASREACT 108:131592  
GI



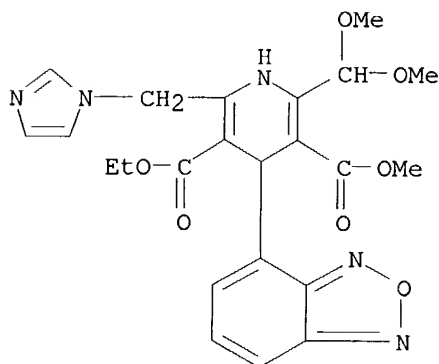
AB The title compds. I [Z1Z2 = bond, when Z3 is an electron withdrawing group, Z2 can also represent OH and Z1 can represent H; Ar = (un)substituted aryl; R = H, (un)substituted alkyl, aralkyl; R1, R2 = H, (un)satd., (un)substituted cyclic or acyclic aliph. hydrocarbon residue; A = XR3 wherein X = (CHR6)pY(CHR7)q, Y = O, S, NR8, bond, p, q = 0-2, R6-R8 = H, alkyl, R3 = (un)substituted heteroaryl; Z3 = haloalkyl, (un)substituted Ph, CN, CHO, etc.], useful as antihypertensives (no data), were prepd. A mixt. of Me 3-amino-4-fluoro-2-butenate, 3-(NO2)C6H4CHO, and Et 4-(imidazol-1-yl)acetoacetate in EtOH was refluxed for several h to give 1,4-dihydro-2-fluoromethyl-6-(imidazol-1-ylmethyl)-4-(3-nitrophenyl)pyridine-3,5-dicarboxylic acid 3-Me 5-Et diester.

IT 113514-01-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as antihypertensive)

RN 113514-01-5 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-(dimethoxymethyl)-1,4-dihydro-6-(1H-imidazol-1-ylmethyl)-, 5-ethyl 3-methyl ester (9CI) (CA INDEX NAME)

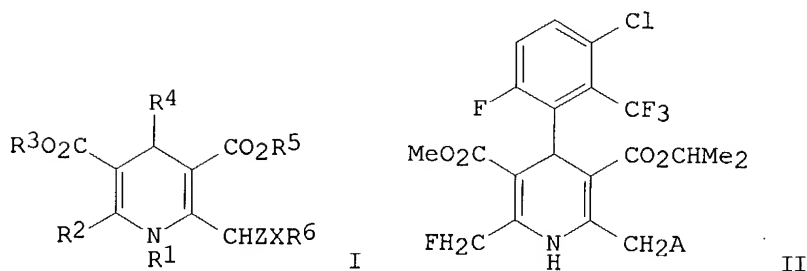


10/022,874

DOCUMENT NUMBER: 108:75226  
 TITLE: Preparation of 4-phenyldihydropyridine-3,5-dicarboxylates as calcium channel blockers  
 INVENTOR(S): Baxter, Andrew John Gilby; Dixon, John; Mcinally, Thomas; Tinker, Alan Charles  
 PATENT ASSIGNEE(S): Fisons PLC, UK  
 SOURCE: Eur. Pat. Appl., 77 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 225175	A2	19870610	EP 1986-309244	19861127
EP 225175	A3	19881228		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 62187453	A2	19870815	JP 1986-280953	19861127
PRIORITY APPLN. INFO.:			GB 1985-29301	19851128
			GB 1985-29786	19851203
			GB 1985-29787	19851203
			GB 1986-4421	19860221
			GB 1986-4422	19860221
			GB 1986-4423	19860221
			GB 1986-4424	19860221
			GB 1986-5000	19860228
			GB 1986-21514	19860906

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AB The title compds. I [R1 = H, alkyl; R2 = (fluoro)alkyl; R3 = alkyl; R4 = (un)substituted Ph, naphthyl, S-contg. heterocyclyl; R5 = (un)substituted alkyl, thietanyl; R6 = H, CH2CH2NH2, N-contg. heterocyclyl, etc.; X = O, NR, SOn, bond; Z = H; ZR = bond; n = 0-2] were prepd. as calcium channel blockers (no data). Title compd. II (A = H) was stirred with pyridinium bromide perbromide in CH2Cl2 contg. pyridine to give II (A = Br) which was stirred with NaOMe and pyridin-3-ol in MeCN to give II (A = 3-pyridyloxy).

IT **112641-34-6P 112641-35-7P 112641-36-8P**  
**112641-37-9P 112641-38-0P 112641-39-1P**  
**112641-40-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

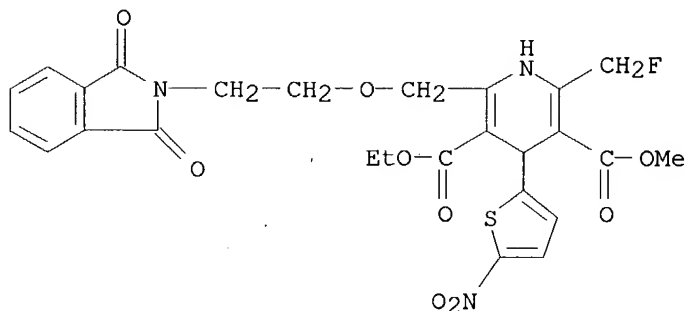
(prepn. and reaction of, in prepn. of calcium channel blockers)

RN 112641-34-6 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-

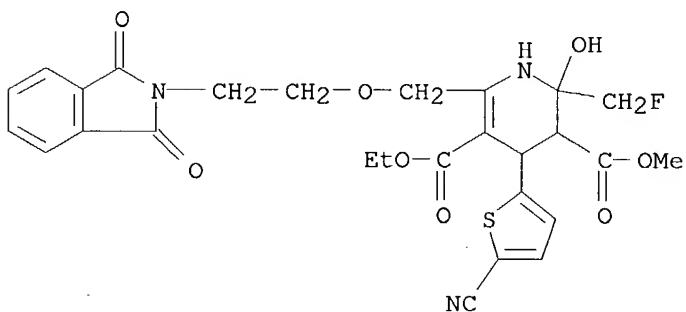
10/022,874

yl)ethoxy)methyl]-6-(fluoromethyl)-1,4-dihydro-4-(5-nitro-2-thienyl)-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



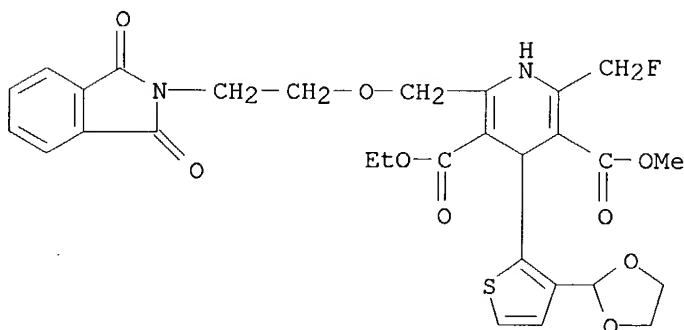
RN 112641-35-7 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(5-cyano-2-thienyl)-6-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy)methyl]-2-(fluoromethyl)-1,2,3,4-tetrahydro-2-hydroxy-, 5-ethyl 2-methyl ester (9CI) (CA INDEX NAME)



RN 112641-36-8 CAPLUS

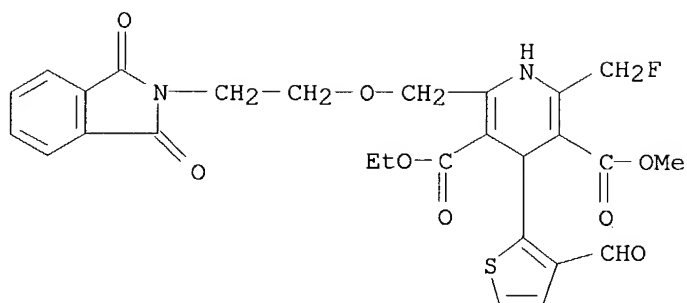
CN 3,5-Pyridinedicarboxylic acid, 2-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy)methyl]-4-[3-(1,3-dioxolan-2-yl)-2-thienyl]-6-(fluoromethyl)-1,4-dihydro-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



RN 112641-37-9 CAPLUS

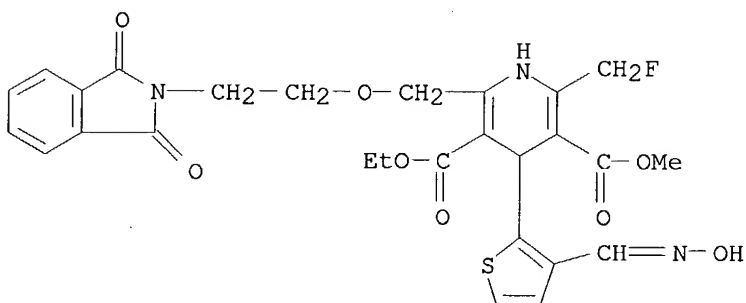
CN 3,5-Pyridinedicarboxylic acid, 2-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy)methyl]-6-(fluoromethyl)-4-(3-formyl-2-thienyl)-1,4-dihydro-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)

10/022,874



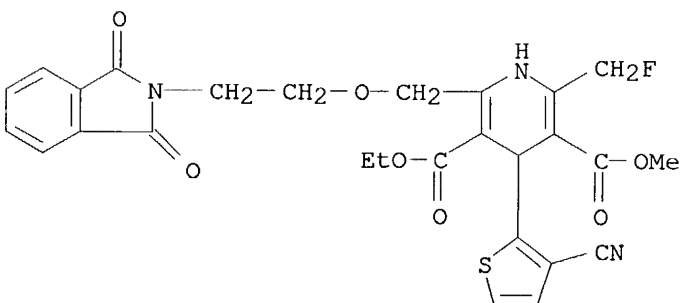
RN 112641-38-0 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]methyl]-6-(fluoromethyl)-1,4-dihydro-4-[3-[(hydroxyimino)methyl]-2-thienyl]-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



RN 112641-39-1 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(3-cyano-2-thienyl)-2-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]methyl]-6-(fluoromethyl)-1,4-dihydro-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)

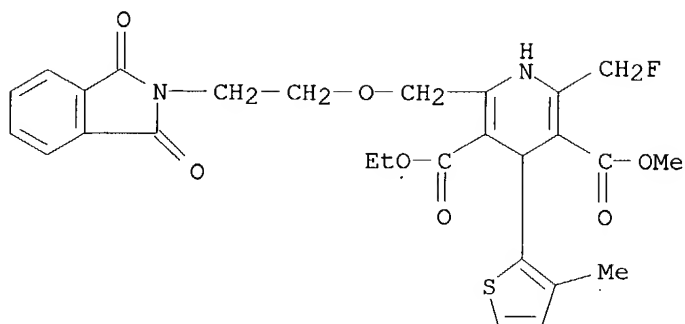


RN 112641-40-4 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]methyl]-6-(fluoromethyl)-1,4-dihydro-4-(3-methyl-2-thienyl)-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



10/022,874

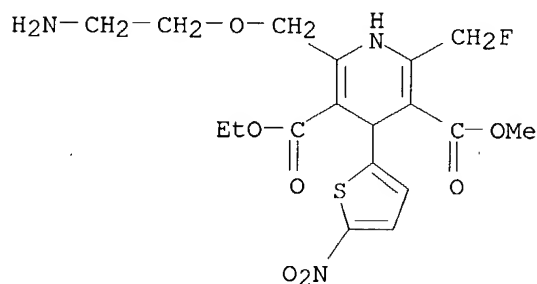


IT 112640-36-5P 112640-37-6P 112640-38-7P  
112640-39-8P 112640-97-8P 112692-77-0P  
112692-78-1P 112692-79-2P 112692-80-5P  
112693-00-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as calcium channel blocker)

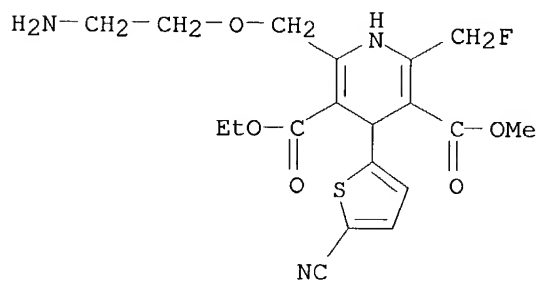
RN 112640-36-5 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-6-(fluoromethyl)-  
1,4-dihydro-4-(5-nitro-2-thienyl)-, 3-ethyl 5-methyl ester (9CI) (CA  
INDEX NAME)



RN 112640-37-6 CAPLUS

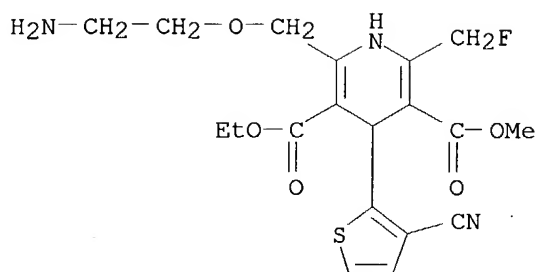
CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-4-(5-cyano-2-  
thienyl)-6-(fluoromethyl)-1,4-dihydro-, 3-ethyl 5-methyl ester (9CI) (CA  
INDEX NAME)



RN 112640-38-7 CAPLUS

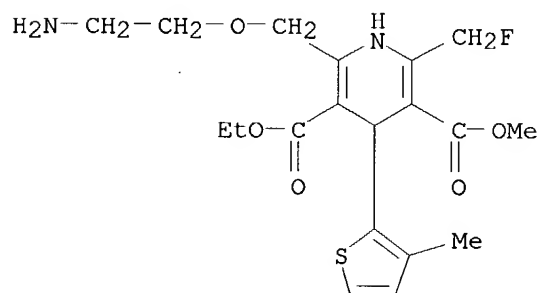
CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-4-(3-cyano-2-  
thienyl)-6-(fluoromethyl)-1,4-dihydro-, 3-ethyl 5-methyl ester (9CI) (CA  
INDEX NAME)

10/022,874



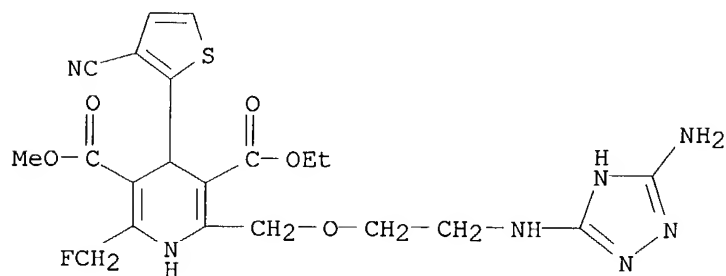
RN 112640-39-8 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-6-(fluoromethyl)-1,4-dihydro-4-(3-methyl-2-thienyl)-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



RN 112640-97-8 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[2-[(5-amino-1H-1,2,4-triazol-3-yl)amino]ethoxy)methyl]-4-(3-cyano-2-thienyl)-6-(fluoromethyl)-1,4-dihydro-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



RN 112692-77-0 CAPLUS

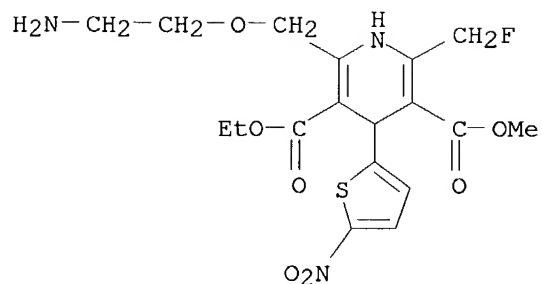
CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-6-(fluoromethyl)-1,4-dihydro-4-(5-nitro-2-thienyl)-, 3-ethyl 5-methyl ester, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 112640-36-5

CMF C18 H22 F N3 O7 S

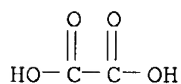
10/022,874



CM 2

CRN 144-62-7

CMF C2 H2 O4



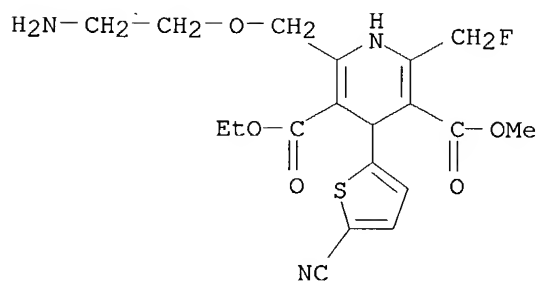
RN 112692-78-1 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-4-(5-cyano-2-thienyl)-6-(fluoromethyl)-1,4-dihydro-, 3-ethyl 5-methyl ester, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 112640-37-6

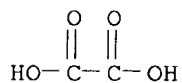
CMF C19 H22 F N3 O5 S



CM 2

CRN 144-62-7

CMF C2 H2 O4



RN 112692-79-2 CAPLUS

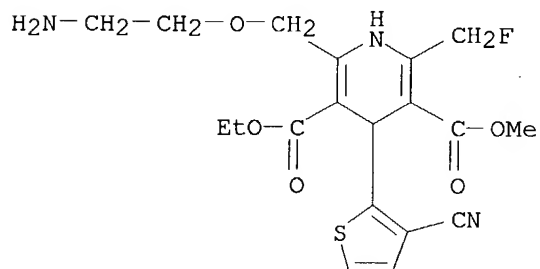
10/022,874

CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-4-(3-cyano-2-thienyl)-6-(fluoromethyl)-1,4-dihydro-, 3-ethyl 5-methyl ester, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 112640-38-7

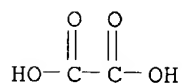
CMF C19 H22 F N3 O5 S



CM 2

CRN 144-62-7

CMF C2 H2 O4



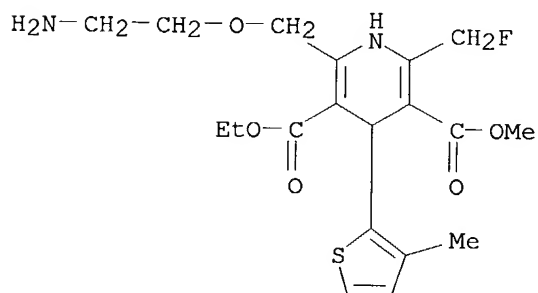
RN 112692-80-5 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[(2-aminoethoxy)methyl]-6-(fluoromethyl)-1,4-dihydro-4-(3-methyl-2-thienyl)-, 3-ethyl 5-methyl ester, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 112640-39-8

CMF C19 H25 F N2 O5 S

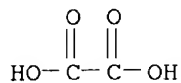


CM 2

CRN 144-62-7

10/022,874

CMF C2 H2 O4



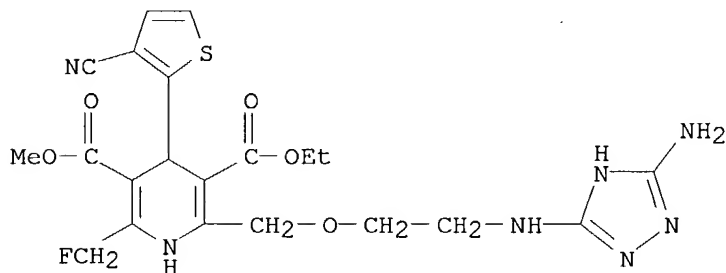
RN 112693-00-2 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[2-[(5-amino-1H-1,2,4-triazol-3-yl)amino]ethoxy)methyl]-4-(3-cyano-2-thienyl)-6-(fluoromethyl)-1,4-dihydro-, 3-ethyl 5-methyl ester, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 112640-97-8

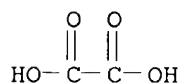
CMF C21 H24 F N7 O5 S



CM 2

CRN 144-62-7

CMF C2 H2 O4



L11 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:575780 CAPLUS

DOCUMENT NUMBER: 107:175780

TITLE: Preparation of pyridinylflavone derivatives as calcium antagonists and smooth muscle relaxants

INVENTOR(S): Leonardi, Amedeo; Pennini, Renzo; Cazzulani, Pietro; Nardi, Dante

PATENT ASSIGNEE(S): Recordati S. A. Chemical and Pharmaceutical Co., Switz.

SOURCE: Eur. Pat. Appl., 32 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO. DATE

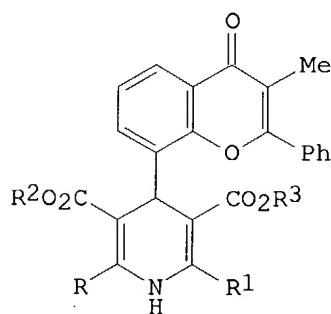
10/022,874

EP 223744	A2	19870527	EP 1986-830300	19861020
EP 223744	A3	19880914		
EP 223744	B1	19920311		
R: AT, BE, CH, DE, ES, FR, GB, GR, LI, LU, NL, SE				
IL 80229	A1	19901105	IL 1986-80229	19861003
NO 8604108	A	19870423	NO 1986-4108	19861015
NO 167570	B	19910812		
NO 167570	C	19911120		
ZA 8607941	A	19870624	ZA 1986-7941	19861020
ES 2002425	A6	19880801	ES 1986-2677	19861020
AT 73453	E	19920315	AT 1986-830300	19861020
FI 8604260	A	19870423	FI 1986-4260	19861021
FI 89167	B	19930514		
FI 89167	C	19930825		
JP 62161781	A2	19870717	JP 1986-251553	19861021
JP 07072186	B4	19950802		
HU 45525	A2	19880728	HU 1986-4363	19861021
HU 202863	B	19910429		
CA 1330994	A1	19940726	CA 1986-520953	19861021
DK 8605063	A	19870423	DK 1986-5063	19861022
DK 169408	B1	19941024		
AU 8664273	A1	19870430	AU 1986-64273	19861022
AU 596382	B2	19900503		
CN 86107544	A	19871125	CN 1986-107544	19861022
US 4806534	A	19890221	US 1986-921397	19861022

PRIORITY APPLN. INFO.:

IT 1985-22578 19851022  
EP 1986-830300 19861020

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I

AB Title compds. I (R, R1 = C1-4 alkyl, formylalkyl, cyanoalkyl, C1-4 hydroxyalkyl; R2, R3 = C1-6 alkyl, C2-6 alkenyl, -alkynyl, C5-7 cycloalkyl, aralkyl, Ph, etc., R4R5N-alkyl; R4, R5 = H, alkyl, Ph, etc., or R4R5N = heterocyclyl) their optical isomers, diastereomers, and salts were prepd. as calcium antagonists and smooth muscle relaxants. 3-Methyl-8-formylflavone, MeCOCH2CO2Me, MeC(NH2):CHCO2Me and EtOH were refluxed to give I (R-R3 = Me) (II). II had IC50 of 5.55 x 10<sup>-9</sup> nM on Ca-antagonistic binding sites using rat brain membranes. in vitro. The activity on urodynamic parameters was detected by cystometric recordings on rats given II at 10 mg/kg orally; the changes in bladder vol. capacity and micturition pressure were +18 and -14%, resp.

IT 110714-89-1P

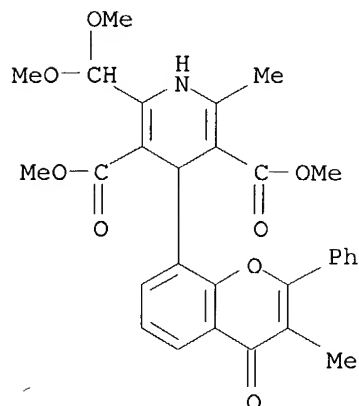
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

10/022,874

(prepn. and hydrolysis of)

RN 110714-89-1 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-(dimethoxymethyl)-1,4-dihydro-6-methyl-4-(3-methyl-4-oxo-2-phenyl-4H-1-benzopyran-8-yl)-, dimethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 26 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:213771 CAPLUS

DOCUMENT NUMBER: 106:213771

TITLE: Preparation of dihydropyridinedicarboxylates as cardiovascular agents

INVENTOR(S): Schwenner, Eckhard; Kinast, Guenther; Knorr, Andreas; Kazda, Stanislav

PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.

SOURCE: Ger. Offen., 21 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

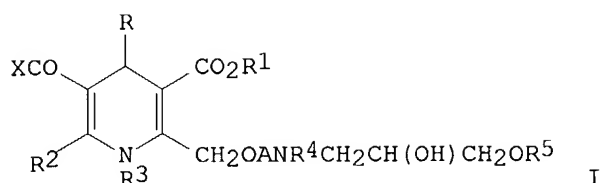
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3531498	A1	19870305	DE 1985-3531498	19850904
EP 218068	A1	19870415	EP 1986-111731	19860825
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
AU 8662160	A1	19870305	AU 1986-62160	19860902
FI 8603537	A	19870305	FI 1986-3537	19860902
JP 62056474	A2	19870312	JP 1986-205235	19860902
DK 8604209	A	19870305	DK 1986-4209	19860903
ZA 8606683	A	19870527	ZA 1986-6683	19860903
ES 2001641	A6	19880601	ES 1986-1577	19860903
PRIORITY APPLN. INFO.:			DE 1985-3531498	19850904

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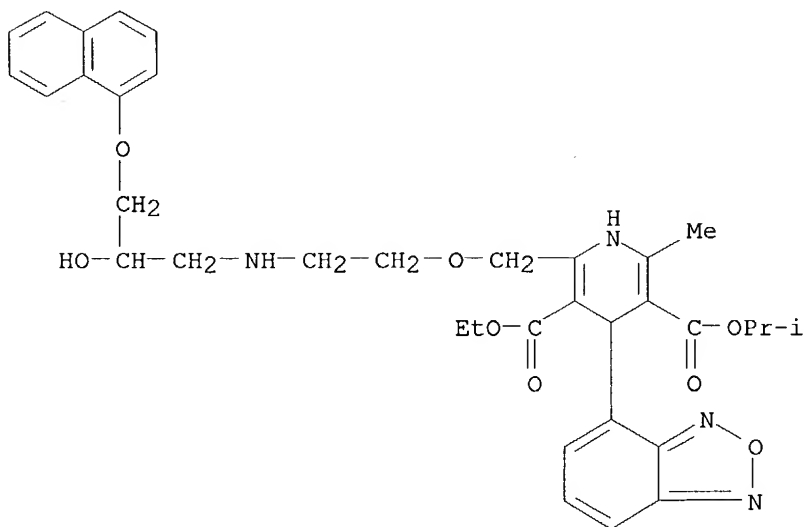
AB The title compds. [I; R = (un)substituted aryl, N-heteroaryl; R1 = (un)substituted hydrocarbonyl, cyclic hydrocarbonyl, optionally with O or S interrupters; R2 = H, aryl, aralkyl, cyano, (un)substituted alkyl; R3 = H, alkyl, oxaalkyl, aryl, aralkyl; R4 = H, alkyl, aryl, acyl, R5CH2CH(OH)CH2; R5 = (un)substituted aryl, heteroaryl; A = (un)substituted C1-20 alkylene, cycloalkylene, optionally with phenylene or heteroatom interrupters; X = R1O, (un)substituted alkyl, aryl, aralkyl, amino, heterocyclyl, PhNH] were prepd. as cardiovascular agents (no data). 3-Et 5-Me 2-[(2-aminoethoxy)methyl]-4-(2-chlorophenyl)-1,4-dihydro-6-methyl-3,5-pyridinedicarboxylate and [(1-naphthoxy)methyl]oxirane were refluxed 24 h in Me2CHOH to give 73.1% I (R = 2-ClC6H4, R1 = Et, R2 = Me, R3 = R4 = H, R5 = 1-naphthyl).

IT **108256-02-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as cardiovascular agent)

RN 108256-02-6 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-1,4-dihydro-2-[[2-[[2-hydroxy-3-(1-naphthalenyloxy)propyl]amino]ethoxy]methyl]-6-methyl-, 3-ethyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)



L11 ANSWER 27 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:572250 CAPLUS

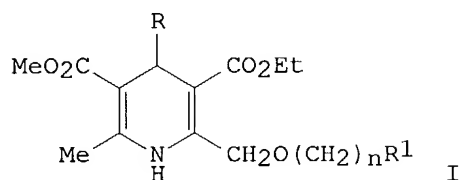
DOCUMENT NUMBER: 105:172250

TITLE: Long-acting dihydropyridine calcium antagonists. 1.  
2-Alkoxymethyl derivatives incorporating basic



10/022,874

substituents  
AUTHOR(S): Arrowsmith, John E.; Campbell, Simon F.; Cross, Peter E.; Stubbs, John K.; Burges, Roger A.; Gardiner, Donald G.; Blackburn, Kenneth J.  
CORPORATE SOURCE: Pfizer Cent. Res., Sandwich/Kent, CT13 9NJ, UK  
SOURCE: Journal of Medicinal Chemistry (1986), 29(9), 1696-702  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 105:172250  
GI



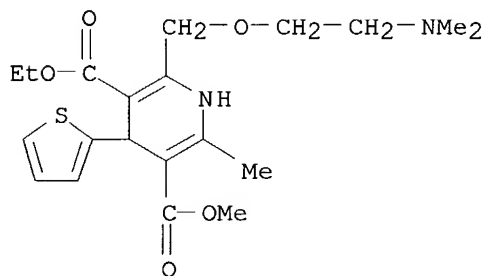
AB Aminoalkoxymethyldihydropyridines I [R = Ph, substituted Ph, 1-naphthyl, 2-thienyl, 4-pyridyl; R1 = (un)substituted NH2; n = 2, 3] were prepd. from RCHO, R1(CH2)nOCH2COCH2CO2Et, and H2NCMe:CHCO2Me or via I (R = N3, phthalimido). Their potencies as Ca antagonists were detd. I (R = 2-ClC6H4, R1 = NH2, n = 2) (amlodipine) was comparable in potency to nifedipine and had an elimination half-life of 30 h in dogs. Oral bioavailability approached 100%, and hemodynamic responses were gradual in onset and long-lasting in effect. The two enantiomers were prepd.; the bulk of the activity resided with the (-)-isomer. X-ray crystallog. studies, carried out on I (R = 2-ClC6H4, R = morpholinosulfonyl, n = 2) suggest the existence of a weak H bond between the side-chain O and the H on the ring N.

IT **84157-48-2P 103069-24-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and calcium antagonist activity of)

RN 84157-48-2 CAPLUS

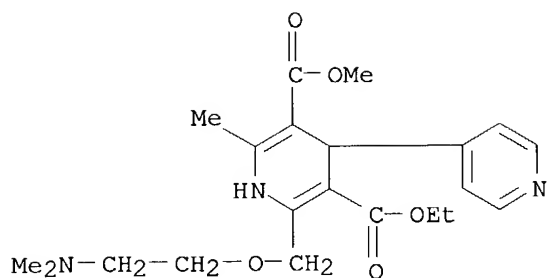
CN 3,5-Pyridinedicarboxylic acid, 2-[[2-(dimethylamino)ethoxy]methyl]-1,4-dihydro-6-methyl-4-(2-thienyl)-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



RN 103069-24-5 CAPLUS

CN [4,4'-Bipyridine]-3,5-dicarboxylic acid, 2-[[2-(dimethylamino)ethoxy]methyl]-1,4-dihydro-6-methyl-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)

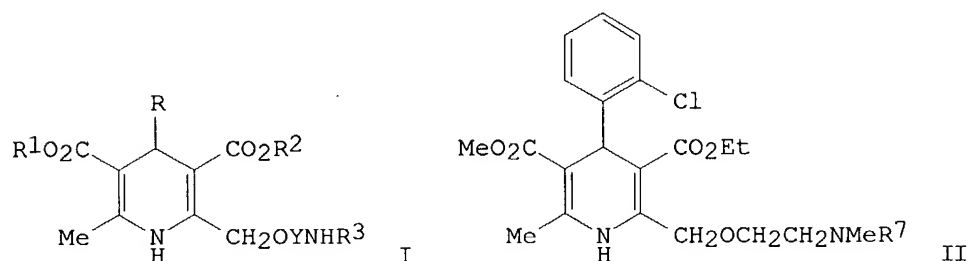
10/022,874



L11 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1986:442661 CAPLUS  
 DOCUMENT NUMBER: 105:42661  
 TITLE: 2-(Secondary aminoalkoxymethyl)dihydropyridine derivatives as anti-ischemic and antihypertensive agents  
 INVENTOR(S): Campbell, Simon F.; Cross, Peter E.; Stubbs, John K.  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: U.S., 15 pp. Cont.-in-part of U.S. Ser. No. 463,081, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4572909	A	19860225	US 1984-576982	19840203
CS 240998	B2	19860313	CS 1984-1592	19840406
NO 8604435	A	19830912	NO 1986-4435	19861106
NO 170275	B	19920622		
NO 170275	C	19920930		
PRIORITY APPLN. INFO.:			GB 1982-7180	19820311
			US 1983-463081	19830202
			CS 1983-1499	19830303
			NO 1983-847	19830708

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AB Dihydropyridines I [Y = (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>, CH<sub>2</sub>CHMe, CH<sub>2</sub>CMe<sub>2</sub>; R = (un)substituted aryl; R<sub>1</sub>, R<sub>2</sub> = alkyl, MeOCH<sub>2</sub>CH<sub>2</sub>; R<sub>3</sub> = H, alkyl, 2-alkoxyethyl, cyclopropylmethyl, PhCH<sub>2</sub>, (CH<sub>2</sub>)<sub>m</sub>COR<sub>4</sub>; m = 1-3; R<sub>4</sub> = OH, alkoxy, NR<sub>5</sub>R<sub>6</sub>; R<sub>5</sub>, R<sub>6</sub> = H, alkyl] and their pharmaceutically acceptable acid addn. salts, useful as antiischemic and antihypertensive agents, were prepd. PhCH<sub>2</sub>NMeCH<sub>2</sub>CH<sub>2</sub>OH reacted with ClCH<sub>2</sub>COCH<sub>2</sub>CO<sub>2</sub>Et and NaH in THF to

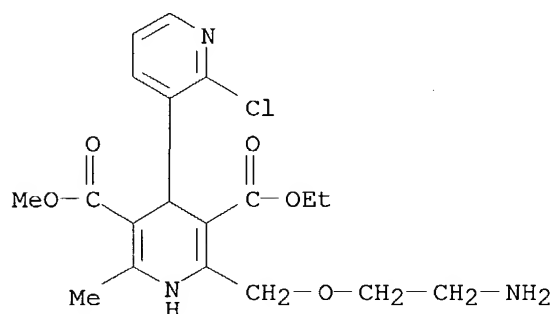
give PhCH<sub>2</sub>NMeCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>COCH<sub>2</sub>CO<sub>2</sub>Et which reacted with 2-ClC<sub>6</sub>H<sub>4</sub>CHO, H<sub>2</sub>NCMe:CHCO<sub>2</sub>Me, and AcOH in MeOH to give dihydropyridine II (R<sup>7</sup> = CH<sub>2</sub>Ph). Hydrogenolysis of this gave II (R<sup>7</sup> = H), characterized as the oxalate (III). III had IC<sub>50</sub> (IC = inhibitory concn.) 3.2 .times. 10<sup>-9</sup>M for in vitro Ca uptake by isolated heart tissue.

IT **103198-59-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as antiischemic or antihypertensive)

RN 103198-59-0 CAPLUS

CN [3,4'-Bipyridine]-3',5'-dicarboxylic acid, 2'-[(2-aminoethoxy)methyl]-2-chloro-1',4'-dihydro-6'-methyl-, 3'-ethyl 5'-methyl ester (9CI) (CA INDEX NAME)

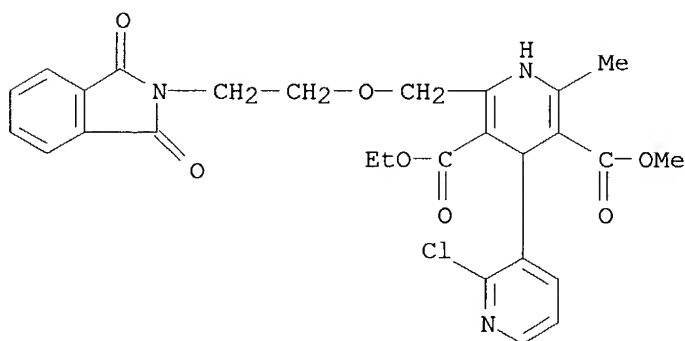


IT **103198-45-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as intermediate for dihydropyridine pharmaceuticals)

RN 103198-45-4 CAPLUS

CN [3,4'-Bipyridine]-3',5'-dicarboxylic acid, 2-chloro-2'-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]methyl]-1',4'-dihydro-6'-methyl-, 3'-ethyl 5'-methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:424274 CAPLUS

DOCUMENT NUMBER: 105:24274

TITLE: Dihydropyridinedicarboxylate cardiovascular agents

INVENTOR(S): Arrowsmith, John Edmund; Cross, Peter Edward;  
Campbell, Simon Fraser; Dickinson, Roger Peter

PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Corp.

SOURCE: Eur. Pat. Appl., 51 pp.

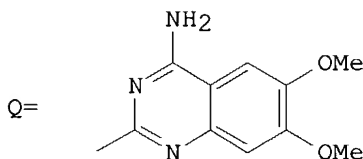
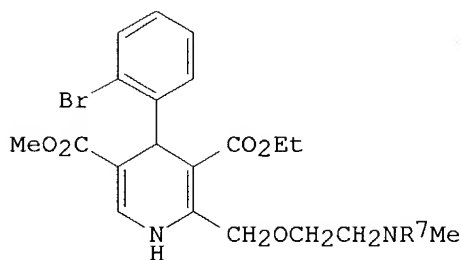
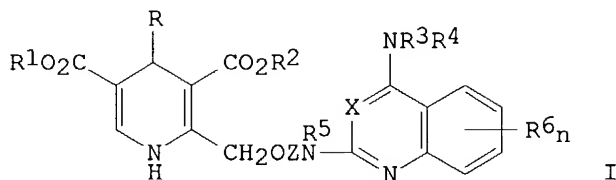
CODEN: EPXXDW

DOCUMENT TYPE: Patent

10/022,874

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 168151	A1	19860115	EP 1985-303788	19850530
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FI 8502221	A	19851208	FI 1985-2221	19850603
US 4647565	A	19870303	US 1985-741416	19850605
DK 8502535	A	19851208	DK 1985-2535	19850606
AU 8543347	A1	19851212	AU 1985-43347	19850606
AU 554571	B2	19860828		
JP 61033185	A2	19860217	JP 1985-123484	19850606
HU 37933	A2	19860328	HU 1984-2248	19850606
ES 543997	A1	19870401	ES 1985-543997	19850607
PRIORITY APPLN. INFO.:			GB 1984-14518	19840607
GI				



AB 2-(Heteroaryl aminoalkoxymethyl)dihydropyridinedicarboxylates I [R = aryl, heteroaryl; R1,R2 = alkyl, HOCH2CH2, MeOCH2CH2; R3,R4 = H, alkyl; R3R4 N = heterocyclyl; R5 = (hydroxy)alkyl, alkoxyalkyl; R6 = alkyl, alkoxy, halo, CF3; X = CH, N; Z = alkylene; ZNR5 may form a ring; n = 0-3] were prepd. as cardiotonics and antihypertensives (no data). Thus, 2-BrC6H4CHO was cyclocondensed with Me2NCH2CH2OCH2COCH2CO2Et and H2NCH2CH:CHCO2Me to give dihydropyridinedicarboxylate II (R7 = Me). This was treated with Cl3CCH2O2CCl and then Zn dust to give II (R7 = H). The latter was condensed with 4-amino-2-chloro-6,7-dimethoxyquinazoline to give II (R7 = Q).

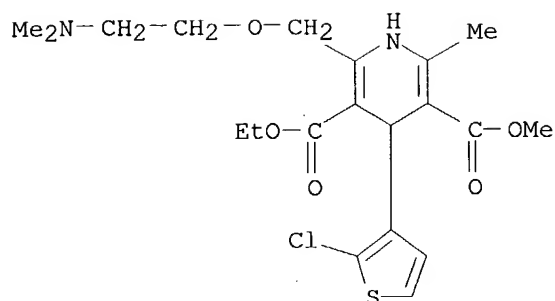
IT **102672-11-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and cyanation of)

RN 102672-11-7 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2-chloro-3-thienyl)-2-[[2-(dimethylamino)ethoxy]methyl]-1,4-dihydro-6-methyl-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)

10/022,874



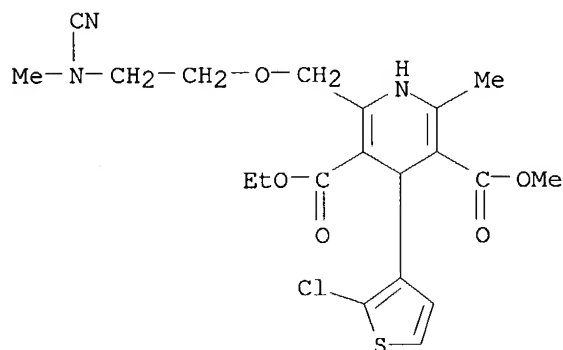
IT 102672-12-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclocondensation of, with aminobenzonitriles)

RN 102672-12-8 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2-chloro-3-thienyl)-2-[[2-(cyanomethylamino)ethoxy]methyl]-1,4-dihydro-6-methyl-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)

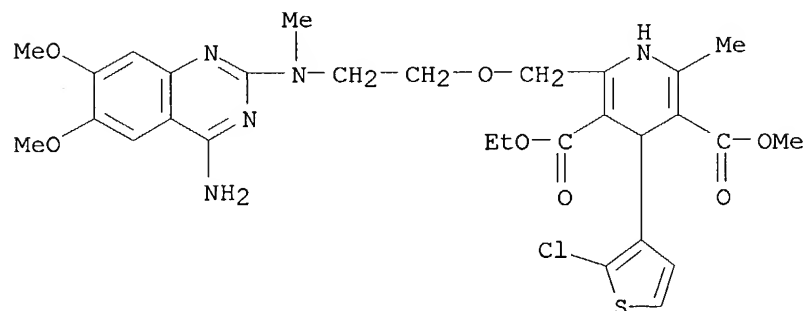


IT 102671-97-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of as cardiotonic and antihypertensive)

RN 102671-97-6 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[2-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]ethoxy]methyl]-4-(2-chloro-3-thienyl)-1,4-dihydro-6-methyl-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



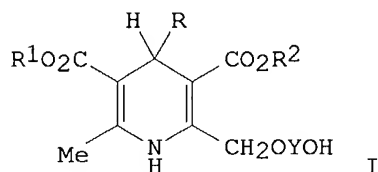
10/022,874

L11 ANSWER 30 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:148754 CAPLUS  
DOCUMENT NUMBER: 104:148754  
TITLE: Dihydropyridines  
INVENTOR(S): Alker, David; Campbell, Simon Fraser; Cross, Peter  
Edward  
PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Corp.  
SOURCE: Eur. Pat. Appl., 36 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 161917	A2	19851121	EP 1985-303304	19850510
EP 161917	A3	19871202		
EP 161917	B1	19900314		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4654353	A	19870331	US 1985-727704	19850426
JP 60246368	A2	19851206	JP 1985-98876	19850509
JP 05029029	B4	19930428		
FI 8501857	A	19851113	FI 1985-1857	19850510
FI 83308	B	19910315		
FI 83308	C	19910625		
NO 8501886	A	19851113	NO 1985-1886	19850510
DK 8502078	A	19851113	DK 1985-2078	19850510
DK 162982	B	19920106		
DK 162982	C	19920601		
AU 8542269	A1	19851114	AU 1985-42269	19850510
AU 554257	B2	19860814		
HU 37756	A2	19860228	HU 1985-1778	19850510
HU 194172	B	19880128		
DD 235867	A5	19860521	DD 1985-276212	19850510
ES 543033	A1	19860901	ES 1985-543033	19850510
ZA 8503543	A	19861230	ZA 1985-3543	19850510
IL 75165	A1	19880930	IL 1985-75165	19850510
AT 50988	E	19900315	AT 1985-303304	19850510
CA 1278573	A1	19910102	CA 1985-481320	19850510
SU 1417795	A3	19880815	SU 1985-3901005	19850511
ES 550965	A1	19870216	ES 1986-550965	19860116
PRIORITY APPLN. INFO.:			GB 1984-12208	19840512
			EP 1985-303304	19850510

GI



AB The title compds. I (R = aryl, heterocyclyl; R1, R2 = C1-4 alkyl, MeOCH2CH2; Y = (CH2)n, CH2CHMe, CH2CMe2; n = 2-4) and their salts, useful as antiischemic and antihypertensive agents (no data), were prepd. Thus, 2-[[4-(2-chlorophenyl)-3-(ethoxycarbonyl)-5-(methoxycarbonyl)-6-methyl-1,4-dihydropyrid-2-yl]methoxy]acetic acid was reduced with borane in THF to

10/022,874

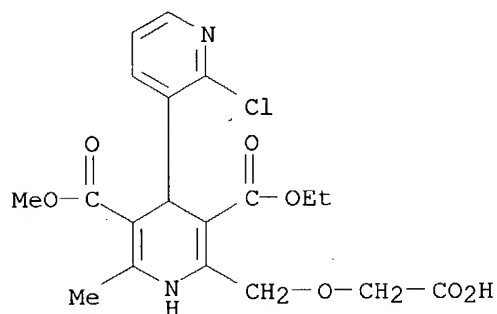
give I (R = 2-ClC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = Me, R<sub>2</sub> = Et, Y = CH<sub>2</sub>CH<sub>2</sub>).

IT **101465-94-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and redn. of)

RN 101465-94-5 CAPLUS

CN [3,4'-Bipyridine]-3',5'-dicarboxylic acid, 2'-[(carboxymethoxy)methyl]-2-chloro-1',4'-dihydro-6'-methyl-, 3'-ethyl 5'-methyl ester (9CI) (CA INDEX NAME)

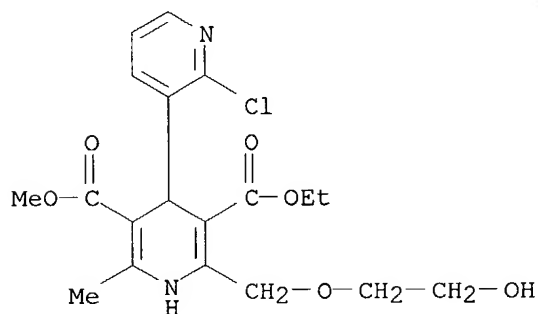


IT **101411-56-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as antiischemic and antihypertensive agent)

RN 101411-56-7 CAPLUS

CN [3,4'-Bipyridine]-3',5'-dicarboxylic acid, 2-chloro-1',4'-dihydro-2'-[(2-hydroxyethoxy)methyl]-6'-methyl-, 3'-ethyl 5'-methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 31 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:606480 CAPLUS

DOCUMENT NUMBER: 103:206480

TITLE: Biological activity of 1,4-dihydropyridine derivatives

AUTHOR(S): Fiszer-Maliszewska, Lucja; Wieczorek, Jadwiga;  
Mordarski, Marian; Balicki, Roman; Kaczmarek, Lukasz;  
Nantka-Namirski, Pawel

CORPORATE SOURCE: Inst. Immunol. Exp. Ther., Pol. Acad. Sci., Wroclaw,  
53-114, Pol.

SOURCE: Archivum Immunologiae et Therapiae Experimentalis  
(1985), 33(219), 345-52

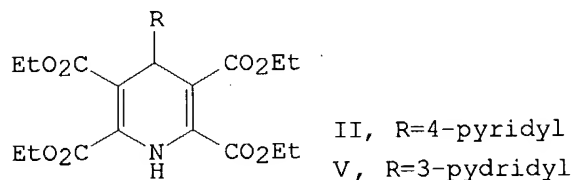
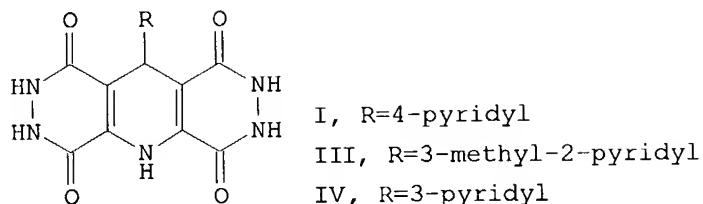
CODEN: AITEAT; ISSN: 0004-069X

DOCUMENT TYPE: Journal

LANGUAGE: English

10/022,874

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AB Six new 1,4-dihydropyridine derivs. were evaluated in vitro for antimicrobial and cytotoxic effects and in vivo for antineoplastic activity. The compds. inhibited the growth of most of gram-pos. and gram-neg. bacteria at concns. of 50 and 100 .mu.g/mL. Concns. effective against fungi were somewhat lower (25-50 .mu.g/mL). The growth of mycobacteria was inhibited at concns. of 3.1-25 .mu.g/mL. Compd. I [71569-90-9] inhibited the growth of pathogenic mycobacteria including M. tuberculosis resistant to streptomycin and isonicotinate hydrazide at 3.1 or 6.2 .mu.g/mL. In cytotoxicity assays, compd. I, II [71569-81-8], and III [99242-29-2] appeared the most active. However, none of the 1,4-dihydropyridine derivs. affected the survival time of mice with P388 and L1210 leukemias or melanoma B16. The growth of s.c. tumors of sarcoma 180 was inhibited by compds. I, III, IV [71569-91-0], and V [71569-82-9]. The effect was dose related.

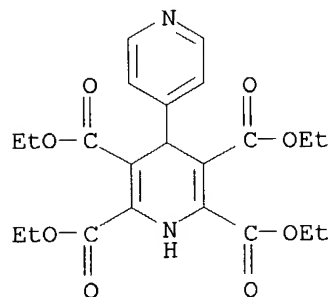
IT 71569-81-8 71569-82-9

RL: BIOL (Biological study)

(antibacterial and neoplasm-inhibiting activity of)

RN 71569-81-8 CAPLUS

CN [4,4'-Bipyridine]-2,3,5,6-tetracarboxylic acid, 1,4-dihydro-, tetraethyl ester (9CI) (CA INDEX NAME)

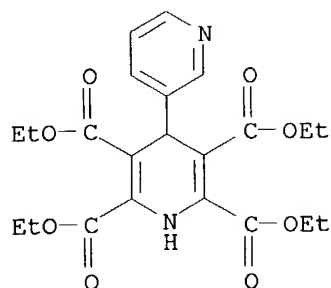


RN 71569-82-9 CAPLUS

CN [3,4'-Bipyridine]-2',3',5',6'-tetracarboxylic acid, 1',4'-dihydro-, tetraethyl ester (9CI) (CA INDEX NAME)

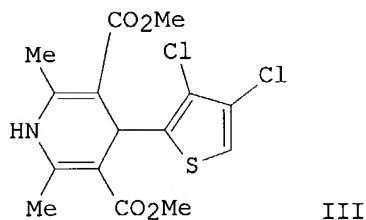
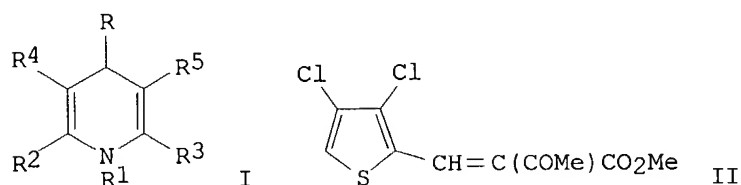


10/022,874



L11 ANSWER 32 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1985:578176 CAPLUS  
DOCUMENT NUMBER: 103:178176  
TITLE: Halogenated thiophene compounds  
INVENTOR(S): Kuehnis, Hans  
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.  
SOURCE: Ger. Offen., 54 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3445356	A1	19850627	DE 1984-3445356	19841212
PRIORITY APPLN. INFO.: GI			CH 1983-6692	19831215



AB Thienylpyridines I [R = halothieryl; R1 = H, (un)substituted alkyl; 1 of R2 and R3 = alkyl, the other = H, amino, (un)modified CO2H, (un)substituted alkyl; R1R2, R1R3 = azaalkylene; R4, R5 = acyl, e.g., alkanoyl, (un)modified CO2H, (un)substituted PhCO, PhSO2] were prepd. Thus, 3,4-dichloro-2-thiophenecarboxaldehyde was condensed with MeCOCH2CO2Me to give (thienylmethylene)acetoacetate II. This was cyclocondensed with H2NCMe:CHCO2Me to give thienylpyridinedicarboxylate III. I are antihypertensives, reducing blood pressure in cats by 94 mm Hg with a single dose of 1 mg/kg i.v., the effect lasting 6 h.

IT 98770-45-7P

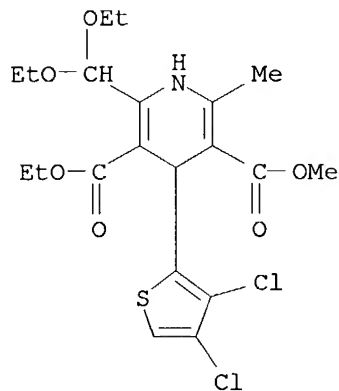
10/022,874

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(prepn. and acid hydrolysis of)

RN 98770-45-7 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(3,4-dichloro-2-thienyl)-2-  
(diethoxymethyl)-1,4-dihydro-6-methyl-, 3-ethyl 5-methyl ester (9CI) (CA  
INDEX NAME)



L11 ANSWER 33 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:203874 CAPLUS

DOCUMENT NUMBER: 102:203874

TITLE: Pharmaceutically active dihydropyridines

INVENTOR(S): Baxter, Andrew John Gilby; Dixon, John; Gould, Kenneth  
John; McInally, Thomas; Tinker, Alan Charles

PATENT ASSIGNEE(S): Fisons PLC, UK

SOURCE: Eur. Pat. Appl., 111 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

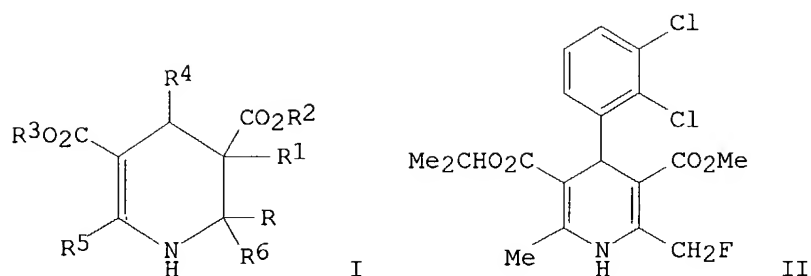
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 125803	A2	19841121	EP 1984-302566	19840416
EP 125803	A3	19870121		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4607041	A	19860819	US 1984-601389	19840417
US 4686217	A	19870811	US 1984-601309	19840417
FI 8401597	A	19841028	FI 1984-1597	19840424
ZA 8403030	A	19850227	ZA 1984-3030	19840424
DK 8402092	A	19841028	DK 1984-2092	19840426
NO 8401656	A	19841029	NO 1984-1656	19840426
JP 59205360	A2	19841120	JP 1984-83089	19840426
ES 531940	A1	19861201	ES 1984-531940	19840426
AU 8427445	A1	19841101	AU 1984-27445	19840427
DD 232491	A5	19860129	DD 1984-266853	19840831
HU 36093	A2	19850828	HU 1984-3693	19840928
PRIORITY APPLN. INFO.:			GB 1983-11519	19830427
			GB 1983-11520	19830427
			GB 1983-11521	19830427
			GB 1983-26362	19831001
			GB 1983-27660	19831015

10/022,874

GB 1983-27661	19831015
GB 1983-30852	19831118
GB 1983-34285	19831222
GB 1983-34286	19831222
GB 1983-34287	19831222

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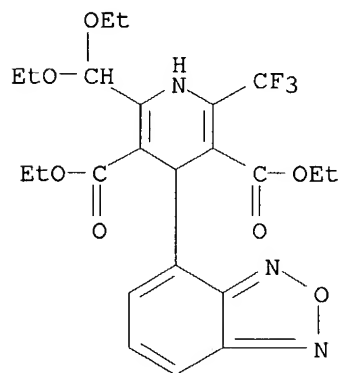
AB Calcium channel-blocking (no data) di- and tetrahydropyridinedicarboxylates I [R = OH, R1 = H; RR1 = bond; R2, R3 = H, (un)substituted alkyl, cycloalkyl, heterocyclyl; R1 = benzofurazanyl, (un)substituted alkyl, Ph, pyridyl, R5, R6 = alkyl, C(X)R1, S(O)nR8, (un)substituted Ph; R1 = amino, alkylthio; R8 = alkyl; X = O, S; n = 0-2] (125 compds.) were prepd. Thus, FCH2COCH2CO2Me, prepd. by condensing FCH2COCl with 2,2-dimethyl-1,3-dioxane-4,6-dione followed by methanolysis, was stirred at 90.degree. with 2,3-dichloro-3-hydroxy-2-methylpyridine and H2NCMe:CHCO2CHMe2 to give II.

IT **95400-34-3P 95410-44-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 95400-34-3 CAPLUS

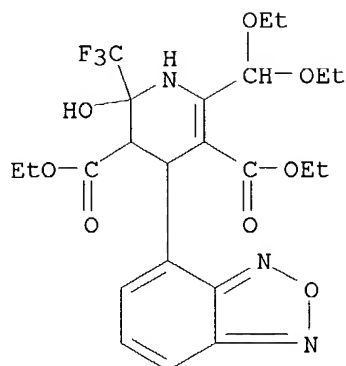
CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-(diethoxymethyl)-1,4-dihydro-6-(trifluoromethyl)-, diethyl ester (9CI)  
(CA INDEX NAME)



RN 95410-44-9 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-6-(diethoxymethyl)-1,2,3,4-tetrahydro-2-hydroxy-2-(trifluoromethyl)-, diethyl ester (9CI) (CA INDEX NAME)

10/022,874



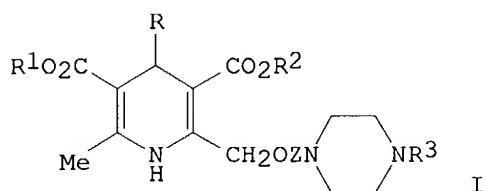
L11 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1985:24483 CAPLUS  
DOCUMENT NUMBER: 102:24483  
TITLE: Dihydropyridines  
INVENTOR(S): Campbell, Simon Fraser; Cross, Peter Edward; Stubbs, John Kendrick  
PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Corp.  
SOURCE: Eur. Pat. Appl., 72 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 106462	A2	19840425	EP 1983-304954	19830826
EP 106462	A3	19840530		
EP 106462	B1	19881207		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 39112	E	19881215	AT 1983-304954	19830826
ES 525241	A1	19860116	ES 1983-525241	19830830
FI 8303117	A	19840305	FI 1983-3117	19830901
FI 80262	B	19900131		
FI 80262	C	19900510		
DK 8303983	A	19840305	DK 1983-3983	19830901
DK 161700	B	19910805		
DK 161700	C	19920106		
US 4539322	A	19850903	US 1983-528507	19830901
NO 8303159	A	19840305	NO 1983-3159	19830902
NO 160259	B	19881219		
NO 160259	C	19890329		
AU 8318658	A1	19840308	AU 1983-18658	19830902
AU 542454	B2	19850221		
HU 31719	O	19840528	HU 1983-3077	19830902
HU 191092	B	19870128		
ZA 8306514	A	19840725	ZA 1983-6514	19830902
DD 215544	A5	19841114	DD 1983-254486	19830902
CS 242881	B2	19860515	CS 1983-6395	19830902
CA 1205470	A1	19860603	CA 1983-435935	19830902
IL 69627	A1	19860831	IL 1983-69627	19830902
PL 139499	B1	19870131	PL 1983-243621	19830902
SU 1364237	A3	19871230	SU 1983-3641411	19830902
PL 143900	B1	19880331	PL 1983-250618	19830902

10/022,874

JP 59080663	A2	19840510	JP 1983-163103	19830905
JP 62022985	B4	19870520		
ES 532038	A1	19851201	ES 1984-532038	19840430
SU 1378782	A3	19880228	SU 1984-3750492	19840611
CS 242898	B2	19860515	CS 1984-7706	19841010
PRIORITY APPLN. INFO.:			GB 1982-25246	19820904
			US 1983-463092	19830202
			EP 1983-304954	19830826
			CS 1983-6395	19830902

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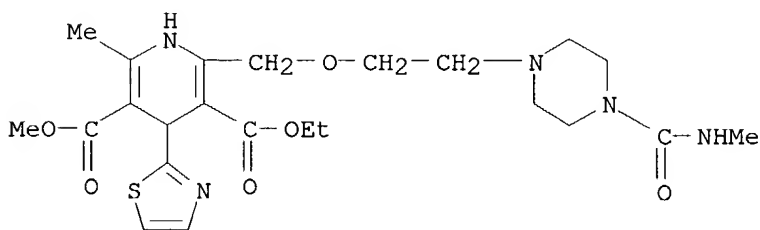
AB 1,4-Dihydropyridines I [R = aryl, heteroaryl; R1 and R2 are alkyl, CH2CH2OMe; Z = CH2CH2, (CH2)3, CH2CHMe, CH2CMe2; R3 = H, a carbamoyl, thiocarbamoyl, guanyl, or imino(methylthio)methyl group] were prepd. and they showed anti-ischemic activity. Thus, I [R = 2-ClC6H4, R1 = Me, R2 = Et, Z = CH2CH2, R3 = C(:NCN)SMe] was treated with MeNH2 to give I [R = 2-ClC6H4, R1 = Me, R2 = Et, Z = CH2CH2, R3 = C(:NCN)NHMe]. In tests with rat aorta tissue I reduced the response to increased Ca2+ concn. with IC50 values as low as 2 x 10<sup>-9</sup> M.

IT **92601-04-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and anti-ischemic activity of)

RN 92601-04-2 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2-methyl-6-[[2-[4-[(methylamino)carbonyl]-1-piperazinyl]ethoxy)methyl]-4-(2-thiazolyl)-, 5-ethyl 3-methyl ester (9CI) (CA INDEX NAME)



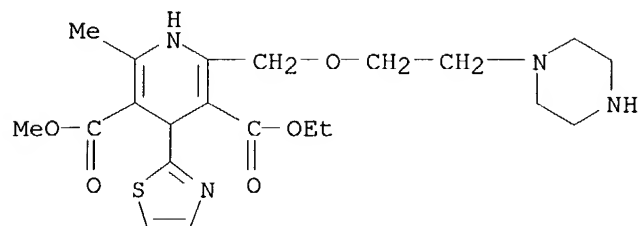
IT **92600-99-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and N-carbamoylation of)

RN 92600-99-2 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2-methyl-6-[[2-(1-piperazinyl)ethoxy)methyl]-4-(2-thiazolyl)-, 5-ethyl 3-methyl ester (9CI) (CA INDEX NAME)

10/022,874

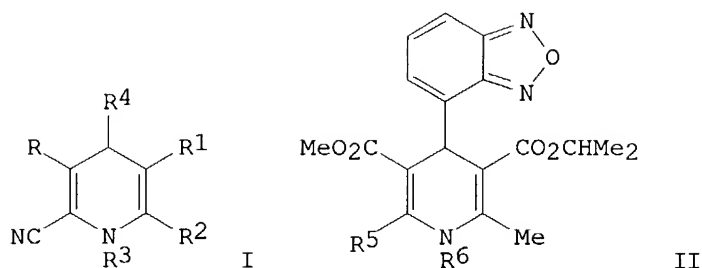


L11 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1984:51576 CAPLUS  
DOCUMENT NUMBER: 100:51576  
TITLE: 1,4-Dihydropyridine derivatives and pharmaceutical preparations containing them  
INVENTOR(S): Vogel, Arnold  
PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.  
SOURCE: Ger. Offen., 32 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3305577	A1	19830922	DE 1983-3305577	19830218
ZA 8300959	A	19840926	ZA 1983-959	19830211
DK 8300635	A	19830911	DK 1983-635	19830214
BE 895957	A1	19830822	BE 1983-10727	19830221
AU 8311695	A1	19830915	AU 1983-11695	19830221
FR 2523128	A1	19830916	FR 1983-2895	19830221
FR 2523128	B1	19851018		
FI 8300617	A	19830911	FI 1983-617	19830224
WO 8303097	A1	19830915	WO 1983-CH20	19830224
W: CH				
CH 660190	A	19870331	CH 1983-6050	19830224
SE 8301072	A	19830911	SE 1983-1072	19830225
NL 8300739	A	19831003	NL 1983-739	19830228
GB 2117761	A1	19831019	GB 1983-5525	19830228
GB 2117761	B2	19860129		
JP 58180483	A2	19831021	JP 1983-32694	19830228
HU 31191	O	19840428	HU 1983-671	19830228
ES 520201	A1	19841001	ES 1983-520201	19830301
PRIORITY APPLN. INFO.:			CH 1982-1477	19820310
			WO 1983-CH20	19830224

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10/022,874



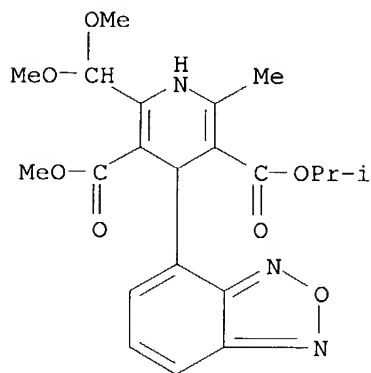
AB Calcium channel-blocking (no data) I [R, R1 = esterified carboxy; R2 = H, alkyl, cyano; R3 = (un)substituted alkyl, alkenyl, cycloalkyl, phenylalkyl, phenylalkenyl; R4 = (un)substituted benzothiadiazolyl, benzoxadiazolyl] were prepd. Thus, iso-Pr 2-acetyl-3-(2,1,3-benzoxadiazol-4-yl)-2-propenoate was cyclocondensed with (MeO)<sub>2</sub>CHC(NH<sub>2</sub>):CHCO<sub>2</sub>Me to give II [R5 = (MeO)<sub>2</sub>CH, R6 = H]. This was hydrolyzed to give II (R5 = CHO, R6 = H), oximated, dehydrated, and methylated to give (+-)-II (R5 = cyano, R6 = Me).

IT **88123-83-5P 88123-87-9P 88123-88-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and deacetalization of)

RN 88123-83-5 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-, 3-methyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)

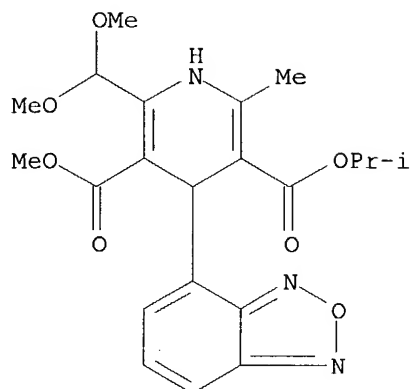


RN 88123-87-9 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-, 3-methyl 5-(1-methylethyl) ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

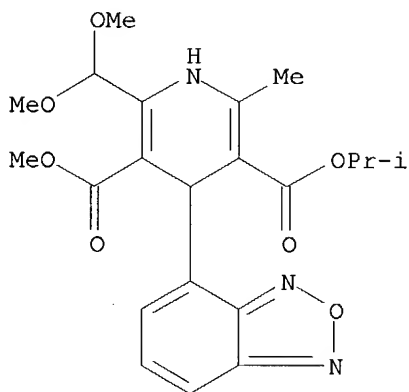
10/022,874



RN 88123-88-0 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-, 3-methyl 5-(1-methylethyl) ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



IT 88123-86-8P 88152-96-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and transesterification of)

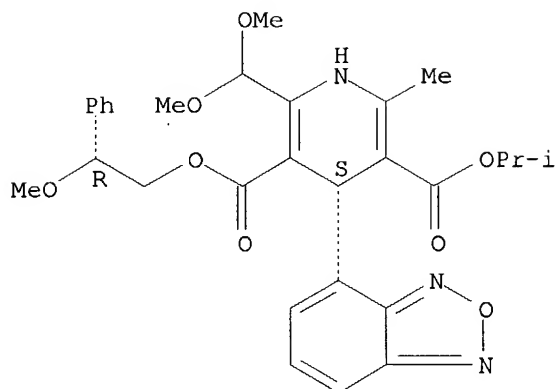
RN 88123-86-8 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-, 3-(2-methoxy-2-phenylethyl) 5-(1-methylethyl) ester, [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



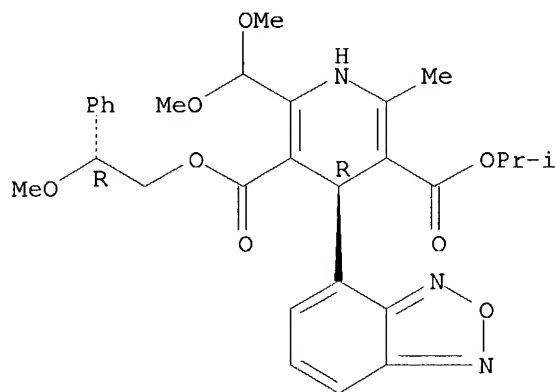
10/022,874



RN 88152-96-9 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-, 3-(2-methoxy-2-phenylethyl) 5-(1-methylethyl) ester, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1983:575596 CAPLUS

DOCUMENT NUMBER: 99:175596

TITLE: Dihydropyridines and their use as pharmaceuticals

INVENTOR(S): Dixon, John; Tinker, Alan Charles

PATENT ASSIGNEE(S): Fisons PLC, UK

SOURCE: Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

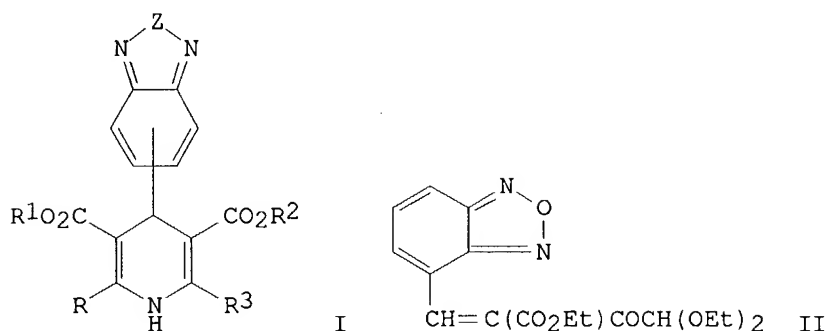
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 80220	A1	19830601	EP 1982-201367	19821101
EP 80220	B1	19860219		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 18046	E	19860315	AT 1982-201367	19821101
FI 8203883	A	19830518	FI 1982-3883	19821112

10/022,874

DK 8205054	A	19830518	DK 1982-5054	19821112
NO 8203829	A	19830518	NO 1982-3829	19821116
AU 8290630	A1	19830526	AU 1982-90630	19821116
AU 551941	B2	19860515		
JP 58092679	A2	19830602	JP 1982-199876	19821116
PRIORITY APPLN. INFO.:			GB 1981-34550	19811117
			GB 1982-24923	19820901
			EP 1982-201367	19821101

GI



AB Pyridine derivs. I [Z = O, S; R = alkyl; R1 and R2 (same or different) are alkyl, an N,N-disubstituted .omega.-aminoalkyl group, (CH2)<sub>n</sub>OR4 (n = 2, 3, 4; R4 = alkyl, Ph); R3 = CH2OH, cyano, dialkoxymethyl, CHO, CH:NOH, CF3, or R3 and CO2R2 form a lactol] were prep'd. as cardiovascular agents (no data). Thus, 4-benzofurazancarboxaldehyde reacted with (EtO)<sub>2</sub>CHCOCH2CO2Et and piperidine in C6H6 at reflux, and the condensation product II was heated with MeC(NH2):CHCO2Et 16 h at 100.degree. to give I [Z = O, R = Me, R1 = R2 = Et, R3 = CH(OEt)<sub>2</sub>].

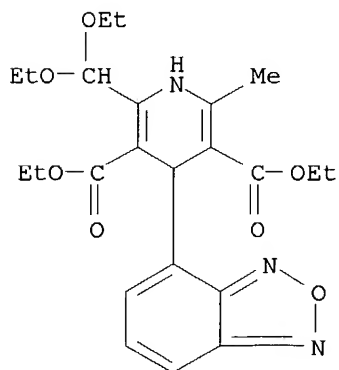
IT **87516-26-5P 87516-29-8P 87516-30-1P**

**87516-37-8P 87522-76-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 87516-26-5 CAPLUS

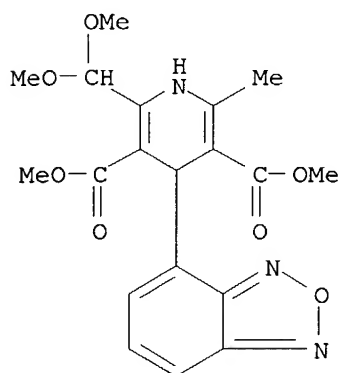
CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-(diethoxymethyl)-1,4-dihydro-6-methyl-, diethyl ester (9CI) (CA INDEX NAME)



10/022,874

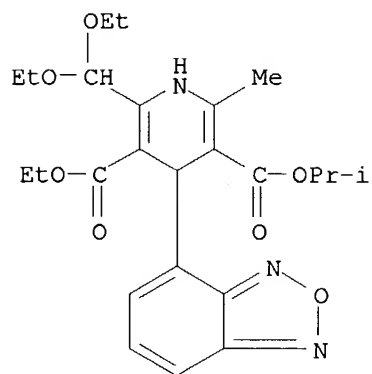
RN 87516-29-8 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-(dimethoxymethyl)-1,4-dihydro-6-methyl-, dimethyl ester (9CI) (CA INDEX NAME)



RN 87516-30-1 CAPLUS

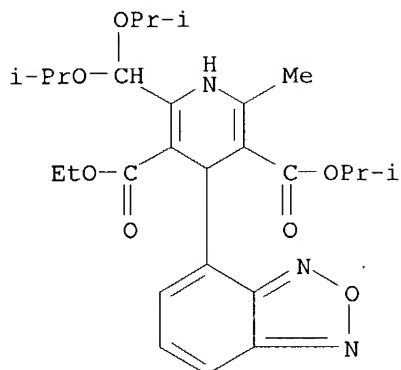
CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-(diethoxymethyl)-1,4-dihydro-6-methyl-, 3-ethyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)



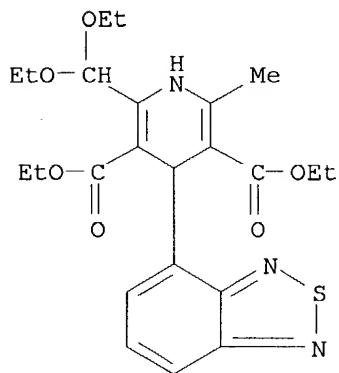
RN 87516-37-8 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzoxadiazol-4-yl)-2-[bis(1-methylethoxy)methyl]-1,4-dihydro-6-methyl-, 3-ethyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)

10/022,874



RN 87522-76-7 CAPLUS  
CN 3,5-Pyridinedicarboxylic acid, 4-(2,1,3-benzothiadiazol-4-yl)-2-(diethoxymethyl)-1,4-dihydro-6-methyl-, diethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 37 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1983:539790 CAPLUS  
DOCUMENT NUMBER: 99:139790  
TITLE: Pyridine N-oxides and pharmaceutical compositions containing them  
INVENTOR(S): Zimmermann, Markus; Kuehnis, Hans  
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.  
SOURCE: Eur. Pat. Appl., 72 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 83315	A2	19830706	EP 1982-810572	19821230
EP 83315	A3	19830824		
EP 83315	B1	19870729		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
US 4497808	A	19850205	US 1982-453393	19821227
JP 58126885	A2	19830728	JP 1982-235045	19821229
HU 30614	O	19840328	HU 1982-4229	19821229

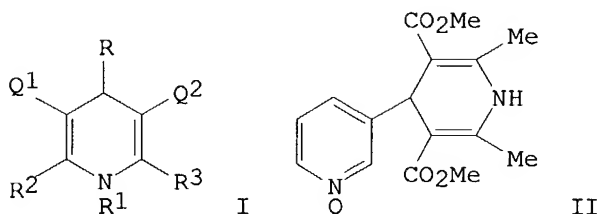
10/022,874

HU 192760	B	19870728		
FI 8204531	A	19830701	FI 1982-4531	19821230
DK 8205802	A	19830701	DK 1982-5802	19821230
NO 8204420	A	19830701	NO 1982-4420	19821230
AU 8291959	A1	19830707	AU 1982-91959	19821230
AU 556201	B2	19861023		
GB 2112782	A1	19830727	GB 1982-36958	19821230
GB 2112782	B2	19850501		
ZA 8209573	A	19831026	ZA 1982-9573	19821230
DD 209456	A5	19840509	DD 1982-246796	19821230
ES 518711	A1	19840616	ES 1982-518711	19821230
CA 1215053	A1	19861209	CA 1982-418753	19821230
AT 28643	E	19870815	AT 1982-810572	19821230
ES 530655	A1	19851201	ES 1984-530655	19840315
ES 530653	A1	19851216	ES 1984-530653	19840315
ES 530654	A1	19861116	ES 1984-530654	19840315

PRIORITY APPLN. INFO.:

CH 1981-8359	19811230
CH 1982-2255	19820414
EP 1982-810572	19821230

GI



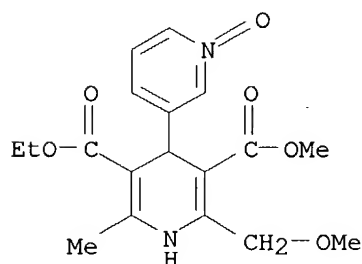
AB I [R = (un)substituted 1-oxidopyridyl; R1 = H or (un)substituted lower alkyl; one of R2, R3 = lower alkyl, the other = H, lower alkyl, OH or deriv., CO2H or deriv., etc.; Q1 and Q2 = acyl, or an R and a Q group form a 1-oxa-2-oxoalkylene] were prepd. as antihypertensives and coronary vasodilators (no data). Thus, 12.4 g 3-pyridinecarboxaldehyde 1-oxide, 17.3 mL MeCOCH2CO2Me, 16 mL abs. EtOH, and 8 mL 30% aq. NH3 were heated 2 h at 100.degree. to give II.

IT **87217-42-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as antihypertensive)

RN 87217-42-3 CAPLUS

CN [3,4'-Bipyridine]-3',5'-dicarboxylic acid, 1',4'-dihydro-2'-(methoxymethyl)-6'-methyl-, 5'-ethyl 3'-methyl ester, 1-oxide (9CI) (CA INDEX NAME)



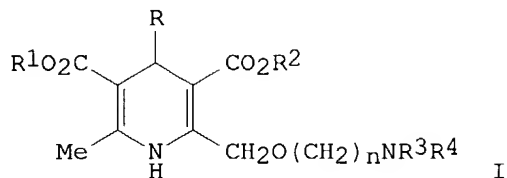
10/022,874

L11 ANSWER 38 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1983:34509 CAPLUS  
DOCUMENT NUMBER: 98:34509  
TITLE: Dihydropyridine antiischemic and antihypertensive  
agents and pharmaceutical compositions containing them  
INVENTOR(S): Campbell, Simon Fraser; Cross, Peter Edward; Stubbs,  
John Kendrick  
PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Corp.  
SOURCE: Eur. Pat. Appl., 36 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 60674	A1	19820922	EP 1982-301210	19820309
EP 60674	B1	19850918		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
CS 228917	P	19840514	CS 1982-1571	19820308
HU 26716	O	19830928	HU 1982-722	19820309
HU 187657	B	19860228		
PL 131190	B1	19841031	PL 1982-235363	19820309
PL 132199	B1	19850228	PL 1982-238960	19820309
AT 15660	E	19851015	AT 1982-301210	19820309
FI 8200840	A	19820915	FI 1982-840	19820311
FI 78470	B	19890428		
FI 78470	C	19890810		
DD 202430	A5	19830914	DD 1982-238073	19820311
US 4430333	A	19840207	US 1982-357229	19820311
IL 65222	A1	19850830	IL 1982-65222	19820311
NO 8200825	A	19820915	NO 1982-825	19820312
NO 159085	B	19880822		
NO 159085	C	19881130		
DK 8201099	A	19820915	DK 1982-1099	19820312
DK 155601	B	19890424		
DK 155601	C	19890911		
AU 8281364	A1	19821104	AU 1982-81364	19820312
AU 529854	B2	19830623		
ZA 8201670	A	19830126	ZA 1982-1670	19820312
ES 510402	A1	19830401	ES 1982-510402	19820312
CA 1205480	A1	19860603	CA 1982-398201	19820312
JP 57206659	A2	19821218	JP 1982-40082	19820313
JP 61055907	B4	19861129		
SU 1189336	A3	19851030	SU 1982-3527222	19821214
ES 518489	A1	19840116	ES 1982-518489	19821222
CS 228943	P	19840514	CS 1983-125	19830107
PRIORITY APPLN. INFO.:			GB 1981-8088	19810314
			EP 1982-301210	19820309

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10/022,874



AB Dihydropyridines I (R = aryl, heteroaryl; R1, R2 = alkyl, CH2CH2OMe; R3, R4 = alkyl, aralkyl; NR3R4 = pyrrolidino, piperidino, morpholino, 4-substituted piperazino; n = 2, 3) were prepd. Thus, ClCH2COCH2CO2Et was treated with Me2NCH2CH2OH to give Me2NCH2CH2OCH2COCH2CO2Et, which was treated with H2NCMe:CHCO2Et and 1-naphthaldehyde to give I (R = 1-naphthyl, R1 = R2 = Et, R3 = R4 = Me, n = 2).

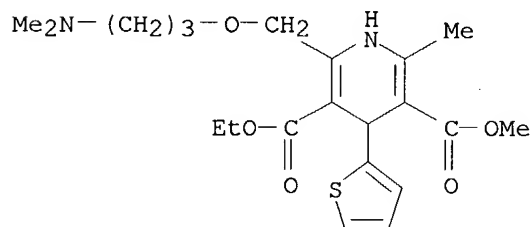
IT **84157-35-7P 84157-47-1P 84157-48-2P**

**84157-49-3P 84157-50-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

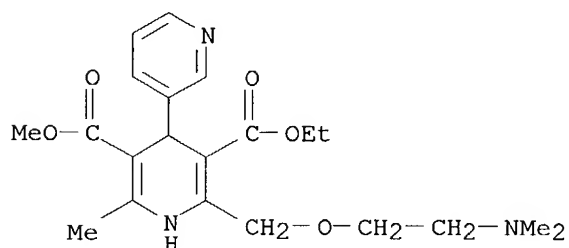
RN 84157-35-7 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[3-(dimethylamino)propoxy]methyl]-1,4-dihydro-6-methyl-4-(2-thienyl)-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



RN 84157-47-1 CAPLUS

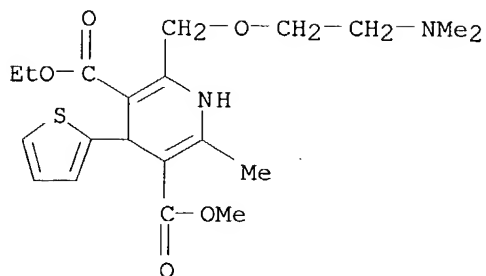
CN [3,4'-Bipyridine]-3',5'-dicarboxylic acid, 2'-[[2-(dimethylamino)ethoxy]methyl]-1',4'-dihydro-6'-methyl-, 3'-ethyl 5'-methyl ester (9CI) (CA INDEX NAME)



RN 84157-48-2 CAPLUS

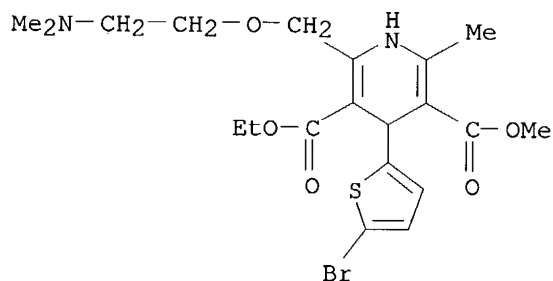
CN 3,5-Pyridinedicarboxylic acid, 2-[[2-(dimethylamino)ethoxy]methyl]-1,4-dihydro-6-methyl-4-(2-thienyl)-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)

10/022,874



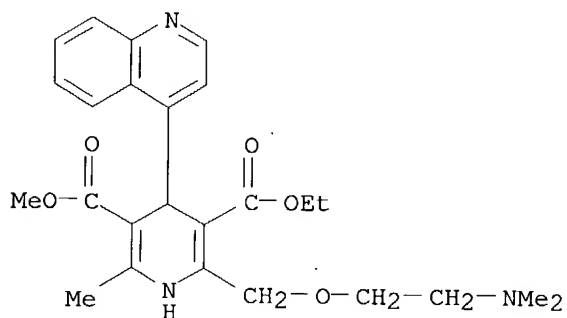
RN 84157-49-3 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(5-bromo-2-thienyl)-2-[[2-(dimethylamino)ethoxy]methyl]-1,4-dihydro-6-methyl-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



RN 84157-50-6 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[2-(dimethylamino)ethoxy]methyl]-1,4-dihydro-6-methyl-4-(4-quinolinyl)-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 39 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:6590 CAPLUS

DOCUMENT NUMBER: 96:6590

TITLE: 1,4-Dihydropyridine derivatives, and their pharmaceutical use

INVENTOR(S): Satu, Yoshinari

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., UK

SOURCE: U.S., 43 pp. Cont.-in-part of U.S. Ser. No. 809,788, abandoned.

CODEN: USXXAM



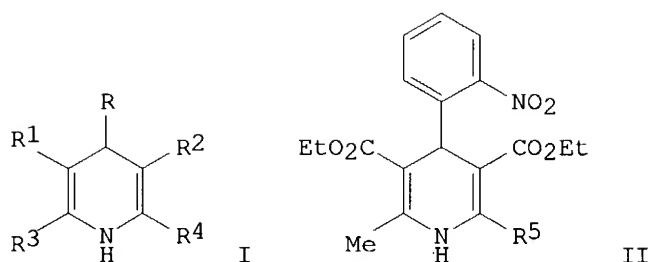
10/022,874

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4284634	A	19810818	US 1979-39752	19790517
GB 1552911	A	19790919	GB 1975-27945	19750702
BE 843576	A1	19761229	BE 1976-168458	19760629
US 4145432	A	19790320	US 1976-701994	19760701
CS 189011	P	19790330	CS 1976-4356	19760701
CS 189047	P	19790330	CS 1977-2596	19760701
CS 189048	P	19790330	CS 1977-2597	19760701
CS 189049	P	19790330	CS 1977-2598	19760701
CS 189050	P	19800229	CS 1977-2599	19760701
NL 7607338	A	19770104	NL 1976-7338	19760702
NL 190812	B	19940405		
NL 190812	C	19940901		
JP 52005777	A2	19770117	JP 1976-79413	19760702
JP 59048827	B4	19841129		
HU 173063	P	19790228	HU 1976-FU342	19760702
HU 173064	P	19790228	HU 1976-FU350	19760702
HU 173195	P	19790328	HU 1976-FU353	19760702
HU 173193	P	19790328	HU 1976-FU351	19760702
HU 173194	P	19790328	HU 1976-FU352	19760702
AT 7604856	A	19800615	AT 1976-4856	19760702
AT 360531	B	19810112		
CA 1080223	A1	19800624	CA 1976-256210	19760702
GB 1591089	A	19810610	GB 1976-52720	19761217
CH 637380	A	19830729	CH 1977-16193	19771229
GB 2026471	A	19800206	GB 1978-26429	19780606
GB 2026471	B2	19821027		
AT 7905697	A	19800615	AT 1979-5697	19790824
AT 360538	B	19810112		
AT 7905698	A	19800615	AT 1979-5698	19790824
AT 360539	B	19810112		
AT 7905696	A	19800615	AT 1979-5696	19790824
AT 360537	B	19810112		
AT 8002722	A	19811115	AT 1980-2722	19800521
AT 367402	B	19820712		
US 4338322	A	19820706	US 1980-180905	19800825
US 4370334	A	19830125	US 1980-213048	19801204
FI 8103046	A	19810930	FI 1981-3046	19810930
FI 63022	B	19821231		
FI 63022	C	19830411		
DK 8105047	A	19811113	DK 1981-5047	19811113
DK 152285	B	19880215		
DK 152285	C	19881010		
CH 634051	A	19830114	CH 1982-1778	19820323
CH 634052	A	19830114	CH 1982-1780	19820323
CH 637938	A	19830831	CH 1982-1779	19820323
US 4525478	A	19850625	US 1982-414842	19820903
CH 638785	A	19831014	CH 1982-6326	19821029
DK 8403744	A	19840801	DK 1984-3744	19840801
DK 152359	B	19880222		
DK 152359	C	19881010		
PRIORITY APPLN. INFO.:			GB 1975-27945	19750702
			GB 1975-39854	19750929
			GB 1975-51524	19751216
			GB 1976-13761	19760405

US 1976-701994	19760701
GB 1976-52720	19761217
US 1977-809788	19770624
GB 1978-26429	19780606
GB 1978-39978	19781010
CH 1976-8377	19760630
DK 1976-2981	19760701
FI 1976-1912	19760701
AT 1976-4856	19760702
CA 1977-256210	19770902
AT 1977-9018	19771216
CH 1977-15534	19771216
US 1979-39752	19790517
US 1980-213048	19801204

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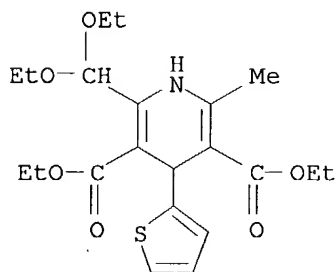
AB Dihydropyridines I (R = optionally substituted Ph; R<sub>1</sub>, R<sub>2</sub> = optionally substituted alkoxy carbonyl; R<sub>3</sub> = hydroxyalkyl, gem-dialkoxyalkyl; R<sub>4</sub> = H, alkyl, R<sub>3</sub>) were prep'd. Thus 2-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CHO was treated with (EtO)<sub>2</sub>CHCOCH<sub>2</sub>CO<sub>2</sub>Et to give (EtO)<sub>2</sub>CHCOC(CO<sub>2</sub>Et):CHC<sub>6</sub>H<sub>4</sub>NO<sub>2</sub>-2 which was treated with Et 3-aminocrotonate to give II [R<sub>5</sub> = CH(OEt)<sub>2</sub>]. Ketal cleavage gave II (R<sub>5</sub> = CHO) which was reduced with NaBH<sub>4</sub> to II (R<sub>5</sub> = CH<sub>2</sub>OH). At 64 .mu.g/kg i.v. in dogs II (R<sub>5</sub> = CHO, CH<sub>2</sub>OH) increased the coronary blood flow by 190 and 214%, resp.

IT **62759-96-0P 62759-98-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and ketal cleavage of)

RN 62759-96-0 CAPLUS

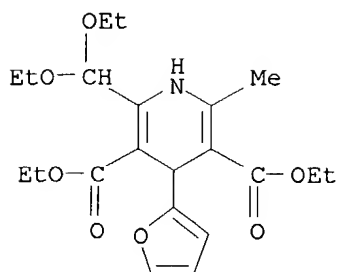
CN 3,5-Pyridinedicarboxylic acid, 2-(diethoxymethyl)-1,4-dihydro-6-methyl-4-(2-thienyl)-, diethyl ester (9CI) (CA INDEX NAME)



RN 62759-98-2 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-(diethoxymethyl)-4-(2-furany)-1,4-dihydro-6-methyl-, diethyl ester (9CI) (CA INDEX NAME)

10/022,874

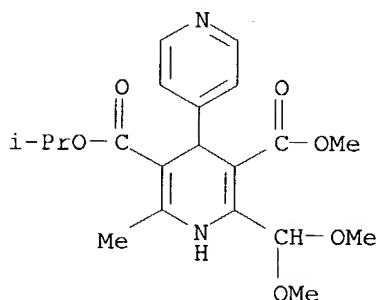


IT 75530-33-5P 75535-91-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

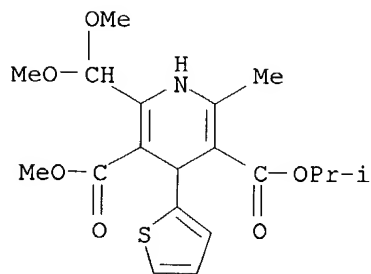
RN 75530-33-5 CAPLUS

CN [4,4'-Bipyridine]-3,5-dicarboxylic acid, 2-(dimethoxymethyl)-1,4-dihydro-6-methyl-, 3-methyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)



RN 75535-91-0 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-(dimethoxymethyl)-1,4-dihydro-6-methyl-4-(2-thienyl)-, 3-methyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)



L11 ANSWER 40 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1980:620594 CAPLUS

DOCUMENT NUMBER: 93:220594

TITLE: 2-Methyldihydropyridine derivatives and pharmaceutical composition containing it

INVENTOR(S): Sato, Yoshinari

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

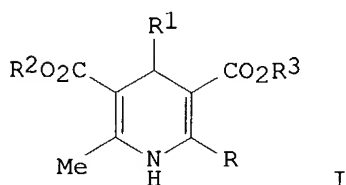
SOURCE: Ger. Offen., 67 pp.

CODEN: GWXXBX

10/022,874

DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2940833	A1	19800430	DE 1979-2940833	19791009
DE 2940833	C2	19890126		
CH 637380	A	19830729	CH 1977-16193	19771229
CA 1117117	A1	19820126	CA 1979-336130	19790921
BE 879263	A1	19800408	BE 1979-197526	19791008
FR 2438654	A1	19800509	FR 1979-25007	19791008
FR 2438654	B1	19830114		
SE 7908367	A	19800411	SE 1979-8367	19791009
SE 446265	B	19860825		
SE 446265	C	19861204		
NL 7907482	A	19800414	NL 1979-7482	19791009
JP 55062065	A2	19800510	JP 1979-130530	19791009
JP 61025711	B4	19860617		
GB 2036722	A	19800702	GB 1979-35022	19791009
GB 2036722	B2	19821201		
CH 642353	A	19840413	CH 1979-9128	19791010
SE 8400689	A	19840209	SE 1984-689	19840209
SE 446096	B	19860811		
JP 61118366	A2	19860605	JP 1985-214152	19850926
JP 61043343	B4	19860926		
PRIORITY APPLN. INFO.:			GB 1978-39978	19781010
			CH 1977-15534	19771216
OTHER SOURCE(S):			CASREACT 93:220594	
GI				



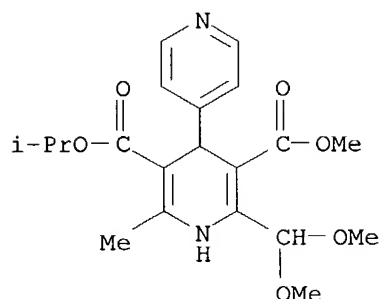
AB Dihydropyridinedicarboxylates I [R = CHO, dialkoxymethyl, CH<sub>2</sub>OH, cyano; R<sub>1</sub> = (substituted) Ph, 4-pyridyl, 2-thienyl; R<sub>2</sub> = CHMe<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>R<sub>4</sub> (R<sub>4</sub> = Cl, PhO, HO, EtO, Me, PhCH<sub>2</sub>O, PhNMe); R<sub>3</sub> = lower alkyl] and their salts were prepd. for use as vasodilators and antihypertensives (test data tabulated). Thus 3-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH:C(CO<sub>2</sub>Me)COCH(OMe)<sub>2</sub> was heated with H<sub>2</sub>NCMe:CHCO<sub>2</sub>CHMe<sub>2</sub> to give I [R = CH(OMe)<sub>2</sub>, R<sub>1</sub> = 3-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, R<sub>2</sub> = CHMe<sub>2</sub>, R<sub>3</sub> = Me].

IT **75530-33-5P 75535-91-0P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 75530-33-5 CAPLUS

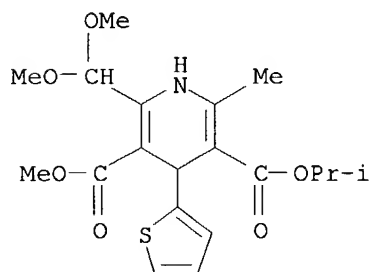
CN [4,4'-Bipyridine]-3,5-dicarboxylic acid, 2-(dimethoxymethyl)-1,4-dihydro-6-methyl-, 3-methyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)

10/022,874



RN 75535-91-0 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-(dimethoxymethyl)-1,4-dihydro-6-methyl-4-(2-thienyl)-, 3-methyl 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)



L11 ANSWER 41 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1979:557563 CAPLUS

DOCUMENT NUMBER: 91:157563

TITLE: Bipyridines. Part X. A convenient synthesis of some bipyridines and related compounds

AUTHOR(S): Balicki, Roman; Kaczmarek, Lukasz; Nantka-Namirski, Pawel

CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01224, Pol.

SOURCE: Polish Journal of Chemistry (1979), 53(4), 893-9

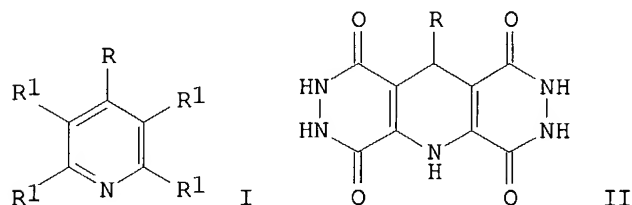
CODEN: PJCHDQ; ISSN: 0137-5083

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 91:157563

GI



AB Bipyridines I (R = 2-, 3-, 4-pyridyl, 6-methyl-2-pyridyl, R1 = H) were prepd. by treating RCHO with EtO2CCH2COCO2Et, cyclizing RCH[CH(CO2Et)COCO2Et]2 with NH4OAc-HOAc, aromatizing to I (R1 = CO2Et),

10/022,874

hydrolyzing the ester groups, and decarboxylating I (R1 = CO2H). II were obtained by treating the dihydropyridinetetracarboxylates with N2H4.

IT 71569-81-8P 71569-82-9P 71569-83-0P

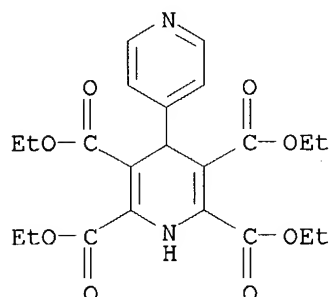
71569-84-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and aromatization of)

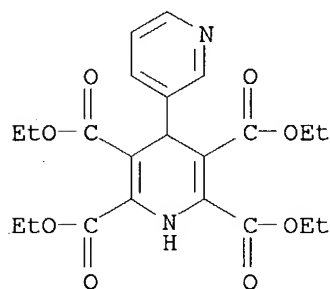
RN 71569-81-8 CAPLUS

CN [4,4'-Bipyridine]-2,3,5,6-tetracarboxylic acid, 1,4-dihydro-, tetraethyl ester (9CI) (CA INDEX NAME)



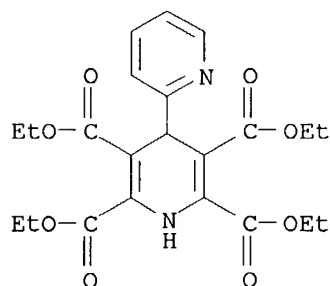
RN 71569-82-9 CAPLUS

CN [3,4'-Bipyridine]-2',3',5',6'-tetracarboxylic acid, 1',4'-dihydro-, tetraethyl ester (9CI) (CA INDEX NAME)



RN 71569-83-0 CAPLUS

CN [2,4'-Bipyridine]-2',3',5',6'-tetracarboxylic acid, 1',4'-dihydro-, tetraethyl ester (9CI) (CA INDEX NAME)

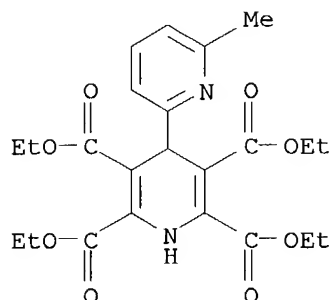


RN 71569-84-1 CAPLUS

CN [2,4'-Bipyridine]-2',3',5',6'-tetracarboxylic acid, 1',4'-dihydro-6-methyl-

10/022,874

, tetraethyl ester (9CI) (CA INDEX NAME)

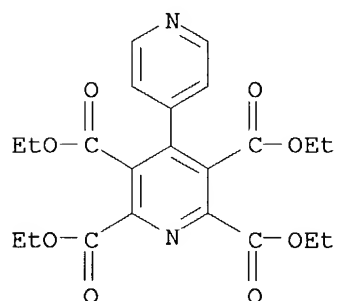


IT 71569-85-2P 71569-86-3P 71569-87-4P  
71569-88-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and decarboxylation of)

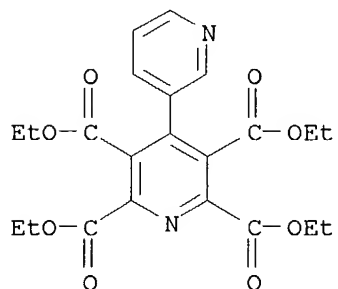
RN 71569-85-2 CAPLUS

CN [4,4'-Bipyridine]-2,3,5,6-tetracarboxylic acid, tetraethyl ester (9CI)  
(CA INDEX NAME)



RN 71569-86-3 CAPLUS

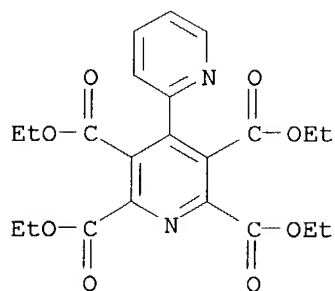
CN [3,4'-Bipyridine]-2',3',5',6'-tetracarboxylic acid, tetraethyl ester (9CI)  
(CA INDEX NAME)



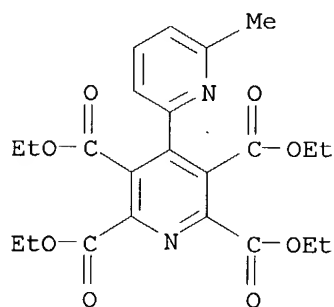
RN 71569-87-4 CAPLUS

CN [2,4'-Bipyridine]-2',3',5',6'-tetracarboxylic acid, tetraethyl ester (9CI)  
(CA INDEX NAME)

10/022,874



RN 71569-88-5 CAPLUS  
CN [2,4'-Bipyridine]-2',3',5',6'-tetracarboxylic acid, 6-methyl-, tetraethyl ester (9CI) (CA INDEX NAME)



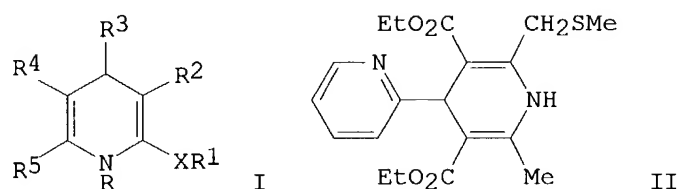
L11 ANSWER 42 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1978:546772 CAPLUS  
DOCUMENT NUMBER: 89:146772  
TITLE: Pharmaceutical 2-position-substituted  
1,4-dihydropyridine derivatives  
INVENTOR(S): Bossert, Friedrich; Wehinger, Egbert; Meyer, Horst;  
Heise, Arend; Kazda, Stanislaus; Stoepel, Kurt;  
Towart, Robertson; Vater, Wulf; Schlossmann, Klaus  
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.  
SOURCE: Ger. Offen., 73 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2658183	A1	19780706	DE 1976-2658183	19761222
US 4188395	A	19800212	US 1977-856559	19771201
NL 7714074	A	19780626	NL 1977-14074	19771219
AU 7731708	A1	19790628	AU 1977-31708	19771219
AU 516921	B2	19810702		
GB 1560280	A	19800206	GB 1977-52668	19771219
IL 53639	A1	19811130	IL 1977-53639	19771219
FI 7703867	A	19780623	FI 1977-3867	19771220
JP 53079873	A2	19780714	JP 1977-152484	19771220
JP 61031100	B4	19860717		
AT 7709129	A	19800815	AT 1977-9129	19771220
AT 361477	B	19810310		



10/022,874

CH 635323	A	19830331	CH 1977-15687	19771220
BE 862107	A1	19780621	BE 1977-183669	19771221
SE 7714607	A	19780623	SE 1977-14607	19771221
DK 7705716	A	19780623	DK 1977-5716	19771221
FR 2378763	A1	19780825	FR 1977-38602	19771221
FR 2378763	B1	19800919		
ES 465290	A1	19780916	ES 1977-465290	19771221
CA 1105934	A1	19810728	CA 1977-293648	19771221
PRIORITY APPLN. INFO.:			DE 1976-2658183	19761222
GI				



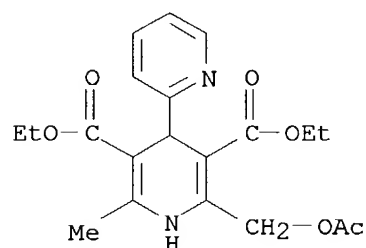
AB Dihydropyridines I (R = H, alkyl, alkoxyalkyl, aralkyl; X = alkylene; R1 = alkylthio, carboxylic ester, phthalimido; R2, R4 = CO2R6, COR6, SR6, SOR6, SO2R6; R3 = aryl with 1-3 substituents, optionally substituted heterocyclic, aralkyl, cycloalkyl, cycloalkenyl, or styryl; R5 = H, alkyl, XR1; R6 = alkyl, alkenyl, alkynyl, alkoxyalkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, aralkyl) were prepd. for use as coronary vasodilators, antihypertensives, muscle relaxants, anticholesteremics and antifibrillatory reagents (no data). Thus, 2-formylpyridine was condensed with MeSCH2COCH2CO2Et and H2NCMe:CHCO2Et to give 50% II.

IT **67429-05-4P 67429-16-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 67429-05-4 CAPLUS

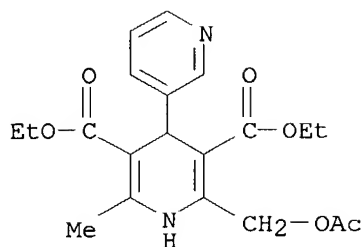
CN [2,4'-Bipyridine]-3',5'-dicarboxylic acid, 2'-[(acetyloxy)methyl]-1',4'-dihydro-6'-methyl-, diethyl ester (9CI) (CA INDEX NAME)



RN 67429-16-7 CAPLUS

CN [3,4'-Bipyridine]-3',5'-dicarboxylic acid, 2'-[(acetyloxy)methyl]-1',4'-dihydro-6'-methyl-, diethyl ester (9CI) (CA INDEX NAME)

10/022,874



L11 ANSWER 43 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1977:189726 CAPLUS  
DOCUMENT NUMBER: 86:189726  
TITLE: 1,4-Dihydropyridine derivatives  
INVENTOR(S): Sato, Yoshinari  
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
SOURCE: Ger. Offen., 133 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2629892	A1	19770127	DE 1976-2629892	19760702
GB 1552911	A	19790919	GB 1975-27945	19750702
BE 843576	A1	19761229	BE 1976-168458	19760629
CH 629778	A	19820514	CH 1976-8377	19760630
FI 7601912	A	19770103	FI 1976-1912	19760701
FI 61696	B	19820531		
FI 61696	C	19820910		
DK 7602981	A	19770103	DK 1976-2981	19760701
SE 7607566	A	19770103	SE 1976-7566	19760701
SE 434049	B	19840702		
SE 434049	C	19841011		
CS 189011	P	19790330	CS 1976-4356	19760701
CS 189047	P	19790330	CS 1977-2596	19760701
CS 189048	P	19790330	CS 1977-2597	19760701
CS 189049	P	19790330	CS 1977-2598	19760701
CS 189050	P	19800229	CS 1977-2599	19760701
NL 7607338	A	19770104	NL 1976-7338	19760702
NL 190812	B	19940405		
NL 190812	C	19940901		
JP 52005777	A2	19770117	JP 1976-79413	19760702
JP 59048827	B4	19841129		
FR 2315930	A1	19770128	FR 1976-20392	19760702
FR 2315930	B1	19781117		
DD 126722	C	19770810	DD 1976-193705	19760702
HU 173063	P	19790228	HU 1976-FU342	19760702
HU 173064	P	19790228	HU 1976-FU350	19760702
HU 173195	P	19790328	HU 1976-FU353	19760702
HU 173193	P	19790328	HU 1976-FU351	19760702
HU 173194	P	19790328	HU 1976-FU352	19760702
AT 7604856	A	19800615	AT 1976-4856	19760702
AT 360531	B	19810112		
AU 510353	B2	19800619	AU 1976-15547	19760702
CA 1080223	A1	19800624	CA 1976-256210	19760702

10/022,874

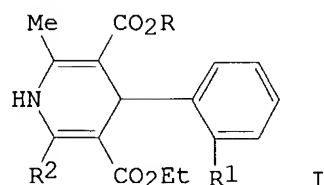
CH 637380	A	19830729	CH 1977-16193	19771229
AT 7905697	A	19800615	AT 1979-5697	19790824
AT 360538	B	19810112		
AT 7905698	A	19800615	AT 1979-5698	19790824
AT 360539	B	19810112		
AT 7905696	A	19800615	AT 1979-5696	19790824
AT 360537	B	19810112		
FI 8103046	A	19810930	FI 1981-3046	19810930
FI 63022	B	19821231		
FI 63022	C	19830411		
DK 8105047	A	19811113	DK 1981-5047	19811113
DK 152285	B	19880215		
DK 152285	C	19881010		
CH 634051	A	19830114	CH 1982-1778	19820323
CH 634052	A	19830114	CH 1982-1780	19820323
CH 637938	A	19830831	CH 1982-1779	19820323
JP 59231017	A2	19841225	JP 1984-91231	19840507
JP 60012324	B4	19850401		
JP 60001154	A2	19850107	JP 1984-91232	19840507
JP 61009300	B4	19860322		
DK 8403744	A	19840801	DK 1984-3744	19840801
DK 152359	B	19880222		
DK 152359	C	19881010		

PRIORITY APPLN. INFO.:

GB 1975-27945	19750702
GB 1975-39854	19750929
GB 1975-51524	19751216
GB 1976-13761	19760405
CH 1976-8377	19760630
DK 1976-2981	19760701
FI 1976-1912	19760701
AT 1976-4856	19760702
GB 1976-52720	19761217
CA 1977-256210	19770902
CH 1977-15534	19771216

OTHER SOURCE(S):  
GI

CASREACT 86:189726



AB Vasodilator and antihypertensive title compds., including I (R = Et, R1 = NO2, R2 = CHO, CH2OH; R = Et, R1 = Cl, R2 = CH2OH; R = CH2CH2NMeCH2Ph, R1 = NO2, R2 = CN) were prepd. Thus, I (R = Et, R1 = NO2, R2 = CHO) was obtained by treating 2-O2NC6H4CHO with (EtO)2CHCOCH2CO2Et, treating (EtO)2CHCOC(:CHC6H4NO2-2)CO2Et with H2NCMe:CHCO2Et, and hydrolyzing I [R2 = CH(OEt)2]. At 64 mg/kg i.v. in dogs, I (R = Et, R1 = NO2, R2 = CHO) gave 190% increase in coronary blood flow over controls.

IT **62759-96-0P 62759-98-2P**

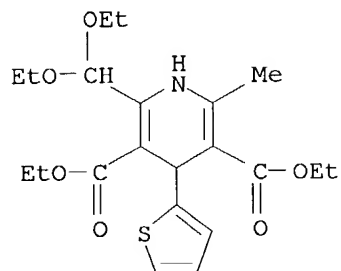
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and hydrolysis of)

RN 62759-96-0 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-(diethoxymethyl)-1,4-dihydro-6-methyl-4-

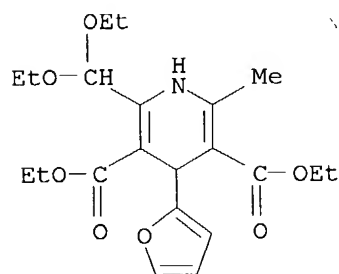
10/022,874

(2-thienyl)-, diethyl ester (9CI) (CA INDEX NAME)



RN 62759-98-2 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-(diethoxymethyl)-4-(2-furanyl)-1,4-dihydro-6-methyl-, diethyl ester (9CI) (CA INDEX NAME)

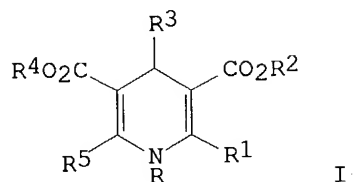


L11 ANSWER 44 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1976:432859 CAPLUS  
DOCUMENT NUMBER: 85:32859  
TITLE: 2,3,5,6-Tetracarboxy-4-pyridyl-1,4-dihydropyridine derivatives  
INVENTOR(S): Bossert, Friedrich; Meyer, Horst; Vater, Wulf  
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.  
SOURCE: U.S., 16 pp. Division of U.S. 3,905,983.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3946028	A	19760323	US 1974-524090	19741115
DE 2248150	A1	19740404	DE 1972-2248150	19720930
US 3905983	A	19750916	US 1973-399850	19730924
PRIORITY APPLN. INFO.:			DE 1972-2248150	19720930
			US 1973-399850	19730924

GI

10/022,874



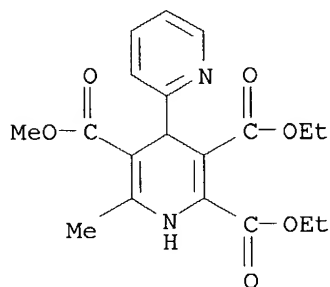
AB Pyridinecarboxylates I [R = H, R1 = Me, Et, CO2Et, CO2H, CH2CO2Me, CH2CO2Et, R2 = Me, Et, R3 = pyridyl, .alpha.-naphthyl, styryl, CH2CH2Ph, C6H5-nR6n [R6n = NO2, CF3, (MeO)3, (CF3)2, Cl, MeS, Ph, N3], R4 = Me, Et, R5 = CO2Et, CO2H, CH2CO2Et; R = R1 = R2 = Me, R3 = 3-O2NC6H4, R4 = Et, R5 = CO2Et] (49 compds.), useful as coronary dilators at 0.1-10 mg/kg i.v. (dogs), were prepd. (in cases where R1 and R5 .noteq. CO2H) by 5 methods with 35-90% yields. Thus, 2-pyridinecarboxaldehyde, MeCOCH2CO2Me, and (MeO2CCH2)2C:NH in EtOH refluxed several hr gave 60% I (R = H, R1 = R2 = R4 = Me, R3 = 2-pyridyl, R5 = CH2CO2Me). I [R1 and(or) R5 = CO2H] were prepd. by partial sapon. of the corresponding esters for several hr with Na in refluxing EtOH.

IT **52603-83-5P 52603-84-6P 52603-86-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and coronary dilation activity of)

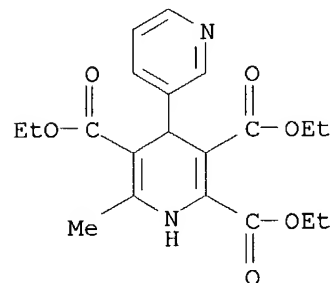
RN 52603-83-5 CAPLUS

CN [2,4'-Bipyridine]-2',3',5'-tricarboxylic acid, 1',4'-dihydro-6'-methyl-, 2',3'-diethyl 5'-methyl ester (9CI) (CA INDEX NAME)



RN 52603-84-6 CAPLUS

CN [3,4'-Bipyridine]-2',3',5'-tricarboxylic acid, 1',4'-dihydro-6'-methyl-, triethyl ester (9CI) (CA INDEX NAME)

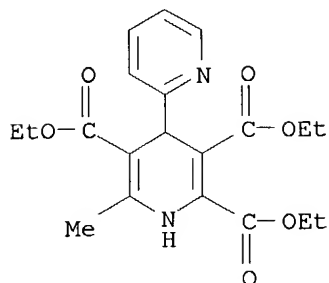


RN 52603-86-8 CAPLUS

CN [2,4'-Bipyridine]-2',3',5'-tricarboxylic acid, 1',4'-dihydro-6'-methyl-,

10/022,874

triethyl ester (9CI) (CA INDEX NAME)

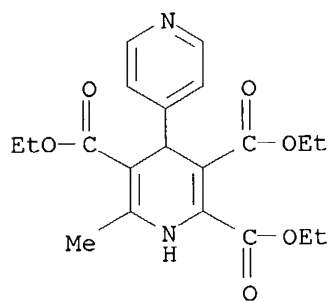


IT 52603-85-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 52603-85-7 CAPLUS

CN [4,4'-Bipyridine]-2,3,5-tricarboxylic acid, 1,4-dihydro-6-methyl-,  
triethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 45 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:432858 CAPLUS

DOCUMENT NUMBER: 85:32858

TITLE: 3,5,6-Tricarboxy-4-pyridyl-1,4-dihydropyridine  
derivatives

INVENTOR(S): Bossert, Friedrich; Meyer, Horst; Vater, Wulf

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: U.S., 17 pp. Division of U.S. 3,905,983.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

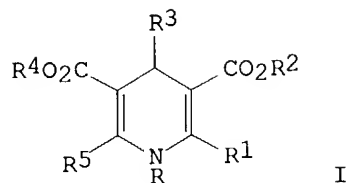
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3946027	A	19760323	US 1974-523967	19741115
DE 2248150	A1	19740404	DE 1972-2248150	19720930
US 3905983	A	19750916	US 1973-399850	19730924
PRIORITY APPLN. INFO.:			DE 1972-2248150	19720930
			US 1973-399850	19730924

GI

10/022,874



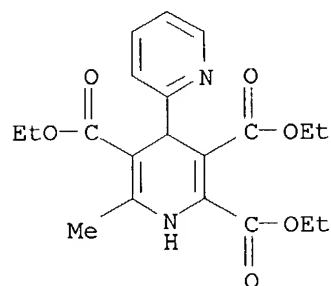
AB Pyridinecarboxylates I [R = H, R1 = Me, Et, CO2Et, CO2H, CH2CO2Me, CH2CO2Et, R2 = Me, Et, R3 = pyridyl, .alpha.-naphthyl, styryl, CH2CH2Ph, C6H5-nR6n [R6n = NO2, CF3, (MeO)3, (CF3)2, Cl, MeS, Ph, N3], R4 = Me, Et, R5 = CO2Et, CO2H, CH2CO2Et; R = R1 = R2 = Me, R3 = 3-O2NC6H4, R4 = Et, R5 = CO2Et] (49 compds.), useful as coronary dilators at 0.1-10 mg/kg i.v. (dogs), were prepd. (in cases where R1 and R5 .noteq. CO2H) by 5 methods with 35-90% yields. Thus, 2-pyridinecarboxaldehyde, MeCOCH2CO2Me, and (MeO2CCH2)2C:NH in EtOH refluxed several hr gave 60% I (R = H, R1 = R2 = R4 = Me, R3 = 2-pyridyl, R5 = CH2CO2Me). I [R1 and(or) R5 = CO2H] were prepd. by partial sapon. of the corresponding esters for several hr with Na in refluxing EtOH.

IT **52603-86-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and coronary dilation activity of)

RN 52603-86-8 CAPLUS

CN [2,4'-Bipyridine]-2',3',5'-tricarboxylic acid, 1',4'-dihydro-6'-methyl-, triethyl ester (9CI) (CA INDEX NAME)

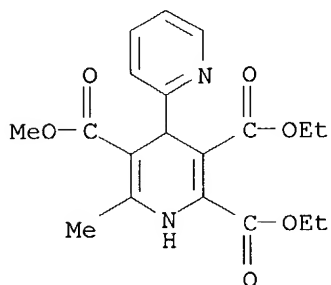


IT **52603-83-5P 52603-84-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and coronary dilation of)

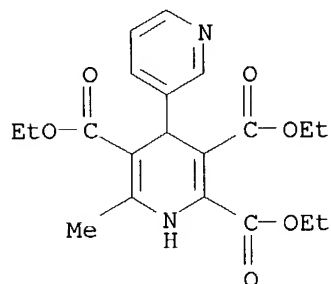
RN 52603-83-5 CAPLUS

CN [2,4'-Bipyridine]-2',3',5'-tricarboxylic acid, 1',4'-dihydro-6'-methyl-, 2',3'-diethyl 5'-methyl ester (9CI) (CA INDEX NAME)



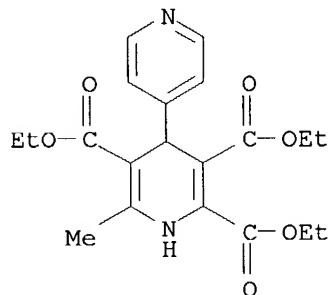
10/022,874

RN 52603-84-6 CAPLUS  
CN [3,4'-Bipyridine]-2',3',5'-tricarboxylic acid, 1',4'-dihydro-6'-methyl-,  
triethyl ester (9CI) (CA INDEX NAME)



IT **52603-85-7P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 52603-85-7 CAPLUS  
CN [4,4'-Bipyridine]-2,3,5-tricarboxylic acid, 1,4-dihydro-6-methyl-,  
triethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 46 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1975:156107 CAPLUS  
DOCUMENT NUMBER: 82:156107  
TITLE: 2-(Alkoxyalkyl)-1,4-dihydro-3,5-pyridine dicarboxylate  
pharmaceuticals  
INVENTOR(S): Bossert, Friedrich; Wehinger, Egbert; Vater, Wulf;  
Stoepel, Kurt  
PATENT ASSIGNEE(S): Bayer A.-G.  
SOURCE: Ger. Offen., 54 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2335466	A1	19750130	DE 1973-2335466	19730712
AU 7470969	A1	19760108	AU 1974-70969	19740708
FI 7402120	A	19750113	FI 1974-2120	19740710
NL 7409344	A	19750114	NL 1974-9344	19740710
JP 50040578	A2	19750414	JP 1974-78370	19740710



JP 60011030	B4	19850322		
DD 118631	C	19760312	DD 1974-179837	19740710
AT 7405696	A	19761115	AT 1974-5696	19740710
AT 337699	B	19770711		
PL 91873	P	19770331	PL 1974-183228	19740710
PL 94266	P	19770730	PL 1974-172611	19740710
BE 817540	A1	19750113	BE 1974-146464	19740711
SE 7409146	A	19750113	SE 1974-9146	19740711
DK 7403739	A	19750303	DK 1974-3739	19740711
ZA 7404461	A	19750730	ZA 1974-4461	19740711
GB 1436289	A	19760519	GB 1974-30746	19740711
ES 428185	A1	19761216	ES 1974-428185	19740711
CH 614196	A	19791115	CH 1974-9603	19740711
FR 2236497	A1	19750207	FR 1974-24425	19740712
US 3974278	A	19760810	US 1975-576724	19750512
ES 448396	A1	19770916	ES 1975-448396	19750531
ES 448395	A1	19770916	ES 1975-448395	19750531
US 4020178	A	19770426	US 1975-585963	19750611
US 3971796	A	19760727	US 1975-609153	19750829
ES 448394	A1	19770716	ES 1976-448394	19760531
ES 448397	A1	19770801	ES 1976-448397	19760531
CH 615915	A	19800229	CH 1977-12220	19771006
CH 622507	A	19810415	CH 1977-12410	19771011
JP 57131763	A2	19820814	JP 1982-454	19820106
JP 59043951	B4	19841025		
JP 57131764	A2	19820814	JP 1982-455	19820106
JP 59043952	B4	19841025		

## PRIORITY APPLN. INFO.:

DE 1973-2335466	19730712
US 1974-485300	19740702
CH 1974-9603	19740711

GI For diagram(s), see printed CA Issue.

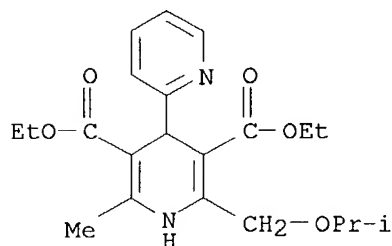
AB Coronary vasodilator pyridinedicarboxylates I (R = Me, Et, CH<sub>2</sub>OMe, CH<sub>2</sub>OEt, CO<sub>2</sub>Et, CO<sub>2</sub>H, CH<sub>2</sub>CO<sub>2</sub>Et, CHMe<sub>2</sub>; R<sub>1</sub> = substituted phenyl, 2-pyridyl, 3-pyridyl, 2-dimethylamino-5-pyrimidinyl; R<sub>2</sub> = Et, CHMe<sub>2</sub>) were prepd. Thus, reaction of PhCHO with EtOCH<sub>2</sub>CO<sub>2</sub>COCH<sub>2</sub>CO<sub>2</sub>Et and H<sub>2</sub>CMe:CNCOC<sub>2</sub>Et with 65% I (R = Me, R<sub>1</sub> = Ph, R<sub>2</sub> = Et), which at 1 mg/kg i.v. in anesthetized dogs maintained increased O satn. in the coronary sinus for 20 min.

IT **55551-47-8P 55551-50-3P 55551-55-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and coronary vasodilating activity of)

RN 55551-47-8 CAPLUS

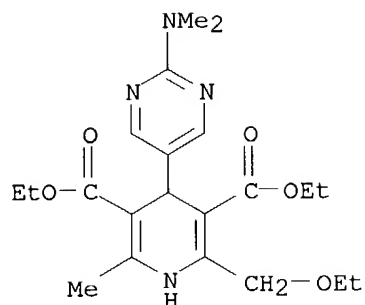
CN [2,4'-Bipyridine]-3',5'-dicarboxylic acid, 1',4'-dihydro-2'-methyl-6'-[(1-methylethoxy)methyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 55551-50-3 CAPLUS

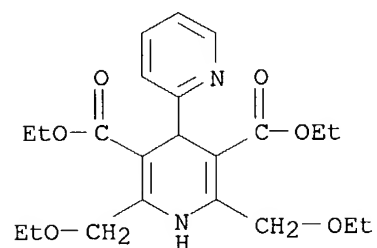
CN 3,5-Pyridinedicarboxylic acid, 4-[2-(dimethylamino)-5-pyrimidinyl]-2-(ethoxymethyl)-1,4-dihydro-6-methyl-, diethyl ester (9CI) (CA INDEX NAME)

10/022,874



RN 55551-55-8 CAPLUS

CN [2,4'-Bipyridine]-3',5'-dicarboxylic acid, 2',6'-bis(ethoxymethyl)-1',4'-dihydro-, diethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



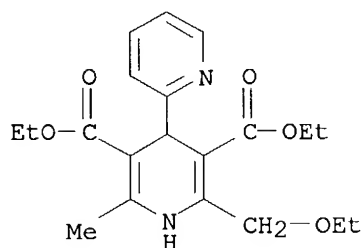
● HCl

IT 55551-51-4P 55551-62-7P 55551-63-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 55551-51-4 CAPLUS

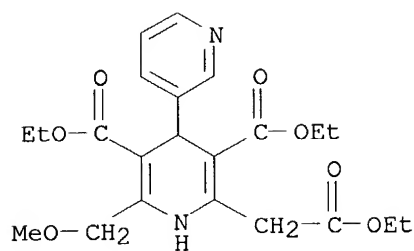
CN [2,4'-Bipyridine]-3',5'-dicarboxylic acid, 2'-(ethoxymethyl)-1',4'-dihydro-6'-methyl-, diethyl ester (9CI) (CA INDEX NAME)



RN 55551-62-7 CAPLUS

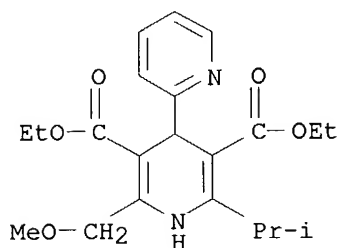
CN [3,4'-Bipyridine]-3',5'-dicarboxylic acid, 2'-(2-ethoxy-2-oxoethyl)-1',4'-dihydro-6'-(methoxymethyl)-, diethyl ester (9CI) (CA INDEX NAME)

10/022,874



RN 55551-63-8 CAPLUS

CN [2,4'-Bipyridine]-3',5'-dicarboxylic acid, 1',4'-dihydro-2'-(methoxymethyl)-6'-(1-methylethyl)-, diethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 47 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1974:403773 CAPLUS

DOCUMENT NUMBER: 81:3773

TITLE: 4-Aryl-1,4-dihydropyridinepolycarboxylates

INVENTOR(S): Bossert, Friedrich; Meyer, Horst; Vater, Wulf

PATENT ASSIGNEE(S): Bayer A.-G.

SOURCE: Ger. Offen., 45 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2248150	A1	19740404	DE 1972-2248150	19720930
US 3905983	A	19750916	US 1973-399850	19730924
CA 1005061	A1	19770208	CA 1973-181860	19730925
AU 7360716	A1	19750327	AU 1973-60716	19730926
DD 110659	C	19750112	DD 1973-173732	19730927
SE 403777	C	19781214	SE 1973-13187	19730927
NL 7313422	A	19740402	NL 1973-13422	19730928
FR 2201095	A1	19740426	FR 1973-34944	19730928
JP 49070977	A2	19740709	JP 1973-108627	19730928
JP 56047905	B4	19811112		
ZA 7307659	A	19740828	ZA 1973-7659	19730928
HU 166357	P	19750328	HU 1973-BA2984	19730928
GB 1389509	A	19750403	GB 1973-45481	19730928
AT 7308347	A	19750915	AT 1973-8347	19730928
AT 330175	B	19760625		
CH 583703	A	19770114	CH 1973-13902	19730928
DK 137722	C	19781002	DK 1973-5334	19730928

CH 605752	A	19781013	CH 1976-11137	19730928
ES 419193	A1	19761216	ES 1973-419193	19730929
PL 91085	P	19770228	PL 1973-165524	19730929
PL 92084	P	19770331	PL 1973-182552	19730929
PL 92079	P	19770331	PL 1973-182553	19730929
CS 178441	P	19770915	CS 1973-5597	19731001
CS 178435	P	19770915	CS 1973-6765	19731001
CS 178440	P	19770915	CS 1975-5596	19731001
US 3943140	A	19760309	US 1974-523982	19741115
US 3946028	A	19760323	US 1974-524090	19741115
US 3946027	A	19760323	US 1974-523967	19741115
ES 443522	A1	19770501	ES 1975-443522	19751216
ES 443521	A1	19770516	ES 1975-443521	19751216
CH 601233	A	19780630	CH 1977-5381	19770928

## PRIORITY APPLN. INFO.:

DE 1972-2248150	19720930
US 1973-399850	19730924

GI For diagram(s), see printed CA Issue.

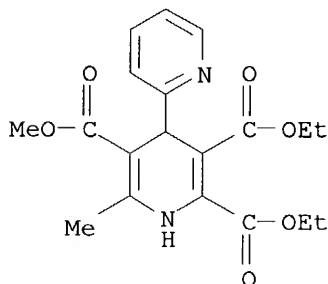
AB Nineteen pyridinepolycarboxylates I (R = 2-, 3-, or 4-pyridyl, substituted Ph, or 1-naphthyl; R1, R2 = Me or Et; R3 = CH2CO2Me, CO2Et, CH2CO2Et, or CO2H; R4 = Me, CH2CO2Et, CO2Et, or CH2CO2Me) were prepd. by various methods and used as coronary dilators and for increasing the O supply to the heart. Thus, refluxing 2-pyridinecarboxaldehyde (II), MeCOCH2CO2Me, and di-Me .beta.-iminoglutarate in EtOH gave 60% I (R = 2-pyridyl, R1 = R2 = Me, R3 = CH2CO2Me, R4 = Me), which was also prepd. in 57% yield by heating II, di-Me acetonedicarboxylate, and Me .beta.-aminocrotonate in EtOH. Refluxing 3-O2NC6H4CHO, Et .beta.-aminocrotonate, and (EtO2C)2 in EtOH gave 62% I (R = 3-O2NC6H4, R1 = R2 = Et, R3 = CO2Et, R4 = Me) (III). Re-fluxing III in EtOH contg. Na gave 90% I (R = 3-O2NC6H4, R1 = R2 = Et, R3 = CO2H, R4 = Me).

IT **52603-83-5P 52603-84-6P 52603-85-7P**  
**52603-86-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 52603-83-5 CAPLUS

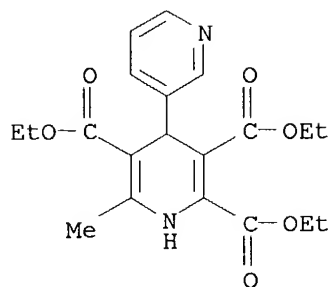
CN [2,4'-Bipyridine]-2',3',5'-tricarboxylic acid, 1',4'-dihydro-6'-methyl-,  
 2',3'-diethyl 5'-methyl ester (9CI) (CA INDEX NAME)



RN 52603-84-6 CAPLUS

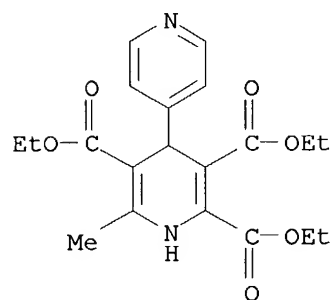
CN [3,4'-Bipyridine]-2',3',5'-tricarboxylic acid, 1',4'-dihydro-6'-methyl-,  
 triethyl ester (9CI) (CA INDEX NAME)

10/022,874



RN 52603-85-7 CAPLUS

CN [4,4'-Bipyridine]-2,3,5-tricarboxylic acid, 1,4-dihydro-6-methyl-, triethyl ester (9CI) (CA INDEX NAME)



RN 52603-86-8 CAPLUS

CN [2,4'-Bipyridine]-2',3',5'-tricarboxylic acid, 1',4'-dihydro-6'-methyl-, triethyl ester (9CI) (CA INDEX NAME)

